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(54) Title: AMIDE DERIVATIVES AS INHIBITORS OF HISTONE DEACETYLASE

(57) Abstract: The present invention relates to ketone derivatives that are inhibitors of histone deacetylase (HDAC). The compounds of the present invention are useful for treating cellular proliferative diseases, including cancer. Further, the compounds of the present invention are useful for treating neurodegenerative diseases, schizophrenia and stroke among other diseases.

WO 2006/005941 A1

AMIDE DERIVATIVES AS INHIBITORS OF HISTONE DEACETYLASE

BACKGROUND OF THE INVENTION

DNA in the nucleus of the cell exists as a hierarchy of compacted chromatin structures. The basic repeating unit in chromatin is the nucleosome. The nucleosome consists of a histone octamer of proteins in the nucleus of the cell around which DNA is wrapped twice. The orderly packaging of DNA in the nucleus plays an important role in the functional aspects of gene regulation. Covalent modifications of the histones have a key role in altering chromatin higher order structure and function and ultimately gene expression. The covalent modification of histones, such as acetylation, occurs by enzymatically mediated processes.

Regulation of gene expression through the inhibition of the nuclear enzyme histone deacetylase (HDAC) is one of several possible regulatory mechanisms whereby chromatin activity can be affected. The dynamic homeostasis of the nuclear acetylation of histones can be regulated by the opposing activity of the enzymes histone acetyl transferase (HAT) and histone deacetylase (HDAC). Transcriptionally silent chromatin can be characterized by nucleosomes with low levels of acetylated histones. Acetylation reduces the positive charge of histones, thereby expanding the structure of the nucleosome and facilitating the interaction of transcription factors with the DNA. Removal of the acetyl group restores the positive charge, condensing the structure of the nucleosome. Histone acetylation can activate DNA transcription, enhancing gene expression. Histone deacetylase can reverse the process and can serve to repress gene expression. See, for example, Grunstein, *Nature* 389, 349-352 (1997); Pazin et al., *Cell* 89, 325-328 (1997); Wade et al., *Trends Biochem. Sci.* 22, 128-132 (1997); and Wolffe, *Science* 272, 371-372 (1996).

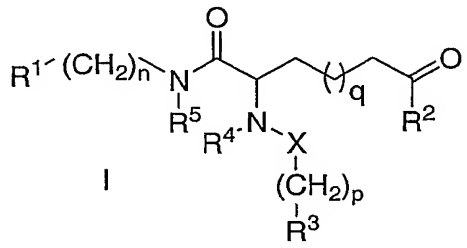
WO 01/18171 and WO 2005/051901 describe HDAC inhibitors as cancer agents.

SUMMARY OF THE INVENTION

The present invention relates to ketone derivatives that are inhibitors of histone deacetylase (HDAC). The compounds of the present invention are useful for treating cellular proliferative diseases, including cancer. Further, the compounds of the present invention are useful for treating neurodegenerative diseases, schizophrenia and stroke among other diseases.

DETAILED DESCRIPTION OF THE INVENTION

The compounds of this invention are useful in the inhibition of histone deacetylase. A first embodiment of the instant invention is a compound as illustrated by Formula I:



I

wherein:

a is 0 or 1; b is 0 or 1; m is 0, 1 or 2; n is 0, 1, 2 or 3; p is 0, 1, 2 or 3; and q is 1, 2, 3 or 4;

X is CH_2, C=O, $S(O)_2$, (C=O)NH, (C=O)O, (C=S)NH or $(C=O)NHS(O)_2$;

R^1 is selected from: $(C=O)_aO_b(C_1\text{-}C_6)$alkyl, $NH(C=O)(C_1\text{-}C_6)$alkyl, $N(R^c)_2$, $(O)_a$-aryl, $(C_3\text{-}C_8)$cycloalkyl, aryl and heterocyclyl; said alkyl, cycloalkyl, aryl and heterocyclyl optionally substituted with up to three substituents selected from R^d;

R^2 is selected from: H, $(C_1\text{-}C_6)$alkyl, $(C=O)\text{-}N(R^g)_2$, CF_3, $(C_3\text{-}C_8)$cycloalkyl, aryl and heterocyclyl; said alkyl, cycloalkyl, aryl and heterocyclyl optionally substituted with up to three substituents selected from OH, halo, $N(R^c)_2$, CN, oxo, $O_b(C_1\text{-}C_6)$alkyl, NO_2 and aryl;

R^3 is selected from: H, CF_3, oxo, OH, halogen, CN, $N(R^c)_2$, NO_2, $(C=O)_aO_b(C_1\text{-}C_{10})$alkyl, $(C=O)_aO_b(C_2\text{-}C_{10})$alkenyl, $(C=O)_aO_b(C_2\text{-}C_{10})$alkynyl, $(C=O)_aO_b(C_3\text{-}C_{10})$cycloalkyl, $(C=O)_aO_b(C_1\text{-}C_6)$alkylene-aryl, $(C=O)_aO_b$-aryl, $(C=O)_aO_b(C_1\text{-}C_6)$alkylene-heterocyclyl, $(C=O)_aO_b$-heterocyclyl, $NH(C=O)_a$-aryl, $(C_1\text{-}C_6)$alkyl(O)-aryl, $(C=O)_aO_b(C_1\text{-}C_6)$alkylene-$N(R^a)_2$, $N(R^a)_2$, $O_b(C_1\text{-}C_3)$perfluoroalkyl, $(C_1\text{-}C_6)$alkylene-$S(O)_mR^a$, $S(O)_mR^a$, $C(O)R^a$, $(C_1\text{-}C_6)$alkylene-CO_2R^a, CO_2R^a, C(O)H, $C(O)N(R^a)_2$, and $S(O)_2N(R^a)_2$; said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, alkylene and heterocyclyl is optionally substituted with up to three substituents selected from R^e;

R^4 is H or $(C_1\text{-}C_6)$alkyl;

R^5 is H; or

R^5, together with N-$(CH_2)_n$-R^1 forms a piperazine ring optionally substituted by up to three substituents selected from R^d;

R^a is independently selected from: H, oxo, OH, halogen, CO_2H, CN, (O)C=O(C_1-C_6)alkyl, N(R^c)$_2$, (C_1-C_6)alkyl, aryl, heterocyclyl, (C_3-C_6)cycloalkyl, (C=O)O(C_1-C_6)alkyl, C=O(C_1-C_6)alkyl and S(O)$_2R^a$; said alkyl, cycloalkyl, aryl or heterocyclyl is optionally substituted with one or more substituents selected from OH, (C_1-C_6)alkyl, (C_1-C_6)alkoxy, halogen, CO_2H, CN, (O)C=O(C_1-C_6)alkyl, oxo, N(R^c)$_2$ and optionally substituted heterocyclyl, wherein said heterocyclyl is optionally substituted with (C_1-C_6)alkyl, oxo or NH_2;

R^c is independently selected from: H, $(C=O)_aO_b$(C_1-C_6)alkyl and $(C=O)_aO_b$(C_1-C_6)alkyl-aryl;

R^d is independently selected from: NO_2, O_a-aryl, O_a-heterocyclyl, NH(C=O)-aryl, NH(C=O)(C_1-C_6)alkyl, (C=O)N(R^c)$_2$, O_a-perfluoroalkyl, O_aCF_3, $(C=O)_a$(C_1-C_6)alkyl, NHS(O)$_m$-aryl, NHS(O)$_m$(C_1-C_6)alkyl, N(R^c)$_2$, O_a(C_1-C_6)alkyl-heterocyclyl, S(O)$_m$(C_1-C_6)alkyl, S(O)$_m$-aryl, $(C=O)_a$-aryl, O_a(C_1-C_6)alkyl, CN, S(O)$_m$N(R^c)$_2$, oxo, OH and halo; wherein said alkyl, aryl and heterocyclyl are optionally substituted with R^f;

R^e is independently selected from: $(C=O)_aCF_3$, oxo, OH, halogen, CN, NH_2, NO_2, $(C=O)_aO_b$(C_1-C_{10})alkyl, $(C=O)_aO_b$(C_2-C_{10})alkenyl, $(C=O)_aO_b$(C_2-C_{10})alkynyl, $(C=O)_aO_b$(C_3-C_8)cycloalkyl, $(C=O)_aO_b$(C_1-C_6)alkylene-aryl, $(C=O)_aO_b$-aryl, $(C=O)_aO_b$(C_1-C_6)alkylene-heterocyclyl, $(C=O)_aO_b$-heterocyclyl, NH$(C=O)_a$(C_1-C_6)alkyl, NH$(C=O)_a$-aryl, (C_1-C_6)alkyl$(O)_a$-aryl, $(C=O)_aO_b$(C_1-C_6)alkylene-N(R^a)$_2$, N(R^a)$_2$, O_b(C_1-C_3)perfluoroalkyl, (C_1-C_6)alkylene-S(O)$_mR^a$, S(O)$_mR^a$, C(O)R^a, (C_1-C_6)alkylene-CO_2R^a, CO_2R^a, C(O)H, (C_1-C_6)alkyl$_a$NH(C_1-C_6)alkyl-N(R^c)$_2$, C(O)N(R^a)$_2$, (C_1-C_6)alkyl$(C=O)_a$NH(C_1-C_6)alkyl-N(R^c)$_2$ and S(O)$_2$N(R^a)$_2$;

R^f is independently selected from halo, aryl, heterocyclyl, N(R^g)$_2$ and O_a(C_1-C_6)alkyl;

R^g is independently selected from H and (C_1-C_6)alkyl;

or a pharmaceutically acceptable salt or stereoisomer thereof.

In one embodiment:

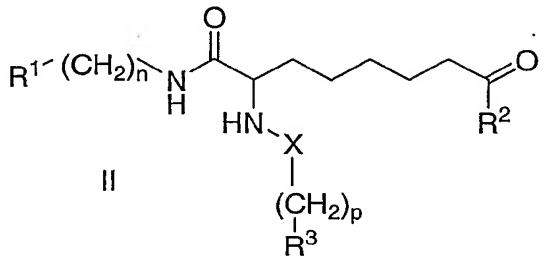
R^2 is not optionally substituted by aryl;

R^5 is H;

R^f is not halo; and

all other variables are as defined above.

An embodiment of the instant invention is a compound as illustrated by Formula II;



II

wherein:

all substituents and variables are as defined above;

or a pharmaceutically acceptable salt or stereoisomer thereof.

Another embodiment of the instant invention is a compound as illustrated by Formula II;

wherein:

R^2 is selected from: H, $(C_1\text{-}C_6)$alkyl and heterocyclyl;

R^3 is selected from: H, CN, $N(R^c)_2$, CF_3, $(C_2\text{-}C_{10})$alkenyl, $(C_3\text{-}C_{10})$cycloalkyl, $S(O)_2(C_1\text{-}C_6)$alkyl, $(C{=}O)_aO_b(C_1\text{-}C_{10})$alkyl, $(C{=}O)_a$-aryl, $(C{=}O)_a$-heterocyclyl, S-aryl, S-heterocyclyl, $NH(C{=}O)_a$-aryl, $(C_1\text{-}C_6)$alkyl(O)-aryl; said alkyl, alkenyl, cycloalkyl, aryl and heterocyclyl is optionally substituted with up to three substituents selected from R^e;

R^d is independently selected from: $(C{=}O)_a$-aryl, $(C_1\text{-}C_6\text{alkyl})_a$-heterocyclyl, $O_a(C_1\text{-}C_6)$alkyl, CN, $S(O)_mN(R^c)_2$, oxo, OH and halo; wherein said alkyl, aryl and heterocyclyl are optionally substituted with R^f;

R^e is independently selected from: $(C{=}O)_a\text{-}CF_3$, oxo, OH, halogen, CN, $N(R^c)_2$, $S(O)_2(C_1\text{-}C_6)$alkyl, $HN(C{=}O)_a(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)\text{alkyl}_a(C{=}O)NH(C_1\text{-}C_6)$alkyl-$N(R^c)_2$, $O(C_1\text{-}C_6)$alkyl-$N(R^c)_2$, $(C{=}O)_aO_b(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_6)$alkyl-aryl, aryl, heterocyclyl and $S(O)_2$-aryl;

and all substituents and variables are as defined in the second embodiment; or a pharmaceutically acceptable salt or stereoisomer thereof.

In an embodiment of compounds as illustrated by Formula II, R^2 is: H or (C_1-C_6)alkyl.

Specific examples of the compounds of the instant invention include:

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**1**);

(2S)-2-(Acetylamino)-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**2**);

(2S)-2-[(1H-Indol-3-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**3**);

(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**4**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1-benzofuran-2-carboxamide (**5**);

(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**6**);

4-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-4H-chromene-3-carboxamide (**7**);

(3S)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3,4-tetrahydro isoquinoline-3-carboxamide (**8**);

2-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]nicotinamide (**9**);

(2S)-2-[(1-Naphthylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**10**);

(2S)-2-[(1,3-Benzodioxol-5-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**11**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(3-thienylacetyl)amino]nonanamide (**12**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] octanamide (**13**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(1H-1,2,4-triazol-1-yl)benzyl] nonanamide (**14**);

(2S)-N-(Isoquinolin-5-ylmethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**15**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(2-methylimidazo[1,2-a]pyridin-3-yl)methyl]-8-oxononanamide (**16**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3-thiadiazole-4-carboxamide (**17**);

(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**18**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]nicotinamide (**19**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(3,3,3-trifluoropropanoyl)amino]nonanamide (**20**);

1-Cyano-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]cyclopropane carboxamide (**21**);

(2E)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-pyridin-3-yl acrylamide (**22**);

(2S)-2-[(Cyclohexylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**23**);

(4R)-2-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,3-thiazolidine-4-carboxamide (**24**);

(2S)-N-[4-(1H-Imidazol-4-yl)benzyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**26**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl] nonanamide (**27**);

(2S)-N-[(1-Benzylpyrrolidin-3-yl)methyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**28**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[2-(2-methyl-1H-indol-3-yl)ethyl]-8-oxo nonanamide (**29**);

(2S)-N-[2-(6-Methoxy-1H-benzimidazol-2-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl] amino}-8-oxononanamide (**30**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide (**31**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(6-oxo-3-phenylpyridazin-1(6H)-yl)ethyl]nonanamide (**32**);

(2S)-N-[2-(1-Isopropylpiperidin-4-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**33**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(1-pyrimidin-2-ylpiperidin-4-yl) ethyl]nonanamide (**34**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[1-(pyridin-4-ylmethyl)piperidin-4-yl]nonanamide (**35**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(4-phenylmorpholin-2-yl)methyl] nonanamide (**36**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]biphenyl-4-carboxamide (**40**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-4-(trifluoromethyl)cyclo hexanecarboxamide (**41**);

(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**42**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]isoquinoline-3-carboxamide (**43**);

5-Methoxy-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-indole-2-carboxamide (**44**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1-phenylcyclopentane carboxamide (**45**);

(2S)-2-{[(2-Methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**46**);

(2S)-2-{[(1-Methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**47**);

(2S)-2-{[1H-Indol-3-yl(oxo)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**48**);

(2S)-2-[(2-Naphthylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**49**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]isoquinoline-1-carboxamide (**50**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-indole-5-carboxamide (**51**);

(2S)-2-{[(3-Cyanophenyl)sulfonyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**64**);

(2S)-2-{[(4-Cyanophenyl)sulfonyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**65**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-({[2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl]sulfonyl}amino)nonanamide (**66**);
(2S)-2-[(Benzylsulfonyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**67**);
(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-({[5-(phenylsulfonyl)-2-thienyl]sulfonyl}amino) nonanamide (**68**);
(2S)-2-({[(7,7-Dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl}amino)-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**69**);
2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] dodecanamide (**70**);
6-Cyano-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]nicotinamide (**71**);
N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]pyrazine-2-carboxamide (**72**);
N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-6-phenylpiperidine-2-carboxamide (**73**);
N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,8-naphthyridine-2-carboxamide (**74**);
N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,6-naphthyridine-2-carboxamide (**75**);
N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]biphenyl-3-carboxamide (**76**);
N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]quinoxaline-6-carboxamide (**77**);
N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]isoquinoline-4-carboxamide (**78**);
N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]quinoline-5-carboxamide (**79**);
(2S)-2-{[3-(3-Methyl-1H-pyrazol-1-yl)propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**80**);
1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-pyrazole-3-carboxamide (**81**);
1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**82**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]thiophene-3-carboxamide (**83**);

(2S)-8-Oxo-2-{[(3-oxo-2,3-dihydro-1H-isoindol-1-yl)acetyl]amino}-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**84**);

(2S)-2-{[(3,5-Dimethyl-1H-1,2,4-triazol-1-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**85**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-pyrazole-4-carboxamide (**86**);

(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**87**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-4-(1H-tetrazol-1-yl) benzamide (**88**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-(1H-tetrazol-1-yl) benzamide (**89**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-2-(1H-tetrazol-1-yl) benzamide (**90**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,3-thiazole-4-carboxamide (**91**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,3-thiazole-5-carboxamide (**92**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-pyrazole-3-carboxamide (**93**);

5-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-4,5-dihydro-1H-1,2,4-triazole-3-carboxamide (**94**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(1H-pyrazol-1-ylacetyl)amino]nonanamide (**95**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-2,3-dihydro-1,4-benzodioxine-2-carboxamide (**96**);

(2S)-2-[(1H-Imidazol-1-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**97**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-imidazole-2-carboxamide (**98**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]azepane-2-carboxamide (**99**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]isoxazole-3-carboxamide (**100**);

2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-(1,3-oxazol-2-yl)-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]octanamide (**101**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(1,2,3,4-tetrahydroisoquinolin-1-ylacetyl) amino] nonanamide (**102**);

(2S)-2-[(Cyanoacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**103**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]cyclopent-3-ene-1-carboxamide (**104**);

(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**105**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]pyridine-2-carboxamide (**106**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]isonicotinamide (**107**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]biphenyl-2-carboxamide (**108**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]isoxazole-4-carboxamide (**109**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-pyrrole-2-carboxamide (**110**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]cyclohex-1-ene-1-carboxamide (**111**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]thiophene-2-carboxamide (**112**);

3-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] benzamide (**113**);

(2S)-8-Oxo-2-[(phenylacetyl)amino]-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**114**);

5-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]pyridine-2-carboxamide (**115**);

1,5-Dimethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-pyrazole-3-carboxamide (**116**);

(2S)-2-{[2-Furyl(oxo)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**117**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]cycloheptanecarboxamide (**118**);

4-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3-thiadiazole-5-carboxamide (**119**);

4-Cyano-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]benzamide (**120**);

(2E)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-phenylacrylamide (**121**);

2,4-Dimethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,3-thiazole-5-carboxamide (**122**);

2-Chloro-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]nicotinamide (**123**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-indole-2-carboxamide (**124**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-benzimidazole-6-carboxamide (**125**);

(2S)-2-{[(4-Methoxyphenyl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**126**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-{[(phenylthio)acetyl]amino}nonanamide (**127**);

(2E)-3,7-Dimethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]octa-2,6-dienamide (**128**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-{[(pyridin-4-ylthio)acetyl]amino}nonanamide (**129**);

(2S)-2-{[(4-Chlorophenyl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**130**);

2-Chloro-4-fluoro-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]benzamide (**131**);

(2S)-2-[(N-Benzoylylglycyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**132**);

(2E)-3-(1H-Indol-3-yl)-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] acrylamide (**133**);

7-Methoxy-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1-benzofuran-2-carboxamide (**134**);

1,3-Dioxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,3-dihydro-2-benzofuran-5-carboxamide (**135**);

4-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-4H-chromene-2-carboxamide (**136**);

4-(Diethylamino)-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]benzamide (**137**);

(2S)-2-{[2-(4-Chlorophenoxy)propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**138**);

5-Bromo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]nicotinamide (**139**);

5-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-phenylisoxazole-4-carboxamide (**140**);

5-(Methylsulfonyl)-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] thiophene-2-carboxamide (**141**);

(2S)-2-{[3-(3,5-Dimethoxyphenyl)propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**142**);

2-Benzyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]benzamide (**143**);

(2E)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-pyridin-3-ylacryl amide (**144**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3,4-tetrahydroiso quinoline-3-carboxamide (**145**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,5-thiadiazole-3-carboxamide (**146**);

2,2-Dimethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]tetrahydro-2H-pyran-4-carboxamide (**147**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-imidazole-2-carboxamide (**148**);

4-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]morpholine-3-carboxamide (**149**);

(2S)-2-{[3-(1-Methyl-1H-pyrazol-4-yl)propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**150**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**151**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl][1,2,4]triazolo[1,5-a] pyrimidine-2-carboxamide (**152**);
N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]quinoline-8-carboxamide (**153**);
1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]pyrrolidine-3-carboxamide (**154**);
(2S)-N-Cyclopentyl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**155**);
1-Ethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-3-carboxamide (**156**);
(2S)-N-(2-Methoxyethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**157**);
N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-1,2,3-triazole-4-carboxamide (**158**);
(2S)-N-(2-Furylmethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**159**);
(2S)-N-[2-(Acetylamino)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**160**);
(2S)-N-Benzyl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**161**);
(2S)-N-(4-Fluorobenzyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**162**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(4-methylbenzyl)-8-oxononanamide (**163**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[2-(3-methoxyphenyl)ethyl]-8-oxo nonanamide (**164**);
(2S)-N-[2-(1H-Imidazol-4-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**165**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-phenoxyethyl)nonanamide (**166**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-piperidin-1-ylethyl)nonanamide (**167**);
(2S)-N-(2-Hydroxy-2-phenylethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**168**);

2-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-2,3-dihydro-1H-imidazole-4-carboxamide (**169**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-phenylethyl)nonanamide (**170**);

(2S)-N-[2-(3-Fluorophenyl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**171**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1-methylpiperidin-4-yl)methyl]-8-oxo nonanamide (**172**);

(2S)-N-(2,4-Difluorobenzyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**173**);

(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**174**);

1-Ethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**175**);

(2S)-8-Oxo-2-{[(5-oxopyrrolidin-2-yl)acetyl]amino}-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**176**);

(2S)-8-Oxo-2-{[(2-oxo-1,3-oxazolidin-3-yl)acetyl]amino}-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**177**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]quinoline-4-carboxamide (**178**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]isoquinoline-5-carboxamide (**179**);

4-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]morpholine-2-carboxamide (**180**);

(2S)-N-[2-(Dimethylamino)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**181**);

(2S)-N-[3-(1H-Imidazol-1-yl)propyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**182**);

(2S)-2-{[2-(1H-Indol-3-yl)ethyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**183**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**184**);

(2S)-2-{[(1-{2-[(6-Aminohexyl)amino]-2-oxoethyl}-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**185**);

Benzyl [6-({[5-methoxy-2-methyl-3-(2-oxo-2-{[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl] amino} carbonyl)octyl]amino}ethyl)-1H-indol-1-yl]acetyl}amino)hexyl]carbamate (**186**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(quinolin-3-ylmethyl)nonanamide (**187**);

(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**188**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl] nonanamide (**189**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(1,2,3,4-tetrahydronaphthalen-1-yl methyl)nonanamide (**190**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**191**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-pyridin-3-ylethyl)nonanamide (**192**);

(2S)-N-{2-[4-(Aminosulfonyl)phenyl]ethyl}-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**193**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(1-naphthylmethyl)-8-oxononanamide (**194**);

5-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]prolinamide (**195**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-pyrrole-2-carboxamide (**196**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]morpholine-2-carboxamide (**197**);

(2S)-2-[(1H-Imidazol-4-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**198**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-3-carboxamide (**199**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**200**);

(2S)-2-{[2-(1H-Benzimidazol-2-yl)propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**201**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-L-prolinamide (**202**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-D-prolinamide (**203**);

tert-Butyl (6-{[2-methyl-3-(2-oxo-2-{[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]amino}ethyl)-1H-indol-5-yl]oxy}hexyl)carbamate (**204**);

(2S)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**205**);

(2R)-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**206**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(3-morpholin-4-ylpropyl)-8-oxo nonanamide (**207**);

(2S)-N-(1-Benzylpiperidin-4-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**208**);

(2S)-N-(1-Benzylpyrrolidin-3-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**209**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(6,7,8,9-tetrahydro-5H-benzo[7] annulen-7-ylmethyl)nonanamide (**210**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-L-prolinamide (**211**);

1-Acetyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-L-prolinamide (**212**);

1-Acetyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-D-prolinamide (**213**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-4-carboxamide (**214**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(6,7,8,9-tetrahydro-5H-benzo[7] annulen-5-ylmethyl)nonanamide (**215**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(6,7,8,9-tetrahydro-5H-benzo[7] annulen-6-ylmethyl)nonanamide (**216**);

(2S)-N-(2,3-Dihydro-1H-inden-1-ylmethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**217**);

(2S)-N-(2,3-Dihydro-1H-inden-2-ylmethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**218**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(1,2,3,4-tetrahydronaphthalen-2-yl methyl)nonanamide (**219**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[2-(1-naphthyl)ethyl]-8-oxononanamide (**220**);

(2S)-N-(3,4-Dihydro-1H-isochromen-1-ylmethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3yl)acetyl]amino}-8-oxononanamide (**221**);

(2S)-N-(1-Benzylpiperidin-3-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**222**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(1-phenylcyclohexyl) methyl] nonanamide (**223**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**224**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-pyridin-3-ylnonanamide (**225**);

(2S)-N-1,3-Benzothiazol-2-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**226**);

(2S)-1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**227**);

(2R)-1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**228**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(5-methylisoxazol-3-yl)-8-oxo nonanamide (**229**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(4-morpholin-4-ylphenyl)-8-oxo nonanamide (**230**);

(2S)-N-[2-(4-Benzylpiperazin-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**231**);

(2S)-N-[2-(4-Benzoylpiperazin-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**232**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[4-(4-methoxyphenyl)-1,3-thiazol-2-yl]-8-oxononanamide (**233**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(2-morpholin-4-yl-2-pyridin-2-ylethyl)-8-oxononanamide (**234**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1-morpholin-4-ylcycloheptyl)methyl]-8-oxononanamide (**235**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-phenyl-2-piperidin-1-ylethyl) nonanamide (**236**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(4-phenylpiperazin-1-yl)ethyl] nonanamide (**237**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1S,9aR)-octahydro-2H-quinolizin-1-yl methyl]-8-oxononanamide (**238**);

(2S)-N-[(4-Benzylmorpholin-2-yl)methyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**239**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(4-phenylcyclohexyl)nonanamide (**240**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(1-phenylpiperidin-4-yl) nonanamide (**241**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(1-piperidin-1-ylcyclohexyl) methyl]nonanamide (**242**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(piperidin-1-ylacetyl)amino]nonanamide (**243**);

4-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperazine-2-carboxamide (**244**);

(5S)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-5-phenyl-D-prolinamide (**245**);

(5R)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-5-phenyl-D-prolinamide (**246**);

(2S)-2-[(N-Benzylglycyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**247**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-6-phenylpiperidine-2-carboxamide (**248**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-5-phenylpiperidine-2-carboxamide (**249**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-4-phenylpiperidine-2-carboxamide (**250**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-phenylpiperidine-2-carboxamide (**251**);

(2R)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]azetidine-2-carboxamide (**252**);

2-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide (**253**);

(2S)-2-[(2-Azabicyclo[2.2.1]hept-2-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**254**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]octahydro-1H-isoindole-1-carboxamide (**255**);

(2S)-2-[(N,N-Diethyl-β-alanyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**256**);

(2S)-2-[[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl](methyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**257**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[2-(2-naphthyl)ethyl]-8-oxononanamide (**258**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-D-prolinamide (**259**);

1-Methyl-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-3-carboxamide (single diastereomer) (**260**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-3-carboxamide (single diastereomer) (**261**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-piperidin-1-yl-2-pyridin-3-ylethyl)nonanamide (**262**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[1-morpholin-4-ylcyclohexyl)methyl]-8-oxononanamide (**263**);

(2S)-N-[2-(3,4-Dihydroquinolin-1(2H)-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**264**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(4-phenylpiperidin-1-yl)ethyl]nonanamide (**265**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-1,3-thiazol-2-ylnonanamide (**266**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-8-ylnonanamide (**267**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-1-naphthyl-8-oxononanamide (**268**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-5-ylnonanamide (**269**);

(2S)-N-isoquinolin-5-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**270**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-phenylnonanamide (**271**);

(2S)-N-Biphenyl-4-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**272**);

(2S)-N-(2-Chlorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**273**);

(2S)-N-(4-Chlorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**274**);

(2S)-N-(5-Chloro-1,3-benzoxazol-2-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**275**);

(2S)-N-1,3-Benzothiazol-2-yl-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**276**);

(2S)-N-1,3-Benzothiazol-2-yl-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**277**);

N-{(1S)-1-[(1,3-Benzothiazol-2-ylamino)carbonyl]-7-oxooctyl}thiophene-3-carboxamide (**278**);

N-{(1S)-1-[(1,3-Benzothiazol-2-ylamino)carbonyl]-7-oxooctyl}-1-methylpiperidine-2-carboxamide (**279**);

(2S)-N-1,3-Benzothiazol-2-yl-2-{[3-(3-methyl-1H-pyrazol-1-yl)propanoyl]amino}-8-oxononanamide (**280**);

(2S)-N-1,3-Benzothiazol-2-yl-2-{[(4-isopropylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**281**);

(2S)-N-1,3-Benzothiazol-2-yl-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**282**);

N-{(1S)-1-[(1,3-Benzothiazol-2-ylamino)carbonyl]-7-oxooctyl}-1,3-thiazole-5-carboxamide (**283**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**284**);

(2S)-8-Oxo-2-[(3-piperidin-1-ylpropanoyl)amino]-N-quinolin-3-ylnonanamide (**285**);

N-{(1S)-7-Oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}thiophene-3-carboxamide (**286**);

(2S)-2-{[3-(3-Methyl-1H-pyrazol-1-yl)propanoyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**287**);

(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**288**);

(2S)-8-Oxo-2-[(pyrrolidin-1-ylacetyl)amino]-N-quinolin-3-ylnonanamide (**289**);

N-{(1S)-7-Oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}-1,3-thiazole-5-carboxamide (**290**);

1-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}piperidine-2-carboxamide (**291**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-pyridin-2-ylnonanamide (**292**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-pyridin-4-ylnonanamide (**293**);

(2S)-N-(3-Chlorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**294**);

(2S)-N-[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxo nonanamide (**295**);

N-[(1S)-1-({[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]amino}carbonyl)-7-oxooctyl]thiophene-3-carboxamide (**296**);

N-[(1S)-1-({[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]amino}carbonyl)-7-oxooctyl]-1,3-thiazole-5-carboxamide (**297**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-pyridin-3-ylnonanamide (**298**);

(2S)-8-Oxo-2-[(3-piperidin-1-ylpropanoyl)amino]-N-pyridin-3-ylnonanamide (**299**);

N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]octyl}thiophene-3-carboxamide (**300**);

1-Methyl-N-{(1S)-7-oxo-1-[(pyridin-3-ylamino)carbonyl]octyl}piperidine-2-carboxamide (**301**);

(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-8-oxo-N-pyridin-3-ylnonanamide (**302**);

(2S)-8-Oxo-N-pyridin-3-yl-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**303**);

N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]octyl}-1,3-thiazole-5-carboxamide (**304**);

(2S)-N-[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**305**);

(2S)-N-[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**306**);

(2S)-N-(4-Chlorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**307**);

(2S)-8-Oxo-N-phenyl-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**308**);

N-((1S)-1-{[(4-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide (**309**);

N-[(1S)-1-(Anilinocarbonyl)-7-oxooctyl]-1-methylpiperidine-2-carboxamide (**310**);

N-((1S)-1-{[(4-Chlorophenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide (**311**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-6-ylnonanamide (**312**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(2-methoxyphenyl)-8-oxononanamide (**313**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(3-methoxyphenyl)-8-oxononanamide (**314**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(4-methoxyphenyl)-8-oxononanamide (**315**);

(2S)-N-(3-Cyanophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**316**);

(2S)-2-[(2-Naphthylsulfonyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**317**);

(2S)-2-({[2-(Acetylamino)-4-methyl-1,3-thiazol-5-yl]sulfonyl}amino)-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**318**);

(2S)-2-{[(5-Chloro-2-thienyl)sulfonyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**319**);

(2S)-2-{[(3,5-Dimethylisoxazol-4-yl)sulfonyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**320**);

(2S)-2-[(2,1,3-Benzothiadiazol-4-ylsulfonyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**321**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-{[(2,2,2-trifluoroethyl)sulfonyl]amino}nonanamide (**322**);

(2S)-2-[(1-Naphthylsulfonyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**323**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(propylsulfonyl)amino]nonanamide (**324**);

(2R)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**325**);

(2R)-2-[(1H-Indol-3-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**326**);

(2S)-2-[(2,1,3-Benzothiadiazol-4-ylsulfonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide (**327**);

(2S)-8-Oxo-2-[(phenylsulfonyl)amino]-N-quinolin-3-ylnonanamide (**328**);

(2S)-2-{[(4-Methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**329**);

(2S)-2-[(Anilinocarbonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide (**330**);

(2S)-2-{[(Cyclopentylamino)carbonyl] amino}-8-oxo-N-quinolin-3-ylnonanamide (**331**);

Phenyl {(1S)-7-oxo-1-[(quinoline-3-ylamino)carbonyl]octyl}carbamate (**332**);
(2S)-2-{[(3,5-Dimethylisoxazol-4-yl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**333**);
(2S)-2-[(Anilinocarbonothioyl)amino]-8-oxo-N-quinolin-3-ylnonanamide (**334**);
(2S)-2-{[(4-Methoxyphenyl)sulfonyl] amino}-8-oxo-N-quinolin-3-ylnonanamide (**335**);
(2S)-2-[(2-Naphthylsulfonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide (**336**);
(2S)-2-{[(4-Chlorophenyl)sulfonyl] amino}-8-oxo-N-quinolin-3-ylnonanamide (**337**);
(2S)-2-[(2,3-Dihydro-1,4-benzodioxin-6-ylsulfonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide (**338**);
(2S)-2-{[(2,4-Dimethyl-1,3-thiazol-5-yl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**339**);
(2S)-2-{[(3-Methoxyphenyl)sulfonyl] amino}-8-oxo-N-quinolin-3-ylnonanamide (**340**);
(2*S*)-2-{[(1,2-Dimethyl-1*H*-imidazol-4-yl)sulfonyl]amino}-8-oxo-*N*-quinolin-3-ylnonanamide (**341**);
(2S)-2-{[(4-Cyanophenyl)sulfonyl]amino} -8-oxo-N-quinolin-3-ylnonanamide (**342**);
(2S)-2-[(1-Benzothien-3-ylsulfonyl) amino]-8-oxo-N-quinolin-3-ylnonanamide (**343**);
(2S)-2-({[(4-Methoxyphenyl)amino] carbonyl}amino)-8-oxo-N-quinolin-3-ylnonanamide (**344**);
(2S)-8-Oxo-2-({[(phenylsulfonyl) amino] carbonyl}amino)-N-quinolin-3-yl nonanamide (**345**);
4-Methoxyphenyl {(1S)-7-oxo-1-[(quinoline-3-ylamino)carbonyl] octyl}carbamate (**346**);
2-(Dimethylamino)ethyl {(1*S*)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl} carbamate (**347**);
2-Piperidin-1-ylethyl {(1*S*)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl} carbamate (**348**);
(2S)-2-{[(1-Naphthylamino)carbonyl] amino}-8-oxo-N-quinolin-3-ylnonanamide (**349**); and
(2*S*)-2-({[2-(Dimethylamino)ethyl] sulfonyl}amino)-8-oxo-*N*-quinolin-3-yl nonanamide (**350**);
or a pharmaceutically acceptable salt or stereoisomer thereof.

Specific TFA salts of the compounds of the instant invention include:
(3S)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3,4-tetrahydro isoquinoline-3-carboxamide (**8**);
2-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]nicotinamide (**9**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]nicotinamide (**19**);

(2E)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-pyridin-3-ylacryl amide (**22**);

(2S)-N-[4-(1H-Imidazol-4-yl)benzyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**26**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl] nonanamide (**27**);

(2S)-N-[(1-Benzylpyrrolidin-3-yl)methyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**28**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide (**31**);

(2S)-N-[2-(1-Isopropylpiperidin-4-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**33**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[1-(pyridin-4-ylmethyl)piperidin-4-yl]nonanamide (**35**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-6-phenylpiperidine-2-carboxamide (**73**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2- carboxamide (**82**);

(2S)-2-[(1H-Imidazol-1-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**97**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-imidazole-2-carboxamide (**98**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]azepane-2-carboxamide (**99**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(1,2,3,4-tetrahydroisoquinolin-1-ylacetyl)amino] nonanamide (**102**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]pyridine-2-carboxamide (**106**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]isonicotinamide (**107**);

5-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]pyridine-2-carboxamide (**115**);

2-Chloro-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]nicotinamide (**123**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-{[(pyridin-4-ylthio)acetyl]amino}nonanamide (**129**);

5-Bromo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]nicotinamide (**139**);

(2E)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-pyridin-3-yl acrylamide (**144**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3,4-tetrahydroiso quinoline-3-carboxamide (**145**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-imidazole-2-carboxamide (**148**);

4-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]morpholine-3-carboxamide (**149**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**151**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]pyrrolidine-3- carboxamide (**154**);

1-Ethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-3-carboxamide (**156**);

(2S)-N-[2-(1H-Imidazol-4-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**165**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-piperidin-1-ylethyl)nonanamide (**167**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1-methylpiperidin-4-yl)methyl]-8-oxo nonanamide (**172**);

(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**174**);

1-Ethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**175**);

4-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]morpholine-2-carboxamide (**180**);

(2S)-N-[2-(Dimethylamino)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**181**);

(2S)-N-[3-(1H-Imidazol-1-yl)propyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**182**);

(2S)-2-{[2-(1H-Indol-3-yl)ethyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**183**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**184**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(quinolin-3-ylmethyl)nonanamide (**187**);

(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**188**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**191**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-pyridin-3-ylethyl)nonanamide (**192**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]morpholine-2-carboxamide (**197**);

(2S)-2-[(1H-Imidazol-4-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**198**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-3-carboxamide (**199**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**200**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-L-prolinamide (**202**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-D-prolinamide (**203**);

(2S)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**205**);

(2R)-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**206**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(3-morpholin-4-ylpropyl)-8-oxo nonanamide (**207**);

(2S)-N-(1-Benzylpiperidin-4-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**208**);

(2S)-N-(1-Benzylpyrrolidin-3-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**209**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-L-prolinamide (**211**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-4-carboxamide (**214**);

(2S)-N-(1-Benzylpiperidin-3-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**222**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**224**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-pyridin-3-ylnonanamide (**225**);

(2S)-1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**227**);

(2R)-1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-2-carboxamide (**228**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(4-morpholin-4-ylphenyl)-8-oxo nonanamide (**230**);

(2S)-N-[2-(4-Benzylpiperazin-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**231**);

(2S)-N-[2-(4-Benzoylpiperazin-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**232**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(2-morpholin-4-yl-2-pyridin-2-ylethyl)-8-oxononanamide (**234**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1-morpholin-4-ylcycloheptyl)methyl]-8-oxononanamide (**235**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-phenyl-2-piperidin-1-ylethyl) nonanamide (**236**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(4-phenylpiperazin-1-yl)ethyl] nonanamide (**237**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1S,9aR)-octahydro-2H-quinolizin-1-yl methyl]-8-oxononanamide (**238**);

(2S)-N-[(4-Benzylmorpholin-2-yl)methyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide (**239**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(1-piperidin-1-ylcyclohexyl) methyl]nonanamide (**242**);

(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(piperidin-1-ylacetyl)amino]nonanamide (**243**);

4-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperazine-2-carboxamide (**244**);

(5S)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-5-phenyl-D-prolinamide (**245**);

(5R)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-5-phenyl-D-prolinamide (**246**);

(2S)-2-[(N-Benzylglycyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**247**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-6-phenylpiperidine-2-carboxamide (**248**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-5-phenylpiperidine-2-carboxamide (**249**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-4-phenylpiperidine-2-carboxamide (**250**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-phenylpiperidine-2-carboxamide (**251**);

(2R)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]azetidine-2-carboxamide (**252**);

2-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide (**253**);

(2S)-2-[(2-Azabicyclo[2.2.1]hept-2-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide (**254**);

N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]octahydro-1H-isoindole-1-carboxamide (**255**);

(2S)-2-[(N,N-Diethyl-β-alanyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**256**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-D-prolinamide (**259**);

1-Methyl-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-3-carboxamide (single diastereomer) (**260**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]piperidine-3-carboxamide (single diastereomer) (**261**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-piperidin-1-yl-2-pyridin-3-yl ethyl)nonanamide (**262**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[1-morpholin-4-ylcyclohexyl)methyl]-8-oxononanamide (**263**);
(2S)-N-[2-(3,4-Dihydroquinolin-1(2H)-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**264**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(4-phenylpiperidin-1-yl)ethyl]nonanamide (**265**);
(2S)-N-1,3-Benzothiazol-2-yl-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**276**);
(2S)-N-1,3-Benzothiazol-2-yl-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**277**);
N-{(1S)-1-[(1,3-Benzothiazol-2-ylamino)carbonyl]-7-oxooctyl}-1-methylpiperidine-2-carboxamide (**279**);
(2S)-N-1,3-Benzothiazol-2-yl-2-{[(4-isopropylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**281**);
(2S)-N-1,3-Benzothiazol-2-yl-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**282**);
(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**284**);
(2S)-8-Oxo-2-[(3-piperidin-1-ylpropanoyl)amino]-N-quinolin-3-ylnonanamide (**285**);
N-{(1S)-7-Oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}thiophene-3-carboxamide (**286**);
(2S)-2-{[3-(3-Methyl-1H-pyrazol-1-yl)propanoyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**287**);
(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**288**);
(2S)-8-Oxo-2-[(pyrrolidin-1-ylacetyl)amino]-N-quinolin-3-ylnonanamide (**289**);
N-{(1S)-7-Oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}-1,3-thiazole-5-carboxamide (**290**);
1-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}piperidine-2-carboxamide (**291**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-pyridin-2-ylnonanamide (**292**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-pyridin-4-ylnonanamide (**293**);
(2S)-N-[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxo nonanamide (**295**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-pyridin-3-ylnonanamide (**298**);
(2S)-8-Oxo-2-[(3-piperidin-1-ylpropanoyl)amino]-N-pyridin-3-ylnonanamide (**299**);
N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]octyl}thiophene-3-carboxamide (**300**);
1-Methyl-N-{(1S)-7-oxo-1-[(pyridin-3-ylamino)carbonyl]octyl}piperidine-2-carboxamide (**301**);
(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-8-oxo-N-pyridin-3-ylnonanamide (**302**);
(2S)-8-Oxo-N-pyridin-3-yl-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**303**);
N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]octyl}-1,3-thiazole-5-carboxamide (**304**);
(2S)-N-[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**305**);
(2S)-N-[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**306**);
(2S)-N-(4-Chlorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**307**);
(2S)-8-Oxo-N-phenyl-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**308**);
N-((1S)-1-{[(4-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide (**309**);
N-[(1S)-1-(Anilinocarbonyl)-7-oxooctyl]-1-methylpiperidine-2-carboxamide (**310**);
(2*S*)-2-{[(1,2-Dimethyl-1*H*-imidazol-4-yl)sulfonyl]amino}-8-oxo-*N*-quinolin-3-ylnonanamide (**341**);
2-(Dimethylamino)ethyl {(1*S*)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl} carbamate (**347**); and
(2*S*)-2-({[2-(Dimethylamino)ethyl] sulfonyl}amino)-8-oxo-*N*-quinolin-3-yl nonanamide (**350**);
or a stereoisomer thereof.

HCl salts of the instant invention are:
(2S)-2-{[(1-{2-[(6-Aminohexyl)amino]-2-oxoethyl}-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**185**); and
2-Piperidin-1-ylethyl {(1*S*)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}carbamate (**348**);
or a stereoisomer thereof.

Further examples of the compounds of the instant invention include:
(2S)-N-(4-Cyanophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**351**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-2-naphthyl-8-oxononanamide (**352**);

(2S)-N-(2,3-Dihydro-1H-inden-4-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**353**);

(2S)-N-(6-Chloro-1,3-benzothiazol-2-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**354**);

(2S)-N-[4-(4-Chlorophenyl)-1,3-thiazol-2-yl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**355**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(4-phenyl-1,3-thiazol-2-yl)nonanamide (**356**);

(2S)-N-(2,3-Dihydro-1H-inden-1-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**357**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(4-methylphenyl)-8-oxononanamide (**358**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-N-[2-(1-naphthyl)ethyl]-8-oxononanamide (**359**);

(2S)-N-[2-(1-Naphthyl)ethyl]-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**360**);

N-[(1S)-1-({[2-(1-Naphthyl)ethyl]amino}carbonyl)-7-oxooctyl]thiophene-3-carboxamide (**361**);

1-Methyl-N-[(1S)-1-({[2-(1-naphthyl)ethyl]amino}carbonyl)-7-oxooctyl]piperidine-2-carboxamide (**362**);

(2S)-2-{[3-(3-Methyl-1H-pyrazol-1-yl)propanoyl]amino}-N-[2-(1-naphthyl)ethyl]-8-oxononanamide (**363**);

(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-N-[2-(1-naphthyl)ethyl]-8-oxononanamide (**364**);

(2S)-N-[2-(1-Naphthyl)ethyl]-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide(**365**);

N-[(1S)-1-({[2-(1-Naphthyl)ethyl]amino}carbonyl)-7-oxooctyl]-1,3-thiazole-5-carboxamide (**366**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide (**367**);

(2S)-N-[(1-Morpholin-4-ylcyclopentyl)methyl]-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**368**);

N-[(1S)-1-({[(1-Morpholin-4-ylcyclopentyl)methyl]amino}carbonyl)-7-oxooctyl]thiophene-3-carboxamide (**369**);

(2S)-2-{[3-(3-Methyl-1H-pyrazol-1-yl)propanoyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide (**370**);

(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide (**371**);

N-[(1S)-1-({[(1-Morpholin-4-ylcyclopentyl)methyl]amino}carbonyl)-7-oxooctyl]-1,3-thiazole-5-carboxamide (**372**);

(2S)-N-[4-(Aminosulfonyl)phenyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**373**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(2-methylphenyl)-8-oxononanamide (**374**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(3-methylphenyl)-8-oxononanamide (**375**);

(2S)-N-(4-Acetylphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**376**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(6-methoxypyridin-3-yl)-8-oxononanamide (**377**);

(2S)-N-(2-Acetyl-3-thienyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**378**);

(2S)-N-(3,4-Dichlorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**379**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(1-piperidin-1-ylcyclopentyl)methyl]nonanamide (**380**);

(2S)-N-(2-Fluorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**381**);

(2S)-N-(3-Fluorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**382**);

(2S)-N-(4-Fluorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**383**);

(2S)-N-(3,5-Dichlorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**384**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-2-ylnonanamide (**385**);

(2S)-N-Isoquinolin-3-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**386**);

(2S)-N-(3-Acetylphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**387**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[3-(trifluoromethyl)phenyl]nonanamide (**388**);

(2S)-N-(3,5-Difluorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**389**);

(2S)-N-(3-Chloro-4-fluorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**390**);

(2S)-N-(3-Chloro-4-methoxyphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**391**);

(2S)-N-(3,4-Dimethylphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**392**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(2-methyl-2-piperidin-1-ylpropyl)-8-oxononanamide (**393**);

(2S)-N-Biphenyl-3-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**394**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[3-(1H-pyrrol-1-yl)phenyl]nonanamide (**395**);

(2S)-N-[3-(Aminosulfonyl)phenyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**396**);

(2S)-N-Isoquinolin-4-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**397**);

(2S)-N-1,3-Benzothiazol-5-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**398**);

(2S)-N-(3-Cyano-4-methylphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**399**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(3-methoxyphenyl)-8-oxononanamide (**400**);

N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide (**401**);

(2S)-N-(3-Methoxyphenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**402**);

(2S)-N-(3-Methoxyphenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**403**);

N-[(1S)-1-(Anilinocarbonyl)-7-oxooctyl]benzamide (**404**);

N-[(1S)-1-(Anilinocarbonyl)-7-oxooctyl]-3-cyanobenzamide (**405**);

(2S)-N-(4-Ethoxyphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**406**);

(2S)-N-(4-Chloro-3-methoxyphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**407**);

(2S)-N-[3-(Acetylamino)phenyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide (**408**);

(2S)-N-(3-Methoxyphenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**409**);

N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpyrrolidine-3-carboxamide (**410**);

N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide (**411**);

N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide (**412**);

N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide (**413**);

(2S)-8-Oxo-2-[(pyrrolidin-1-ylacetyl)amino]-N-quinolin-3-ylnonanamide (**414**);

1-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}piperidine-4-carboxamide (**415**);

1-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)piperidine-4-carboxamide (**416**);

(2S)-8-Oxo-N-(4-phenyl-1,3-thiazol-2-yl)-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**417**);

N-((1S)-7-Oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)-1,3-thiazole-5-carboxamide (**418**);

N-((1S)-1-{[(3-Fluorophenyl)amino]carbonyl}-7-oxooctyl)-1,3-thiazole-5-carboxamide (**419**);

N-((1S)-1-{[(3-Fluorophenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide (**420**);

(2S)-N-(3-Fluorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**421**);

N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1,3-thiazole-5-carboxamide (**422**);

N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide (**423**);

(2S)-N-(3-Chlorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**424**);

N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide (**425**);

(2S)-N-(3,5-Dichlorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**426**);

N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1,3-thiazole-5-carboxamide (**427**);

N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide (**428**);

(2S)-N-(3,5-Dichlorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**429**);

N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide (**430**);

N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)-1,3-thiazole-5-carboxamide (**431**);

N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide (**432**);

(2S)-N-(3-Chloro-4-fluorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**433**);

N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide (**434**);

N-{(1R)-7-Oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}-1,3-thiazole-5-carboxamide (**435**);

N-{(1R)-7-Oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}thiophene-3-carboxamide (**436**);

(2R)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**437**);

4-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}-1,2,3-thiadiazole-5-carboxamide (**438**);

N-((1S)-7-Oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)thiophene-3-carboxamide (**439**);

4-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)-1,2,3-thiadiazole-5-carboxamide (**440**);

1-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)piperidine-3-carboxamide (**441**);

1-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)piperidine-2-carboxamide (**442**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-(4-phenyl-1,3-thiazol-2-yl)nonanamide (**443**);

N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-4-methyl-1,2,3-thiadiazole-5-carboxamide (**444**);

N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide (**445**);

N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide (**446**);

(2S)-N-(3-Chlorophenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**447**);

N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-4-methyl-1,2,3-thiadiazole-5-carboxamide (**448**);

N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide (**449**);

N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide (**450**);

(2S)-N-(3,5-Dichlorophenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**451**);

N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)-4-methyl-1,2,3-thiadiazole-5-carboxamide (**452**);

N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide (**453**);

(2S)-N-(3-Chloro-4-fluorophenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**454**);

1-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}piperidine-3-carboxamide (**455**);

N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxooctyl)-1,3-thiazole-5-carboxamide (**456**);

4-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxooctyl}-1,2,3-thiadiazole-5-carboxamide (**457**);

N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxooctyl}-1,3-thiazole-5-carboxamide (**458**);

N-{(1S)-1-[(1,3-Benzothiazol-6-ylamino)carbonyl]-7-oxooctyl}-4-methyl-1,2,3-thiadiazole-5-carboxamide (**459**);

N-{(1S)-1-[(1,3-Benzothiazol-6-ylamino)carbonyl]-7-oxooctyl}-1,3-thiazole-5-carboxamide (**460**);

N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}-1-methylpiperidine-3-carboxamide (**461**);

N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}-1,3-thiazole-5-carboxamide (**462**);

N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylprolinamide (**463**);

(2S)-N-(3-Chlorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**464**);

(2S)-N-(3-Chloro-4-fluorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**465**);

N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}thiophene-3-carboxamide (**466**);
N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}-4-methyl-1,2,3-thiadiazole-5-carboxamide (**467**);
N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}-1-methylpiperidine-2-carboxamide (**468**);
1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxononyl}piperidine-3-carboxamide (**469**);
4-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxononyl}-1,2,3-thiadiazole-5-carboxamide (**470**);
1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxo-8-phenyloctyl}piperidine-3-carboxamide (**471**);
4-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxo-8-phenyloctyl}-1,2,3-thiadiazole-5-carboxamide (**472**);
1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxooctyl}piperidine-3-carboxamide (**473**);
1-Methyl-N-{(1S)-8-methyl-1-[(2-naphthylamino)carbonyl]-7-oxononyl}piperidine-3-carboxamide (**474**);
1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxo-7-phenylheptyl}piperidine-3-carboxamide (**475**);
(2S)-8-Oxo-N-quinolin-3-yl-2-{[(2,4,6-triisopropylphenyl)sulfonyl]amino}nonanamide (**476**);
(2S)-2-{[(4-Bromo-2,5-dichloro-3-thienyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**477**);
(2S)-8-Oxo-N-quinolin-3-yl-2-{[(3,5-dichlorophenyl)sulfonyl]amino}nonanamide (**478**);
(2S)-8-Oxo-N-quinolin-3-yl-2-{[(2,4,6-trichlorophenyl)sulfonyl]amino}nonanamide (**479**);
(2S)-8-Oxo-N-quinolin-3-yl-2-({[4-(trifluoromethoxy)phenyl]sulfonyl}amino)nonanamide (**480**);
(2S)-2-{[(5-Chloro-2-methoxyphenyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**481**);
(2S)-2-{[(5-Chloro-1,3-dimethyl-1H-pyrazol-4-yl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**482**);
(2S)-2-{[(2-Chloro-4-cyanophenyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**483**);
(2S)-2-[(Isoquinolin-5-ylsulfonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide (**484**);
(2S)-N-(3-Acetylphenyl)-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide(**485**);
(2S)-N-1,3-Benzothiazol-6-yl-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide (**486**);

(2S)-N-Biphenyl-3-yl-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide (**487**);
(2S)-N-[3-(Aminosulfonyl)phenyl]-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide (**488**);
(2S)-2-{[(4-Cyanophenyl)sulfonyl]amino}-N-(3-fluorophenyl)-8-oxononanamide (**489**);
(2S)-N-(3-Chlorophenyl)-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide (**490**);
(2S)-2-{[(4-Cyanophenyl)sulfonyl]amino}-N-(3,5-dichlorophenyl)-8-oxononanamide (**491**);
(2S)-2-{[(4-Cyanophenyl)sulfonyl]amino}-N-2-naphthyl-8-oxononanamide (**492**);
(2S)-N-Biphenyl-4-yl-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide (**493**);
(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-pyridin-3-yldecanamide (**494**);
(2S)-8-Oxo-2-[(phenylacetyl)amino]-N-pyridin-3-yldecanamide (**495**);
(2S)-2-[(N-Benzoylglycyl)amino]-8-oxo-N-pyridin-3-yldecanamide (**496**);
(2S)-N-Cyclopentyl-8-oxo-2-[(3-thienylacetyl)amino]decanamide (**497**);
(2S)-8-Oxo-N-pyridin-3-yl-2-[(3-thienylacetyl)amino]decanamide (**498**);
N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}-1H-pyrazole-4-carboxamide (**499**);
N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}-1-methylpiperidine-4-carboxamide (**500**);
(2S)-N-(3-Acetylphenyl)-2-[(1H-imidazol-1-ylacetyl)amino]-8-oxodecanamide (**501**);
N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)quinoxaline-6-carboxamide (**502**);
(2S)-N-(3-Acetylphenyl)-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide (**503**);
(2S)-2-[(N-Benzoylglycyl)amino]-N-(3-acetylphenyl)-8-oxodecanamide (**504**);
N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}-2-(1H-tetrazol-1-yl)benzamide (**505**);
N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}quinoxaline-6-carboxamide (**506**);
(2S)-N-Cyclopentyl-2-{[3-(1H-indol-3-yl)propanoyl]amino}-8-oxodecanamide (**507**);
N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)-1H-imidazole-2-carboxamide (**508**);
(2S)-N-(3-Acetylphenyl)-8-oxo-2-[(3-thienylacetyl)amino]decanamide (**509**);
(2S)-N-Cyclopentyl-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide (**510**);
(2S)-N-(3-Acetylphenyl)-2-[(4-methylpentanoyl)amino]-8-oxodecanamide (**511**);
N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)-1H-pyrazole-4-carboxamide (**512**);
(2S)-N-Cyclopentyl-8-oxo-2-[(phenylacetyl)amino]decanamide (**513**);
N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]nonyl}-2-(1H-tetrazol-1-yl)benzamide (**514**);
(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-pyridin-3-yldecanamide (**515**);
(2S)-N-(3-Acetylphenyl)-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide (**516**);

N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}nicotinamide (**517**);
N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]nonyl}-1H-pyrazole-4-carboxamide (**518**);
(2S)-2-(Acetylamino)-N-cyclopentyl-8-oxodecanamide (**519**);
N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)nicotinamide (**520**);
(2S)-N-Cyclopentyl-8-oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}decanamide (**521**);
(2S)-N-Cyclopentyl-2-[(4-methylpentanoyl)amino]-8-oxodecanamide (**522**);
(2S)-2-[(Cyanoacetyl)amino]-N-cyclopentyl-8-oxodecanamide (**523**);
(2S)-N-Cyclopentyl-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide (**524**);
(2S)-N-(3-Acetylphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxodecanamide (**525**);
(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-pyridin-3-yldecanamide (**526**);
N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]nonyl}quinoxaline-6-carboxamide (**527**);
(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-pyridin-3-yldecanamide (**528**);
(2S)-N-(3-Acetylphenyl)-8-oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}decanamide (**529**);
N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)-1-methylpiperidine-4-carboxamide (**530**);
(2S)-N-Cyclopentyl-2-[(1H-imidazol-1-ylacetyl)amino]-8-oxodecanamide (**531**);
N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)-2-(1H-tetrazol-1-yl)benzamide (**532**);
(2S)-N-(3-Acetylphenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide (**533**);
(2S)-N-Cyclopentyl-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide (**534**);
(2S)-N-(3-Acetylphenyl)-8-oxo-2-[(phenylacetyl)amino]decanamide (**535**);
(2S)-N-Cyclopentyl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxodecanamide (**536**);
(2S)-N-(3-Acetylphenyl)-2-{[3-(1H-indol-3-yl)propanoyl]amino}-8-oxodecanamide (**537**);
(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**538**);
(2S)-2-[(Cyanoacetyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**539**);
(2S)-N-(3-Acetylphenyl)-2-{[(methylsulfonyl)acetyl]amino}-8-oxodecanamide (**540**);
(2S)-2-[(N-Benzoylglycyl)amino]-N-2-naphthyl-8-oxodecanamide (**541**);

(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**542**);

(2S)-2-[(N-Benzoylglycyl)amino]-N-[2-(1H-indol-3-yl)ethyl]-8-oxodecanamide (**543**);

(2S)-N-[2-(1H-Indol-3-yl)ethyl]-8-oxo-2-[(phenylacetyl)amino]decanamide (**544**);

(2S)-2-[(N-Benzoylglycyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**545**);

(2S)-2-(Acetylamino)-N-2-naphthyl-8-oxodecanamide (**546**);

N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxononyl}-1H-pyrazole-4-carboxamide (**547**);

(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-{[3-(1H-indol-3-yl)propanoyl]amino}-8-oxodecanamide (**548**);

(2S)-N-2-Naphthyl-8-oxo-2-[(phenylacetyl)amino]decanamide (**549**);

N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxononyl}-1H-imidazole-2-carboxamide (**550**);

N-[(1S)-1-({[2-(1H-Indol-3-yl)ethyl]amino}carbonyl)-7-oxononyl]-1H-pyrazole-4-carboxamide (**551**);

(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**552**);

(2S)-2-(Acetylamino)-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**553**);

N-[(1S)-1-({[2-(1H-Indol-3-yl)ethyl]amino}carbonyl)-7-oxononyl]-2-(1H-tetrazol-1-yl)benzamide (**554**);

N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxononyl}-2-(1H-tetrazol-1-yl)benzamide (**555**);

(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-[(4-methylpentanoyl)amino]-8-oxodecanamide (**556**);

(2S)-N-[2-(1H-Indol-3-yl)ethyl]-8-oxo-2-[(3-thienylacetyl)amino]decanamide (**557**);

(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**558**);

(2*S*)-2-{[(methylsulfonyl)acetyl]amino}-*N*-2-naphthyl-8-oxodecanamide (**559**);

N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]quinoxaline-6-carboxamide (**560**);

(2S)-2-[(Cyanoacetyl)amino]-N-[2-(1H-indol-3-yl)ethyl]-8-oxodecanamide (**561**);

(2S)-N-[2-(1H-Indol-3-yl)ethyl]-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide (**562**);

(2S)-2-(Acetylamino)-N-[2-(1H-indol-3-yl)ethyl]-8-oxodecanamide (**563**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**564**);

(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**565**);

N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxononyl}quinoxaline-6-carboxamide (**566**);

(2S)-N-Cyclopentyl-2-{[(methylsulfonyl)acetyl]amino}-8-oxodecanamide (**567**);

N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxononyl}nicotinamide (**568**);

N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]-1H-pyrazole-4-carboxamide (**569**);

(2S)-2-[(4-Methylpentanoyl)amino]-N-2-naphthyl-8-oxodecanamide (**570**);

(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-{[(methylsulfonyl)acetyl]amino}-8-oxodecanamide (**571**);

N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]nicotinamide (**572**);

N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]-2-(1H-tetrazol-1-yl)benzamide (**573**);

(2S)-8-Oxo-2-[(phenylacetyl)amino]-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**574**);

N-[(1S)-1-({[2-(1H-Indol-3-yl)ethyl]amino}carbonyl)-7-oxononyl]nicotinamide (**575**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-2-naphthyl-8-oxodecanamide (**576**);

(2S)-2-[(Cyanoacetyl)amino]-N-2-naphthyl-8-oxodecanamide (**577**);

(2S)-N-2-Naphthyl-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide (**578**);

(2S)-2-(Acetylamino)-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**579**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide (**580**);

N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)nicotinamide (**581**);

(2S)-2-[(N,N-Dimethylglycyl)amino]-N-2-naphthyl-8-oxodecanamide (**582**);

N-((1S)-7-Oxo-1-{[(2-phenylethyl)amino]carbonyl}nonyl)-1H-pyrazole-4-carboxamide (**583**);

(2S)-2-[(N-Benzoylglycyl)amino]-N-(1-ethylpiperidin-4-yl)-8-oxodecanamide (**584**);

N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-3-(1H-indol-3-yl)propanamide (**585**);

(2S)-2-[(N-Benzoylglycyl)amino]-N-(1-benzylpiperidin-4-yl)-8-oxodecanamide (**586**);

(2S)-N-(1-Benzylpiperidin-4-yl)-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide (**587**);

(2S)-2-[(N-Benzoylglycyl)amino]-N-[2-(4-isopropylpiperazin-1-yl)ethyl]-8-oxodecanamide (**588**);

N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-4-methylpentanamide (**589**);

N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-2-(3-thienyl)acetamide (**590**);
(2S)-2-(Acetylamino)-8-oxo-N-(2-phenylethyl)decanamide (**591**);
(2S)-2-(Acetylamino)-N-(1-benzylpiperidin-4-yl)-8-oxodecanamide (**592**);
(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-(2-phenylethyl)decanamide (**593**);
(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-phenylethyl)decanamide (**594**);
N-((1S)-1-{[(1-Benzylpiperidin-4-yl)amino]carbonyl}-7-oxononyl)nicotinamide (**595**);
1-Methyl-N-((1S)-7-oxo-1-{[(2-phenylethyl)amino]carbonyl}nonyl)piperidine-4-carboxamide (**596**);
(2S)-N-[2-(1-Isopropylpiperidin-4-yl)ethyl]-2-[(4-methylpentanoyl)amino]-8-oxodecanamide (**597**);
N-((1S)-1-{[(1-Benzylpiperidin-4-yl)amino]carbonyl}-7-oxononyl)-1-methylpiperidine-4-carboxamide (**598**);
N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-2-phenylacetamide (**599**);
(2S)-N-(1-Benzylpiperidin-4-yl)-2-[(1H-imidazol-1-ylacetyl)amino]-8-oxodecanamide (**600**);
(2S)-N-(1-Benzylpiperidin-4-yl)-8-oxo-2-[(phenylacetyl)amino]decanamide (**601**);
(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-(2-phenylethyl)decanamide (**602**);
(2S)-N-(1-Benzylpiperidin-4-yl)-2-{[(methylsulfonyl)acetyl]amino}-8-oxodecanamide (**603**);
(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-(2-phenylethyl)decanamide (**604**);
N-((1*S*)-7-oxo-1-{[(2-phenylethyl)amino]carbonyl}nonyl)quinoxaline-6-carboxamide (**605**);
(2S)-2-[(Cyanoacetyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**606**);
(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**607**);
(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide (**608**);
1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxononyl}piperidine-4-carboxamide (**609**);
(2S)-2-[(N-Benzoylglycyl)amino]-N-[2-(2,3-dihydro-1H-indol-1-yl)ethyl]-8-oxodecanamide (**610**);
N-[(1S)-7-Oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]quinoxaline-6-carboxamide (**611**);
(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide (**612**);

(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**613**)

(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-(quinolin-3-ylmethyl)decanamide (**614**);

(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**615**);

(2S)-8-Oxo-2-[(phenylacetyl)amino]-N-(quinolin-3-ylmethyl)decanamide (**616**);

N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)-1H-imidazole-2-carboxamide (**617**);

(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**618**);

N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]-1-methylpiperidine-4-carboxamide (**619**);

N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]-2-(1H-tetrazol-1-yl)benzamide (**620**);

(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**621**);

(2S)-2-(Acetylamino)-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**622**);

(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-pyridin-3-yldecanamide (**623**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**624**);

(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**625**);

1-Methyl-N-[(1S)-7-oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]piperidine-4-carboxamide (**626**);

N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]nicotinamide (**627**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-[(3-thienylacetyl)amino]decanamide (**628**);

N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]-1H-pyrazole-4-carboxamide (**629**);

(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**630**);

N-[(1S)-7-Oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]nicotinamide (**631**);

N-[(1S)-7-Oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]-2-(1H-tetrazol-1-yl)benzamide (**632**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]piperidine-4-carboxamide (**633**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxodecanamide (**634**);

(2S)-2-[(N-Benzoylglycyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**635**);

(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**636**);

(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-(quinolin-3-ylmethyl)decanamide (**637**);

N-[(1S)-1-({[2-(1H-Indol-3-yl)ethyl]amino}carbonyl)-7-oxononyl]-1-methylpiperidine-4-carboxamide (**638**);

N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)-1H-pyrazole-4-carboxamide (**639**);

(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide (**640**);

(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**641**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**642**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-N-2-naphthyl-8-oxodecanamide (**643**);

(2S)-8-Oxo-N-(quinolin-3-ylmethyl)-2-[(3-thienylacetyl)amino]decanamide (**644**);

(2S)-2-[(1H-Imidazol-1-ylacetyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**645**);

N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)-2-(1H-tetrazol-1-yl)benzamide (**646**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-[(phenylacetyl)amino]decanamide (**647**);

1-Methyl-N-((1S)-7-oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)piperidine-4-carboxamide (**648**);

N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]-1H-imidazole-2-carboxamide (**649**);

(2S)-2-(Acetylamino)-N-[2-(2,3-dihydro-1H-indol-1-yl)ethyl]-8-oxodecanamide (**650**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[3-(1H-indol-3-yl)propanoyl]amino}-8-oxodecanamide (**651**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}decanamide (**652**); and

(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**653**);

or a pharmaceutically acceptable salt or stereoisomer thereof.

Further specific TFA salts of the compounds of the instant invention include:

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-N-[2-(1-naphthyl)ethyl]-8-oxononanamide (**359**);

(2S)-N-[2-(1-Naphthyl)ethyl]-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**360**);

1-Methyl-N-[(1S)-1-({[2-(1-naphthyl)ethyl]amino}carbonyl)-7-oxooctyl]piperidine-2-carboxamide (**362**);

(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-N-[2-(1-naphthyl)ethyl]-8-oxononanamide (**364**);

(2S)-N-[2-(1-Naphthyl)ethyl]-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**365**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide (**367**);

(2S)-N-[(1-Morpholin-4-ylcyclopentyl)methyl]-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**368**);

N-[(1S)-1-({[(1-Morpholin-4-ylcyclopentyl)methyl]amino}carbonyl)-7-oxooctyl]thiophene-3-carboxamide (**369**);

(2S)-2-{[3-(3-Methyl-1H-pyrazol-1-yl)propanoyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide (**370**);

(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide (**371**);

N-[(1S)-1-({[(1-Morpholin-4-ylcyclopentyl)methyl]amino}carbonyl)-7-oxooctyl]-1,3-thiazole-5-carboxamide (**372**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(1-piperidin-1-ylcyclopentyl)methyl]nonanamide (**380**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(2-methyl-2-piperidin-1-ylpropyl)-8-oxononanamide (**393**);

(2S)-N-(3-Methoxyphenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**402**);

(2S)-N-(3-Methoxyphenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**403**);

(2S)-N-(3-Methoxyphenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**409**);
N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpyrrolidine-3-carboxamide (**410**);
N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide (**411**);
N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide (**412**);
N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide (**413**);
(2S)-8-Oxo-2-[(pyrrolidin-1-ylacetyl)amino]-N-quinolin-3-ylnonanamide (**414**);
1-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}piperidine-4-carboxamide (**415**);
1-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)piperidine-4-carboxamide (**416**);
(2S)-8-Oxo-N-(4-phenyl-1,3-thiazol-2-yl)-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**417**);
(2S)-N-(3-Fluorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**421**);
(2S)-N-(3-Chlorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**424**);
N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide (**425**);
(2S)-N-(3,5-Dichlorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**426**);
(2S)-N-(3,5-Dichlorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**429**);
N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide (**430**);
(2S)-N-(3-Chloro-4-fluorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide (**433**);
N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide (**434**);
(2R)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**437**);
1-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)piperidine-3-carboxamide (**441**);
1-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)piperidine-2-carboxamide (**442**);
(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-(4-phenyl-1,3-thiazol-2-yl)nonanamide (**443**);

N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide (**445**);

N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide (**446**);

(2S)-N-(3-Chlorophenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**447**);

N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide (**449**);

N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide (**450**);

(2S)-N-(3,5-Dichlorophenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**451**);

N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide (**453**);

(2S)-N-(3-Chloro-4-fluorophenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide (**454**);

1-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}piperidine-3-carboxamide (**455**);

N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}-1-methylpiperidine-3-carboxamide (**461**);

N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylprolinamide (**463**);

(2S)-N-(3-Chlorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**464**);

(2S)-N-(3-Chloro-4-fluorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide (**465**);

N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}-1-methylpiperidine-2-carboxamide (**468**);

1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxononyl}piperidine-3-carboxamide (**469**);

1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxo-8-phenyloctyl}piperidine-3-carboxamide (**471**);

1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxooctyl}piperidine-3-carboxamide (**473**);

1-Methyl-N-{(1S)-8-methyl-1-[(2-naphthylamino)carbonyl]-7-oxononyl}piperidine-3-carboxamide (**474**);

1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxo-7-phenylheptyl}piperidine-3-carboxamide (**475**);

(2S)-8-Oxo-N-quinolin-3-yl-2-{[(2,4,6-triisopropylphenyl)sulfonyl]amino}nonanamide (**476**);

(2S)-2-{[(4-Bromo-2,5-dichloro-3-thienyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**477**);

(2S)-8-Oxo-N-quinolin-3-yl-2-{[(3,5-dichlorophenyl)sulfonyl]amino}nonanamide (**478**);

(2S)-8-Oxo-N-quinolin-3-yl-2-{[(2,4,6-trichlorophenyl)sulfonyl]amino}nonanamide (**479**);

(2S)-8-Oxo-N-quinolin-3-yl-2-({[4-(trifluoromethoxy)phenyl]sulfonyl}amino)nonanamide (**480**);

(2S)-2-{[(5-Chloro-2-methoxyphenyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**481**);

(2S)-2-{[(5-Chloro-1,3-dimethyl-1H-pyrazol-4-yl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**482**);

(2S)-2-{[(2-Chloro-4-cyanophenyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**483**);

(2S)-2-[(Isoquinolin-5-ylsulfonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide (**484**);

(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-pyridin-3-yldecanamide (**494**);

(2S)-8-Oxo-2-[(phenylacetyl)amino]-N-pyridin-3-yldecanamide (**495**);

(2S)-2-[(N-Benzoylglycyl)amino]-8-oxo-N-pyridin-3-yldecanamide (**496**);

(2S)-8-Oxo-N-pyridin-3-yl-2-[(3-thienylacetyl)amino]decanamide (**498**);

N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}-1-methylpiperidine-4-carboxamide (**500**);

(2S)-N-(3-Acetylphenyl)-2-[(1H-imidazol-1-ylacetyl)amino]-8-oxodecanamide (**501**);

(2S)-N-Cyclopentyl-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide (**510**);

N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]nonyl}-2-(1H-tetrazol-1-yl)benzamide (**514**);

(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-pyridin-3-yldecanamide (**515**);

(2S)-N-(3-Acetylphenyl)-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide (**516**);

N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]nonyl}-1H-pyrazole-4-carboxamide (**518**);

(2S)-N-Cyclopentyl-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide (**524**);

(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-pyridin-3-yldecanamide (**526**);

N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]nonyl}quinoxaline-6-carboxamide (**527**);

(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-pyridin-3-yldecanamide (**528**);

N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)-1-methylpiperidine-4-carboxamide (**530**);

(2S)-N-Cyclopentyl-2-[(1H-imidazol-1-ylacetyl)amino]-8-oxodecanamide (**531**);
(2S)-N-(3-Acetylphenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide (**533**);
(2S)-2-(Acetylamino)-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**579**);
(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide (**580**);
N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)nicotinamide (**581**);
(2S)-2-[(N,N-Dimethylglycyl)amino]-N-2-naphthyl-8-oxodecanamide (**582**);
(2S)-2-[(N-Benzoylglycyl)amino]-N-(1-ethylpiperidin-4-yl)-8-oxodecanamide (**584**);
N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-3-(1H-indol-3-yl)propanamide (**585**);
(2S)-2-[(N-Benzoylglycyl)amino]-N-(1-benzylpiperidin-4-yl)-8-oxodecanamide (**586**);
(2S)-N-(1-Benzylpiperidin-4-yl)-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide (**587**);
(2S)-2-[(N-Benzoylglycyl)amino]-N-[2-(4-isopropylpiperazin-1-yl)ethyl]-8-oxodecanamide (**588**);
N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-4-methylpentanamide (**589**);
N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-2-(3-thienyl)acetamide (**590**);
(2S)-2-(Acetylamino)-N-(1-benzylpiperidin-4-yl)-8-oxodecanamide (**592**);
N-((1S)-1-{[(1-Benzylpiperidin-4-yl)amino]carbonyl}-7-oxononyl)nicotinamide (**595**);
1-Methyl-N-((1S)-7-oxo-1-{[(2-phenylethyl)amino]carbonyl}nonyl)piperidine-4-carboxamide (**596**);
(2S)-N-[2-(1-Isopropylpiperidin-4-yl)ethyl]-2-[(4-methylpentanoyl)amino]-8-oxodecanamide (**597**);
N-((1S)-1-{[(1-Benzylpiperidin-4-yl)amino]carbonyl}-7-oxononyl)-1-methylpiperidine-4-carboxamide (**598**);
N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-2-phenylacetamide (**599**);
(2S)-N-(1-Benzylpiperidin-4-yl)-2-[(1H-imidazol-1-ylacetyl)amino]-8-oxodecanamide (**600**);
(2S)-N-(1-Benzylpiperidin-4-yl)-8-oxo-2-[(phenylacetyl)amino]decanamide (**601**);
(2S)-N-(1-Benzylpiperidin-4-yl)-2-{[(methylsulfonyl)acetyl]amino}-8-oxodecanamide (**603**);
(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-(2-phenylethyl)decanamide (**604**);
(2S)-2-[(Cyanoacetyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**606**);
(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**607**);
(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide (**608**);

1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxononyl}piperidine-4-carboxamide (**609**);

(2S)-2-[(N-Benzoylglycyl)amino]-N-[2-(2,3-dihydro-1H-indol-1-yl)ethyl]-8-oxodecanamide (**610**);

N-[(1S)-7-Oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]quinoxaline-6-carboxamide (**611**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide (**612**);

(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**613**);

(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-(quinolin-3-ylmethyl)decanamide (**614**);

(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**615**);

(2S)-8-Oxo-2-[(phenylacetyl)amino]-N-(quinolin-3-ylmethyl)decanamide (**616**);

N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)-1H-imidazole-2-carboxamide (**617**);

(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**618**);

N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]-1-methylpiperidine-4-carboxamide (**619**);

N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]-2-(1H-tetrazol-1-yl)benzamide (**620**);

(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**621**);

(2S)-2-(Acetylamino)-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**622**);

(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-pyridin-3-yldecanamide (**623**);

(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**624**);

(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**625**);

1-Methyl-N-[(1S)-7-oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]piperidine-4-carboxamide (**626**);

N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]nicotinamide (**627**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-[(3-thienylacetyl)amino]decanamide (**628**);

N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]-1H-pyrazole-4-carboxamide (**629**);

(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide (**630**);

N-[(1S)-7-Oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]nicotinamide (**631**);

N-[(1S)-7-Oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]-2-(1H-tetrazol-1-yl)benzamide (**632**);

1-Methyl-N-[(1S)-7-oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]piperidine-4-carboxamide (**633**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxodecanamide (**634**);

(2S)-2-[(N-Benzoylglycyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**635**);

(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**636**);

(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-(quinolin-3-ylmethyl)decanamide (**637**);

N-[(1S)-1-({[2-(1H-Indol-3-yl)ethyl]amino}carbonyl)-7-oxononyl]-1-methylpiperidine-4-carboxamide (**638**);

N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)-1H-pyrazole-4-carboxamide (**639**);

(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide (**640**);

(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**641**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**642**);

(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-N-2-naphthyl-8-oxodecanamide (**643**);

(2S)-8-Oxo-N-(quinolin-3-ylmethyl)-2-[(3-thienylacetyl)amino]decanamide (**644**);

(2S)-2-[(1H-Imidazol-1-ylacetyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide (**645**);

N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)-2-(1H-tetrazol-1-yl)benzamide (**646**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-[(phenylacetyl)amino]decanamide (**647**);

1-Methyl-N-((1S)-7-oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)piperidine-4-carboxamide (**648**);

N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]-1H-imidazole-2-carboxamide (**649**);

(2S)-2-(Acetylamino)-N-[2-(2,3-dihydro-1H-indol-1-yl)ethyl]-8-oxodecanamide (**650**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[3-(1H-indol-3-yl)propanoyl]amino}-8-oxodecanamide (**651**);

(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}decanamide (**652**); and

(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-(quinolin-3-ylmethyl)decanamide (**653**); or a stereosiomer thereof.

The compounds of the present invention may have asymmetric centers, chiral axes, and chiral planes (as described in: E.L. Eliel and S.H. Wilen, *Stereochemistry of Carbon Compounds*, John Wiley & Sons, New York, 1994, pages 1119-1190), and occur as racemates, racemic mixtures, and as individual diastereomers, with all possible isomers and mixtures thereof, including optical isomers, all such stereoisomers being included in the present invention. In addition, the compounds disclosed herein may exist as tautomers and both tautomeric forms are intended to be encompassed by the scope of the invention, even though only one tautomeric structure is depicted.

When any variable (e.g. R^1 and R^2, etc.) occurs more than one time in any constituent, its definition on each occurrence is independent at every other occurrence. Also, combinations of substituents and variables are permissible only if such combinations result in stable compounds. Lines drawn into the ring systems from substituents represent that the indicated bond may be attached to any of the substitutable ring atoms. If the ring system is polycyclic, it is intended that the bond be attached to any of the suitable carbon atoms on the proximal ring only.

It is understood that substituents and substitution patterns on the compounds of the instant invention can be selected by one of ordinary skill in the art to provide compounds that are chemically stable and that can be readily synthesized by techniques known in the art, as well as those methods set forth below, from readily available starting materials. If a substituent is itself substituted with more than one group, it is understood that these multiple groups may be on the same carbon or on different carbons, so long as a stable

structure results. The phrase "optionally substituted with one or more substituents" should be taken to be equivalent to the phrase "optionally substituted with at least one substituent" and in such cases the preferred embodiment will have from zero to three substituents.

As used herein, "alkyl" is intended to include both branched and straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms. For example, C_1-C_{10}, as in "C_1-C_{10} alkyl" is defined to include groups having 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10 carbons in a linear or branched arrangement. For example, "C_1-C_{10} alkyl" specifically includes methyl, ethyl, *n*-propyl, *i*-propyl, *n*-butyl, *t*-butyl, *i*-butyl, pentyl, hexyl, heptyl, octyl, nonyl, decyl, and so on. The term "cycloalkyl" means a monocyclic, bicyclic or polycyclic saturated aliphatic hydrocarbon group having the specified number of carbon atoms. For example, "cycloalkyl" includes cyclopropyl, methyl-cyclopropyl, 2,2-dimethyl-cyclobutyl, 2-ethyl-cyclopentyl, cyclohexyl, and so on. In an embodiment of the invention the term "cycloalkyl" includes the groups described immediately above and further includes monocyclic unsaturated aliphatic hydrocarbon groups. For example, "cycloalkyl" as defined in this embodiment includes cyclopropyl, methyl-cyclopropyl, 2,2-dimethyl-cyclobutyl, 2-ethyl-cyclopentyl, cyclohexyl, cyclopentenyl, cyclobutenyl, 7,7-dimethylbicyclo[2.2.1]heptyl and so on.

The term "alkylene" means a hydrocarbon diradical group having the specified number of carbon atoms. For example, "alkylene" includes -CH_2-, -CH_2CH_2- and the like.

"Alkoxy" represents either a cyclic or non-cyclic alkyl group of indicated number of carbon atoms attached through an oxygen bridge. "Alkoxy" therefore encompasses the definitions of alkyl and cycloalkyl above.

If no number of carbon atoms is specified, the term "alkenyl" refers to a non-aromatic hydrocarbon radical, straight, branched or cyclic, containing from 2 to 10 carbon atoms and at least one carbon to carbon double bond. Preferably one carbon to carbon double bond is present, and up to four non-aromatic carbon-carbon double bonds may be present. Thus, "C_2-C_6 alkenyl" means an alkenyl radical having from 2 to 6 carbon atoms. Alkenyl groups include ethenyl, propenyl, butenyl, 2-methylbutenyl, cyclopentenyl and cyclohexenyl. The straight, branched or cyclic portion of the alkenyl group may contain double bonds and may be substituted if a substituted alkenyl group is indicated.

The term "alkynyl" refers to a hydrocarbon radical straight, branched or cyclic, containing from 2 to 10 carbon atoms and at least one carbon to carbon triple bond. Up to three carbon-carbon triple bonds may be present. Thus, "C_2-C_6 alkynyl" means an

alkynyl radical having from 2 to 6 carbon atoms. Alkynyl groups include ethynyl, propynyl, butynyl, 3-methylbutynyl and so on. The straight, branched or cyclic portion of the alkynyl group may contain triple bonds and may be substituted if a substituted alkynyl group is indicated.

As used herein, "aryl" is intended to mean any stable monocyclic or bicyclic carbon ring of up to 7 atoms in each ring, wherein at least one ring is aromatic. Examples of such aryl elements include phenyl, naphthyl, tetrahydronaphthyl, indanyl, tetrahydrobenzo[7]annulenyl and biphenyl.

The term "heterocycle" or "heterocyclyl" as used herein is intended to mean a 3- to 10-membered aromatic or nonaromatic heterocycle containing from 1 to 4 heteroatoms selected from the group consisting of O, N and S, and includes bicyclic groups. "Heterocyclyl" therefore includes the above mentioned heteroaryls, as well as dihydro and tetrahydro analogs thereof. Further examples of "heterocyclyl" include, but are not limited to the following: benzoimidazolyl, benzofurandionyl, benzofuranyl, benzofurazanyl, benzopyrazolyl, benzotriazolyl, benzothiophenyl, benzoxazolyl, carbazolyl, carbolinyl, cinnolinyl, epoxidyl, furanyl, imidazolyl, indolinyl, indolyl, indolazinyl, indazolyl, isobenzofuranyl, isoindolyl, isoquinolyl, isothiazolyl, isoxazolyl, naphthpyridinyl, oxadiazolyl, oxazolyl, oxazolinyl, isoxazolinyl, oxetanyl, pyranyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridopyridinyl, pyridazinyl, pyridyl, pyrimidyl, pyrrolyl, quinazolinyl, quinolyl, quinoxalinyl, tetrahydropyranyl, tetrahydrothiopyranyl, tetrahydroisoquinolinyl, tetrazolyl, tetrazolopyridyl, thiadiazolyl, thiazolyl, thienyl, triazolyl, azetidinyl, 1,4-dioxanyl, hexahydroazepinyl, piperazinyl, piperidinyl, pyridin-2-onyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, dihydrobenzoimidazolyl, dihydrobenzofuranyl, dihydrobenzothiophenyl, dihydrobenzoxazolyl, dihydrofuranyl, dihydroimidazolyl, dihydroindolyl, dihydroisooxazolyl, dihydroisothiazolyl, dihydrooxadiazolyl, dihydrooxazolyl, dihydropyrazinyl, dihydropyrazolyl, dihydropyridinyl, dihydropyrimidinyl, dihydropyrrolyl, dihydroquinolinyl, dihydrotetrazolyl, dihydrothiadiazolyl, dihydrothiazolyl, dihydrothienyl, dihydrotriazolyl, dihydroazetidinyl, methylenedioxybenzoyl, tetrahydrofuranyl, tetrahydrothienyl, tetrahydroquinolinyl, dihydroisochromenyl, thiazolidinonyl, imidazolonyl, dihydroimidazolonyl, benzoxazolonyl, benzothiazolyl, isoindolinonyl, octahydroquinolizinyl, octahydroisoindolyl, imidazopyridinyl, azabicycloheptanyl, chromenonyl, dihydrotriazolonyl, benzothiadiazolyl, benzodioxolyl, dihydrobenzodioxinyl, triazolopyrimidinyl, dihydroisoindolyl, hydrobenzoxazolyl, azepanyl, oxazolidinyl, azabicycloheptyl and N-oxides thereof. Attachment of a heterocyclyl substituent can occur via a carbon atom or via a heteroatom.

As appreciated by those of skill in the art, "halo" or "halogen" as used herein is intended to include chloro (Cl), fluoro (F), bromo (Br) and iodo (I).

With respect to compounds of Formula I, in an embodiment, X is CH_2, C=O or $S(O)_2$.

In an embodiment, m is 1 or 2.

In another embodiment, n is 0, 1 or 2.

In another embodiment, n is 2.

In a further embodiment, n is 1.

In still a further embodiment, n is 0.

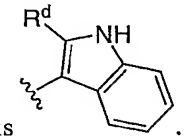
In an embodiment, q is selected from 2-4.

In an embodiment, X is CH_2.

In another embodiment, X is C=O.

In yet another embodiment, X is $S(O)_2$.

In an embodiment, R^1 is selected from: $(C=O)_aO_b(C_1\text{-}C_6)$alkyl, $NH(C=O)(C_1\text{-}C_6)$alkyl, $N(R^c)_2$, $(O)_a$-phenyl, $(C_3\text{-}C_8)$cycloalkyl, aryl and heterocyclyl; said alkyl, cycloalkyl, phenyl, aryl and heterocyclyl optionally substituted with up to three substituents selected from R^d;

In another embodiment, R^1 is 

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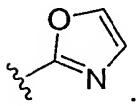
Preferably, R^1 is $(C_1\text{-}C_6)$alkyl, $O(C_1\text{-}C_6)$alkyl, $N(R^e)_2$ or a ring which is: indolyl, phenyl, isoquinolinyl, imidazopyridinyl, pyrrolidinyl, benzoimidazolyl, cyclopentyl, pyridazinyl, piperidinyl, morpholinyl, furyl, imidazolyl, phenoxy, quinolinyl, thiazolyl, tetrahydronaphthalenyl, dihydroindolyl, pyridinyl, naphthyl, tetrahydrobenzo[7]annulenyl, dihydroindenyl, dihydroisochromenyl, cyclohexyl, benzothiazolyl, isoxazolyl, piperazinyl, cycloheptyl, octahydroquinolizinyl, tetrahydroquinolinyl, biphenyl, benzoxazolyl and thienyl; said alkyl or ring being optionally substituted by up to three substituents selected from R^d.

In an embodiment, R^2 is not CF_3.

In an embodiment, R^2 is selected from: H, $(C_1\text{-}C_6)$alkyl, $(C=O)\text{-}N(R^g)_2$, CF_3, $(C_3\text{-}C_8)$cycloalkyl, aryl and heterocyclyl; said alkyl, cycloalkyl, aryl and heterocyclyl optionally substituted with up to three substituents selected from OH, halo, $N(R^c)_2$, CN, oxo, $O_b(C_1\text{-}C_6)$alkyl and NO_2. A further optional substituent is aryl.

In another embodiment, R^2 is selected from: H, $(C_1\text{-}C_6)$alkyl and heterocyclyl.

In yet another embodiment, when R^2 is heterocyclyl; said heterocyclyl is  .

In still another embodiment, R^2 is $(C_1\text{-}C_3)$alkyl.

In still another embodiment, R^2 is CH_3. A further R^2 group is ethyl.

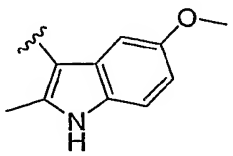
Preferably, R^2 is H or an optionally substituted $(C_1\text{-}C_6)$alkyl, aryl or heterocycle.

More particularly, R^2 is H, $(C_1\text{-}C_4)$alkyl, aryl, $(C_1\text{-}C_3)$alkylene-aryl or heterocycle.

Thus, particularly preferred R^2 groups are H, methyl, ethyl, propyl, especially isopropyl, butyl, phenyl, benzyl and oxazolyl, especially 1,3-oxazol-2-yl.

In an embodiment; R^3 is selected from: H, CF_3, oxo, OH, halogen, CN, $N(R^c)_2$, NO_2, $(C{=}O)_aO_b(C_1\text{-}C_{10})$alkyl, $(C{=}O)_aO_b(C_2\text{-}C_{10})$alkenyl, $(C{=}O)_aO_b(C_2\text{-}C_{10})$alkynyl, $(C{=}O)_aO_b(C_3\text{-}C_{10})$cycloalkyl, $(C{=}O)_aO_b(C_1\text{-}C_6)$alkylene-aryl, $(C{=}O)_aO_b$-aryl, $(C{=}O)_aO_b(C_1\text{-}C_6)$alkylene-heterocyclyl, $(C{=}O)_aO_b$-heterocyclyl, $NH(C{=}O)_a$-aryl, $(C_1\text{-}C_6)$alkyl$(O)_a$-aryl, $(C{=}O)_aO_b(C_1\text{-}C_6)$alkylene-$N(R^a)_2$, $N(R^a)_2$, $O_b(C_1\text{-}C_3)$perfluoroalkyl, $(C_1\text{-}C_6)$alkylene-$S(O)_mR^a$, $S(O)_mR^a$, $C(O)R^a$, $(C_1\text{-}C_6)$alkylene-CO_2R^a, CO_2R^a, C(O)H, $C(O)N(R^a)_2$, and $S(O)_2N(R^a)_2$; said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, alkylene and heterocyclyl is optionally substituted with up to three substituents selected from R^e.

In another embodiment, R^3 is selected from: H, CN, $N(R^c)_2$, CF_3, $(C_2\text{-}C_{10})$alkenyl, $(C_3\text{-}C_{10})$cycloalkyl, $S(O)_2(C_1\text{-}C_6)$alkyl, $(C{=}O)_aO_b(C_1\text{-}C_{10})$alkyl, $(C{=}O)_a$-aryl, $(C{=}O)_a$-heterocyclyl, S-aryl, S-heterocyclyl, $NH(C{=}O)_a$-aryl, $(C_1\text{-}C_6)$alkyl$(O)_a$-aryl; said alkyl, alkenyl, cycloalkyl, aryl and heterocyclyl is optionally substituted with up to three substituents selected from R^e.

In yet another embodiment, R^3 is  .

Preferably R^3 is H, cyano, (C_1-C_4)alkyl, (C_2-C_6)alkenyl, $N(R^c)_2$, $S(O)_mR^a$, CF_3 or a ring which is: indolyl, benzofuranyl, chromenyl, tetrahydroisoquinolinyl, pyridinyl, naphthyl, benzodioxolyl, thienyl, thiadiazolyl, cyclopropyl, cyclohexyl, thiazolidinyl, phenyl, benzoyl, isoquinolinyl, cyclopentyl, indolylcarbonyl, bicycloheptyl, pyrazinyl, piperidinyl, napthyridinyl, quinoxalinyl, quinolinyl, pyrazolyl, dihydroisoindolyl, triazolyl, hydrobenzoxazolyl, thiazolyl, dihydrotriazolyl, dihydrobenzodioxinyl, imidazolyl, azepanyl, isoxazolyl, pyrrolyl, furylcarbonyl, cycloheptyl, benzimidazolyl, dihydrobenzofuryl, phenoxyethyl, tetrahydropyranyl, morpholinyl, piperazinyl, triazolopyrimidinyl, pyrrolidinyl, dihydroimidazolyl, oxazolidinyl, benzimidazolylethyl, azetidinyl, azabicycloheptyl, octahydroisoindolyl, benzothiadiazolyl, dihydrobenzoxazinyl, benzothienyl or dihydrobenzoxazolyl; said alkyl, alkenyl or ring being optionally substituted by up to three substituents selected from R^e.

In an embodiment, R^4 is H.

In an embodiment, R^5 is H.

In another embodiment, R^5 together with $N-(CH_2)_nR^1$ forms a piperazine ring substituted by (C_1-C_6)alkyl, particularly methyl or ethyl. Specifically, R^5 together with $N-(CH_2)_nR^1$ represents 4-ethylpiperazin-1-yl.

In an embodiment, R^a is selected from: H or (C_1-C_6)alkyl, said alkyl is optionally substituted with one or more substituents selected from OH, (C_1-C_6)alkyl, (C_1-C_6)alkoxy, halogen, CO_2H, CN, $(O)C=O(C_1-C_6)$alkyl, oxo and $N(R^c)_2$. Further R^a groups include $(C=O)O(C_1-C_6)$alkyl and optionally substituted aryl and heterocycle groups.

Preferably, R^a is H, (C_1-C_6)alkyl, $(C=O)O(C_1-C_6)$alkyl, phenyl or pyridinyl. More specifically, R^a is H, methyl, ethyl, phenyl, pyridin-4-yl or *tert*butoxycarbonyl.

In an embodiment, R^c is independently selected from: H, $(C=O)_aO_b(C_1-C_6)$alkyl and $(C=O)_aO_b(C_1-C_6)$alkyl-aryl.

In another embodiment, R^c is independently selected from: H, $(C=O)_aO_b(C_1-C_6)$alkyl and $(C=O)_aO_b(C_1-C_6)$alkyl-phenyl.

Preferably, R^c is H, $(C=O)(C_1-C_6)$alkyl, (C_1-C_6)alkyl, $(C=O)O(C_1-C_6)$alkyl-aryl and (C_1-C_6)alkyl-aryl.

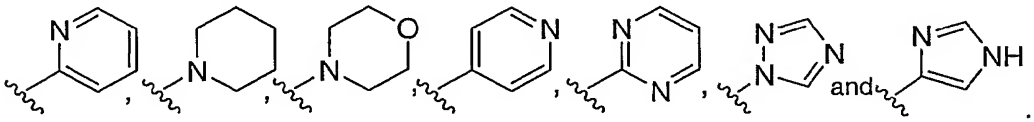
More particularly, R^c is H, acetyl, methyl, ethyl, benzyl or benzoxycarbonyl.

In an embodiment, R^d is independently selected from: NO_2, O_a-aryl, O_a-heterocyclyl, NH(C=O)-aryl, $NH(C=O)(C_1-C_6)$alkyl, $(C=O)N(R^c)_2$, O_a-perfluoroalkyl,

O_aCF_3, $(C=O)_a(C_1\text{-}C_6)$alkyl, $NHS(O)_m$-aryl, $NHS(O)_m(C_1\text{-}C_6)$alkyl, $N(R^c)_2$, $O_a(C_1\text{-}C_6)$alkyl-heterocyclyl, $S(O)_m(C_1\text{-}C_6)$alkyl, $S(O)_m$-aryl, $(C=O)_a$-aryl, $O_a(C_1\text{-}C_6)$alkyl, CN, $S(O)_mN(R^c)_2$, oxo, OH and halo; wherein said alkyl, aryl and heterocyclyl are optionally substituted with R^f.

In another embodiment, R^d is independently selected from: $(C=O)_a$-aryl, $(C_1\text{-}C_6\text{alkyl})_a$-heterocyclyl, $O_a(C_1\text{-}C_6)$alkyl, CN, $S(O)_mN(R^c)_2$, oxo, OH and halo; wherein said alkyl, aryl and heterocyclyl are optionally substituted with R^f. Further R^d groups include $(C=O)(C_1\text{-}C_6)$alkyl, CF_3 and $NH(C=O)(C_1\text{-}C_6)$alkyl.

In another embodiment, R^d is independently selected from: $(C=O)_a$-phenyl, $(C_1\text{-}C_6\text{alkyl})_a$-heterocyclyl, $O_a(C_1\text{-}C_6)$alkyl, CN, $S(O)_mN(R^c)_2$, oxo, OH and halo; wherein said alkyl, phenyl and heterocyclyl are optionally substituted with R^f; and wherein said heterocyclyl is selected from:



Further R^d groups include pyridin-3-yl, $(C=O)(C_1\text{-}C_6)$alkyl, CF_3, pyrrol-1-yl and $NH(C=O)(C_1\text{-}C_6)$alkyl.

Preferably, R^d is cyano, halo, oxo, OH, $(C_1\text{-}C_6)$alkyl, $O(C_1\text{-}C_6)$alkyl, $(C=O)(C_1\text{-}C_6)$alkyl, $SO_2N(R^c)_2$, $NH(C=O)(C_1\text{-}C_6)$alkyl, CF_3 or a ring which is phenyl, triazolyl, imidazolyl, morpholinyl, pyrimidinyl, pyridinyl, benzoyl, piperidinyl or pyrrolyl; said alkyl or ring optionally substituted by up to three substituents selected from R^f.

More particularly, R^d is phenyl, triazolyl, methyl, imidazolyl, benzyl, methoxy, morpholinyl, oxo, isopropyl, pyrimidinyl, pyridinylmethyl, fluorine, hydroxy, aminosulfonyl, benzoyl, methoxyphenyl, pyridinyl, piperidinyl, chlorine, cyano, chlorophenyl, acetyl, trifluoromethyl, pyrrolyl, ethoxy, acetylamino and ethyl.

Thus, specific R^d groups include phenyl, 1H-1,2,4-triazol-1-yl, methyl, 1H-imidazol-4-yl, benzyl, methoxy, morpholin-4-yl, oxo, isopropyl, pyrimidin-2-yl, pyridin-4-ylmethyl, fluorine, hydroxy, aminosulfonyl, benzoyl, 4-methoxyphenyl, pyridin-2-yl, piperidin-1-yl, pyridin-3-yl, chlorine, cyano, 4-chlorophenyl, acetyl, trifluoromethyl, pyrrol-1-yl, ethoxy, acetylamino and ethyl.

Particular R^1 groups are phenylindolyl, indolyl, triazolylphenyl, isoquinolinyl, methylimidazopyridinyl, imidazolylphenyl, phenylpyrrolidinyl,

benzylpyrrolidinyl, methylindolyl, methoxybenzimidazolyl, morpholinylcyclopentyl, (oxo)(phenyl)pyridazinyl, isopropylpiperidinyl, pyrimidinylpiperidinyl, (pyridinylmethyl)piperidinyl, phenylmorpholinyl, cyclopentyl, methoxy, furyl, acetylamino, phenyl, fluorophenyl, methyphenyl, methoxyphenyl, imidazolyl, phenoxy, piperidinyl, (hydroxy)(phenyl)methyl, methylpiperidinyl, difluorophenyl, dimethylamino, quinolinyl, phenylthiazolyl, tetrahydronaphthalenyl, dihydroindolyl, pyridinyl, aminosulfonylphenyl, naphthyl, morpholinyl, benzylpiperindinyl, tetrahydrobenzo[7]annulenyl, dihydroindenyl, dihydroisochromenyl, phenylcyclohexyl, benzothiazolyl, methylisoxazolyl, morpholinylphenyl, benzylpiperazinyl, benzoylpiperazinyl, (methoxyphenyl)thiazolyl, (morpholinyl)(pyridinyl)methyl, morpholinylcycloheptyl, (phenyl)(piperidinyl)methyl, phenylpiperazinyl, octahydroquinolizinyl, benzylmorpholinyl, phenylpiperidinyl, piperidinylcyclohexyl, (piperidinyl)(pyridinyl)methyl, morpholinylcyclohexyl, tetrahydroquinolinyl, thiazolyl, biphenyl, chlorophenyl, chlorobenzoxazolyl, cyanophenyl, chlorobenzothiazolyl, (chlorophenyl)thiazolyl, acetylphenyl, methoxypyridinyl, acetylthienyl, dichlorophenyl, piperidinylcyclopentyl, trifluoromethylphenyl, (chloro)(fluoro)phenyl, dimethylphenyl, (piperidinyl)propyl, pyrrolylphenyl, (cyano)(methyl)phenyl, ethoxyphenyl, (chloro)(methoxy)phenyl and acetylaminophenyl.

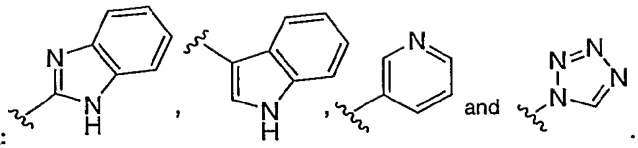
Thus, specific R^1 groups include 2-phenyl-1H-indol-3-yl, 1H-indol-3-yl, 2-(1H-1,2,4-triazol-1-yl)phenyl, isoquinolin-5-yl, 2-methylimidazo[1,2-a]pyridin-3-yl, 4-(1H-imidazol-4-yl)phenyl, 3-phenylpyrrolidin-1-yl, 1-benzylpyrrolidin-3-yl, 2-methyl-1H-indol-3-yl, 6-methoxy-1H-benzimidazol-2-yl, 1-morpholin-4-ylcyclopentyl, 6-oxo-3-phenylpyridazin-1(6H)-yl, 1-isopropylpiperdin-4-yl, 1-pyrimidin-2-ylpiperidin-4-yl, 1-(pyridin-4-ylmethyl)piperidin-4-yl, 4-phenylmorpholin-2-yl, cyclopentyl, methoxy, 2-furyl, acetylamino, phenyl, 4-fluorophenyl, 4-methylphenyl, 3-methoxyphenyl, 1H-imidazol-4-yl, phenoxy, piperidin-1-yl, 1-hydroxy-1-phenylmethyl, 3-fluorophenyl, 1-methylpiperidin-4-yl, 2,4-difluorophenyl, dimethylamino, 1H-imidazol-1-yl, quinolin-3-yl, 2-phenyl-1,3-thiazol-4-yl, 1,2,3,4-tetrahydronaphthalen-1-yl, 2,3-dihydro-1H-indol-1-yl, pyridin-3-yl, 4-(aminosulfonyl)phenyl, 1-naphthyl, morpholin-4-yl, 1-benzylpiperidin-4-yl, 6,7,8,9-tetrahydro-5H-benzo[7]annulen-7-yl, 6,7,8,9-tetrahydro-5H-benzo[7]annulen-5-yl, 6,7,8,9-tetrahydro-5H-benzo[7]annulen-6-yl, 2,3-dihydro-1H-inden-1-yl, 2,3-dihydro-1H-inden-2-yl, 1,2,3,4-tetrahydronaphthalen-2-yl, 3,4-dihydro-1H-isochromen-1-yl, 1-benzylpiperidin-3-yl, 1-phenylcyclohexyl, 1,3-benzothiazol-2-yl, 5-methylisoxazol-3-yl, 4-morpholin-4-ylphenyl, 4-benzylpiperazin-1-yl, 4-benzoylpiperazin-1-yl, 4-(4-methoxyphenyl)-1,3-thiazol-2-yl, 1-(morpholin-4-yl)-1-(pyridin-2-yl)methyl, 1-morpholin-4-ylcycloheptyl, 1-(phenyl)-1-(piperidin-1-yl)methyl, 4-phenylpiperazin-1-yl, (1S,9aR)-octahydro-2H-quinolizin-1-yl, 4-

benzylmorpholin-2-yl, 4-phenylcyclohexyl, 1-phenylpiperidin-4-yl, 1-piperidin-1-ylcyclohexyl, 2-naphthyl, 1-(piperidin-1-yl)-1-(pyridin-3-yl)methyl, 1-morpholin-4-ylcyclohexyl, 3,4-tetrahydroquinolin-1(2H)-yl, 4-phenylpiperidin-1-yl, 1,3-thiazol-2-yl, quinolin-8-yl, quinolin-5-yl, biphenyl-4-yl, 2-chlorophenyl, 4-chlorophenyl, 5-chloro-1,3-benzoxazol-2-yl, pyridin-2-yl, pyridin-4-yl, 3-chlorophenyl, 2-methoxyphenyl, 4-methoxyphenyl, 3-cyanophenyl, quinolin-6-yl, 4-cyanophenyl, 2,3-dihydro-1H-inden-4-yl, 6-chloro-1,3-benzothiazolyl-2-yl, 4-(4-chlorophenyl)-1,3-thiazol-2-yl, 4-phenyl-1,3-thiazol-2-yl, 2-methylphenyl, 3-methylphenyl, 4-acetylphenyl, 6-methoxypyridin-3-yl, 2-acetyl-3-thienyl, 3,4-dichlorophenyl, 1-piperidin-1-ylcyclopentyl, 2-fluorophenyl, 3,5-dichlorophenyl, quinolin-2-yl, isoquinolin-3-yl, 3-acetylphenyl, 3-trifluoromethylphenyl, 3,5-difluorophenyl, 3-chloro-4-fluorophenyl, 3-chloro-4-methoxyphenyl, 3,4-dimethylphenyl, 2-(piperidin-1-yl)prop-2-yl, biphenyl-3-yl, 3-(1H-pyrrol-1-yl)phenyl, 3-(aminosulfonyl)phenyl, isoquinolin-4-yl, 1,3-benzothiazol-5-yl, 3-cyano-4-methylphenyl, 4-ethoxyphenyl, 4-chloro-3-methoxyphenyl, 3-(acetylamino)phenyl and 1,3-benzothiazol-6-yl.

In an embodiment, R^e is independently selected from: $(C=O)_aCF_3$, oxo, OH, halogen, CN, NH_2, NO_2, $(C=O)_aO_b(C_1\text{-}C_{10})$alkyl, $(C=O)_aO_b(C_2\text{-}C_{10})$alkenyl, $(C=O)_aO_b(C_2\text{-}C_{10})$alkynyl, $(C=O)_aO_b(C_3\text{-}C_8)$cycloalkyl, $(C=O)_aO_b(C_1\text{-}C_6)$alkylene-aryl, $(C=O)_aO_b$-aryl, $(C=O)_aO_b(C_1\text{-}C_6)$alkylene-heterocyclyl, $(C=O)_aO_b$-heterocyclyl, $NH(C=O)_a$-aryl, $(C_1\text{-}C_6)$alkyl$(O)_a$-phenyl, $(C=O)_aO_b(C_1\text{-}C_6)$alkylene-$N(R^a)_2$, $N(R^a)_2$, $O_b(C_1\text{-}C_3)$perfluoroalkyl, $(C_1\text{-}C_6)$alkylene-$S(O)_mR^a$, $S(O)_mR^a$, $C(O)R^a$, $(C_1\text{-}C_6)$alkylene-CO_2R^a, CO_2R^a, C(O)H, $(C_1\text{-}C_6)$alkyl$_a$NH$(C_1\text{-}C_6)$alkyl-$N(R^c)_2$, $C(O)N(R^a)_2$, $(C_1\text{-}C_6)$alkyl$(C=O)_a$NH$(C_1\text{-}C_6)$alkyl-$N(R^c)_2$ and $S(O)_2N(R^a)_2$.

In another embodiment, R^e is independently selected from: $(C=O)_a$-CF_3, oxo, OH, halogen, CN, $N(R^c)_2$, $S(O)_2(C_1\text{-}C_6)$alkyl, $HN(C=O)_a(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkyl$_a(C=O)$NH$(C_1\text{-}C_6)$alkyl-$N(R^c)_2$, $O(C_1\text{-}C_6)$alkyl-$N(R^c)_2$, $(C=O)_aO_b(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_6)$alkyl-phenyl, aryl, heterocyclyl and $S(O)_2$-aryl.

In yet another embodiment, R^e is independently selected from: $(C=O)_a$-CF_3, oxo, OH, halogen, CN, $N(R^c)_2$, $S(O)_2(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkyl$_a(C=O)$NH$(C_1\text{-}C_6)$alkyl-$N(R^c)_2$, $O(C_1\text{-}C_6)$alkyl-$N(R^c)_2$, $(C=O)_aO_b(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_6)$alkyl-phenyl, aryl, heterocyclyl, $S(O)_2$-phenyl; wherein said heterocyclyl is selected

from:  . Further R^e groups include $(C_2\text{-}C_{10})$alkenyl, O-CF_3 and pyrrol-1-yl.

Preferably, R^e is bromine, chlorine, fluorine, oxo, cyano, methyl, ethyl, isopropyl, trifluoromethyl, acetyl, trifluoroacetyl, methoxy, diethylamino, acetylamino, methylsulfonyl, phenylsulfonyl, [(aminohexyl)amino](oxo)ethyl, [(benzyloxycarbonylamino)hexylamino](oxo)ethyl, (butyloxycarbonylamino)hexoxy, hexenyl, trifluoromethoxy; or a phenyl, benzyl, pyridinyl, tetrazolyl, pyrazolyl or indolyl ring.

Thus, particular R^3 groups are (methoxy)(methyl)indolyl, methyl, hydrogen, indolyl, benzofuranyl, oxochromenyl, tetrahydroisoquinolinyl, methylpyridinyl, naphthyl, benzodioxolyl, thienyl, thiadiazolyl, methylsulfonyl, pyridinyl, trifluoromethyl, cyanocyclopropyl, pyridinylethenyl, cyclohexyl, oxothiazolidinyl, biphenyl, trifluoromethylcyclohexyl, benzoyl, isoquinolinyl, methoxyindolyl, phenylcyclopentyl, methylindolyl, indolylcarbonyl, cyanophenyl, (trifluoroacetyl)tetrahydroisoquinolinyl, phenyl, (phenylsulfonyl)thienyl, (dimethyl)(oxo)bicycloheptyl, cyanopyridinyl, pyrazinyl, phenylpiperidinyl, naphthyridinyl, quinoxalinyl, quinolinyl, methylpyrazolyl, methylpiperidinyl, oxodihydroisoindolyl, dimethyltriazolyl, pyrazolyl, oxohydrobenzoxazolyl, tetrazolylphenyl, thiazolyl, oxodihydrotriazolyl, dihydrobenzodioxinyl, imidazolyl, methylazepanyl, isoxazolyl, cyano, cyclopentenyl, isopropyl, methylpyrrolyl, cyclohexenyl, methylphenyl, dimethylpyrazolyl, furylcarbonyl, cycloheptyl, methylthiadiazolyl, phenylethenyl, dimethylthiazolyl, chloropyridinyl, benzimidazolyl, methoxyphenyl, phenylthio, dimethylheptadienyl, pyridinylthio, chlorophenyl, (chloro)(fluoro)phenyl, benzoylamino, methoxybenzofuranyl, indolylethenyl, dioxodihydrobenzofuranyl, (oxo)chromenyl, diethylaminophenyl, (chlorophenoxy)ethyl, bromopyridinyl, (methyl)(phenyl)isoxazolyl, methylsulfonylthienyl, dimethoxyphenyl, benzylphenyl, pyridinylethenyl, dimethyltetrahydropyranyl, methylimidazolyl, methylmorpholinyl, methylpiperazinyl, triazolopyrimidinyl, methylpyrrolidinyl, ethylpiperidinyl, triazolyl, oxodihydroimidazolyl, isopropylpiperazinyl, oxopyrrolidinyl, (oxo)oxazolidinyl, pyrrolidinyl, {[(aminohexyl)amino](oxo)ethyl}indolyl, [{(benzyloxycarbonylamino)hexyl]aminooxyethyl}(methoxy)(methyl)indolyl, dimethylamino, pyrrolyl, morpholinyl, piperidinyl, benzimidazolylethyl, [(butyloxycarbonylamino)hexoxy](methyl)indolyl, methylpyrrolidinyl, acetylpyrrolidinyl, phenylpyrrolidinyl, benzylamino, azetidinyl, methyltetrahydroisoquinolinyl,

azabicycloheptyl, octahydroisoindolyl, diethylamino, isopropylpiperazinyl, (acetylamino)(methyl)thiazolyl, chlorothienyl, dimethylisoxazolyl, benzothiadiazolyl, methyldihydrobenzoxazinyl, cyclopentyl, dimethylimidazolyl, benzothienyl, methylazetidinyl, piperazinyl, triisopropylphenyl, (bromo)(dichloro)thienyl, dichlorophenyl, trichlorophenyl, trifluoromethoxyphenyl, (chloro)(methoxy)phenyl, (chloro)(dimethyl)pyrazolyl, (chloro)(cyano)phenyl, pyrrolylphenyl and (oxo)dihydrobenzoxazolyl.

Specific R^3 groups include 5-methoxy-2-methyl-1H-indol-3-yl, hydrogen, methyl, 1H-indol-3-yl, benzofuran-2-yl, 4-oxo-4H-chromen-3-yl, 1,2,3,4-tetrahydroisoquinolin-3-yl, 2-methylpyridin-3-yl, 1-naphthyl, 1,3-benzodioxol-5-yl, 3-thienyl, 1,2,3-thiadiazol-4-yl, methylsulfonyl, pyridin-3-yl, trifluoromethyl, 1-cyanocyclopropyl, 2-(pyridin-3-yl)ethen-1-yl, cyclohexyl, 2-oxo-1,3-thiazolidin-4-yl, biphenyl-4-yl, 4-trifluoromethylcyclohexyl, benzoyl, isoquinolin-3-yl, 5-methoxy-1H-indol-2-yl, 1-phenylcyclopentyl, 2-methyl-1H-indol-3-yl, 1-methyl-1H-indol-3-yl, 1H-indol-3-ylcarbonyl, 2-naphthyl, isoquinolin-1-yl, 1H-indol-5-yl, 4-cyanophenyl, 3-cyanophenyl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, phenyl, 5-(phenylsulfonyl)-2-thienyl, 7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl, 6-cyanopyridin-3-yl, pyrazin-2-yl, 6-phenylpiperidin-2-yl, 1,8-naphthyridin-2-yl, 1,6-naphthyridin-2-yl, biphenyl-3-yl, quinoxalin-6-yl, isoquinolin-4-yl, quinolin-5-yl, 3-methyl-1H-pyrazol-1-yl, 1-methyl-1H-pyrazol-3-yl, 1-methylpiperidin-2-yl, 3-oxo-2,3-dihydro-1H-isoindol-1-yl, 3,5-dimethyl-1H-1,2,4-triazol-1-yl, 1H-pyrazol-4-yl, 2-oxo-1,3-benzoxazol-3(2H)-yl, 4-(1H-tetrazol-1-yl)phenyl, 3-(1H-tetrazol-1-yl)phenyl, 2-(1H-tetrazol-1-yl)phenyl, 1,3-thiazol-4-yl, 1,3-thiazol-5-yl, 1H-pyrazol-3-yl, 5-oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl, 1H-pyrazol-1-yl, 2,3-dihydro-1,4-benzodioxin-2-yl, 1H-imidazol-1-yl, 1H-imidazol-2-yl, 1-methylazepan-2-yl, isoxazol-3-yl, 1,2,3,4-tetrahydroisoquinolin-1-yl, cyano, cyclopenten-3-yl, isopropyl, pyridin-2-yl, pyridin-4-yl, biphenyl-2-yl, isoxazol-4-yl, 1-methyl-1H-pyrrol-2-yl, cyclohexen-1-yl, 2-thienyl, 3-methylphenyl, 5-methylpyridin-2-yl, 1,5-dimethyl-1H-pyrazol-3-yl, 2-furylcarbonyl, cycloheptyl, 4-methyl-1,2,3-thiadiazol-5-yl, 2-phenylethen-1-yl, 2,4-dimethyl-1,3-thiazol-5-yl, 2-chloropyridin-3-yl, 1H-benzimidazol-6-yl, 4-methoxyphenyl, phenylthio, 2,6-dimethyl-1,5-heptadien-1-yl, pyridin-4-ylthio, 4-chlorophenyl, 2-chloro-4-fluorophenyl, benzoylamino, 7-methoxy-1-benzofuran-2-yl, 1H-indol-3-ylethenyl, 1,3-dioxo-1,3-dihydro-2-benzofuran-5-yl, 4-oxo-4H-chromen-2-yl, 4-(diethylamino)phenyl, 1-(4-chlorophenoxy)ethyl, 5-bromopyridin-3-yl, 5-methyl-3-phenylisoxazol-4-yl, 5-methylsulfonyl-2-thienyl, 3,5-dimethoxyphenyl, 2-benzylphenyl, pyridin-3-ylethenyl, 1,2,5-thiadiazol-3-yl, 2,2,-dimethyltetrahydro-2H-pyran-4-yl, 1-methyl-1H-imidazo-2-yl, 4-methylmorpholin-3-yl, 1-methyl-1H-pyrazol-4-yl, 4-

methylpiperazin-1-yl, [1,2,4]triazolo[1,5-a]pyrimidin-2-yl, quinolin-8-yl, 1-methylpyrrolidin-3-yl, 1-ethylpiperidin-3-yl, 1H-1,2,3-triazol-4-yl, 2-oxo-2,3-dihydro-1H-imidazol-4-yl, 4-isopropylpiperazin-1-yl, 1-ethylpiperidin-2-yl, 5-oxopyrrolidin-2-yl, 2-oxo-1,3-oxazolidin-3-yl, quinolin-4-yl, 4-methylmorpholin-2-yl, pyrrolidin-1-yl, 1-{2-[(6-aminohexyl)amino]-2-oxoethyl}-1H-indol-3-yl, 1-{2-[6-(benzyloxycarbonylamino)hexyl]amino-2-oxoethyl}-5-methoxy-2-methyl-1H-indol-3-yl, dimethylamino, 1H-pyrrol-2-yl, morpholin-2-yl, 1H-imidazol-4-yl, piperidin-3-yl, piperidin-1-yl, 1-(1H-benzimidazol-2-yl)ethyl, L-pyrrolidin-2-yl, D-pyrrolidin-2-yl, 5-[6-(*tert*-butyloxycarbonylamino)hexoxy]-2-methyl-1H-indol-3-yl, (2S)-piperidin-2-yl, (2R)-piperidin-2-yl, 1-methyl-L-pyrrolidin-2-yl, 1-methyl-D-pyrrolidin-2-yl, 1-methyl-L-piperidin-3-yl, 1-methyl-D-piperidin-3-yl, 1-acetyl-L-pyrrolidin-2-yl, 1-acetyl-D-pyrrolidin-2-yl, 1-methylpiperidin-4-yl, (2S)-1-methylpiperidin-2-yl, (2R)-1-methylpiperidin-2-yl, 4-methylpiperazin-2-yl, (5S)-5-phenyl-D-pyrrolidin-2-yl, (5R)-1-phenyl-D-pyrrolidin-2-yl, benzylamino, 4-phenylpiperidin-2-yl, 5-phenylpiperidin-2-yl, 3-phenylpiperidin-2-yl, (2R)-azetidin-2-yl, 2-methyl-1,2,3,4-tetrahydroisoquinolin-3-yl, 2-azabicyclo[2.2.1]hept-2-yl, octahydro-1H-isoindol-1-yl, diethylamino, 1-methylpiperidin-3-yl, 3-thienyl, 4-isopropylpiperazin-1-yl, 1-methylpiperidin-2-yl, 2-(acetylamino)-4-methyl-1,3-thiazol-5-yl, 5-chloro-2-thienyl, 3,5-dimethylisoxazol-4-yl, 2,1,3-benzothiadiazol-4-yl, 4-methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl, cyclopentyl, 2,3-dihydro-1,4-benzodioxin-6-yl, 3-methoxyphenyl, 1,2-dimethyl-1H-imidazol-4-yl, 1-benzothien-3-yl, piperazin-1-yl, 2,4,6-triisopropylphenyl, 4-bromo-2,5-dichlorothien-3-yl, 3,5-dichlorophenyl, 2,4,6-trichlorophenyl, 4-trifluoromethoxyphenyl, 5-chloro-2-methoxyphenyl, 5-chloro-1,3-dimethylpyrazol-4-yl, 2-chloro-4-cyanophenyl, isoquinolin-5-yl, 1,4-quinoxalin-6-yl, pyrazol-4-yl. 2-(1H-pyrrol-1-yl)phenyl and 2-oxo-1,3-benzoxazol-3(2H)-yl.

In an embodiment, R^f is independently selected from: aryl, heterocyclyl, $N(R^g)_2$ and $O_a(C_1\text{-}C_6)$alkyl. A further R^f group is halo.

In another embodiment, R^f is independently selected from: phenyl and $O_a(C_1\text{-}C_6)$alkyl. A further R^f group is halo, particularly fluorine and chlorine.

Preferably, R^f is phenyl, methoxy, fluorine, chlorine or pyridinyl. More particularly, R^f is phenyl, pyridin-4-yl, methoxy or chlorine.

In an embodiment, R^g is independently selected from: H and $(C_1\text{-}C_6)$alkyl.

Included in the instant invention is the free form of compounds of Formula I, as well as the pharmaceutically acceptable salts and stereoisomers thereof. Some of the specific compounds exemplified herein are the protonated salts of amine compounds. The term "free form" refers to the amine compounds in non-salt form. The encompassed

pharmaceutically acceptable salts not only include the salts exemplified for the specific compounds described herein, but also all the typical pharmaceutically acceptable salts of the free form of compounds of Formula I. The free form of the specific salt compounds described may be isolated using techniques known in the art. For example, the free form may be regenerated by treating the salt with a suitable dilute aqueous base solution such as dilute aqueous NaOH, potassium carbonate, ammonia and sodium bicarbonate. The free forms may differ from their respective salt forms somewhat in certain physical properties, such as solubility in polar solvents, but the acid and base salts are otherwise pharmaceutically equivalent to their respective free forms for purposes of the invention.

The pharmaceutically acceptable salts of the instant compounds can be synthesized from the compounds of this invention which contain a basic or acidic moiety by conventional chemical methods. Generally, the salts of the basic compounds are prepared either by ion exchange chromatography or by reacting the free base with stoichiometric amounts or with an excess of the desired salt-forming inorganic or organic acid in a suitable solvent or various combinations of solvents. Similarly, the salts of the acidic compounds are formed by reactions with the appropriate inorganic or organic base.

Thus, pharmaceutically acceptable salts of the compounds of this invention include the conventional non-toxic salts of the compounds of this invention as formed by reacting a basic instant compound with an inorganic or organic acid. For example, conventional non-toxic salts include those derived from inorganic acids such as hydrochloric, hydrobromic, sulfuric, sulfamic, phosphoric, nitric and the like, as well as salts prepared from organic acids such as acetic, propionic, succinic, glycolic, stearic, lactic, malic, tartaric, citric, ascorbic, pamoic, maleic, hydroxymaleic, phenylacetic, glutamic, benzoic, salicylic, sulfanilic, 2-acetoxy-benzoic, fumaric, toluenesulfonic, methanesulfonic, ethane disulfonic, oxalic, isethionic, trifluoroacetic and the like.

When the compound of the present invention is acidic, suitable "pharmaceutically acceptable salts" refers to salts prepared form pharmaceutically acceptable non-toxic bases including inorganic bases and organic bases. Salts derived from inorganic bases include aluminum, ammonium, calcium, copper, ferric, ferrous, lithium, magnesium, manganic salts, manganous, potassium, sodium, zinc and the like. Particularly preferred are the ammonium, calcium, magnesium, potassium and sodium salts. Salts derived from pharmaceutically acceptable organic non-toxic bases include salts of primary, secondary and tertiary amines, substituted amines including naturally occurring substituted amines, cyclic amines and basic ion exchange resins, such as arginine, betaine caffeine, choline, N,N^1-dibenzylethylenediamine, diethylamin, 2-diethylaminoethanol, 2-dimethylaminoethanol,

ethanolamine, ethylenediamine, N-ethylmorpholine, N-ethylpiperidine, glucamine, glucosamine, histidine, hydrabamine, isopropylamine, lysine, methylglucamine, morpholine, piperazine, piperidine, polyamine resins, procaine, purines, theobromine, triethylamine, trimethylamine tripropylamine, tromethamine and the like.

The preparation of the pharmaceutically acceptable salts described above and other typical pharmaceutically acceptable salts is more fully described by Berg *et al.*, "Pharmaceutical Salts," *J. Pharm. Sci.,* 1977:66:1-19.

It will also be noted that the compounds of the present invention are potentially internal salts or zwitterions, since under physiological conditions a deprotonated acidic moiety in the compound, such as a carboxyl group, may be anionic, and this electronic charge might then be balanced off internally against the cationic charge of a protonated or alkylated basic moiety, such as a quaternary nitrogen atom.

The compounds of this invention may be prepared by employing reactions as shown in the following schemes, in addition to other standard manipulations that are known in the literature or exemplified in the experimental procedures. The illustrative schemes below, therefore, are not limited by the compounds listed or by any particular substituents employed for illustrative purposes. Substituent numbering as shown in the schemes does not necessarily correlate to that used in the claims and often, for clarity, a single substituent is shown attached to the compound where multiple substituents are allowed under the definitions of Formula I hereinabove

REACTION SCHEMES

As shown in Scheme A, HDAC inhibitors can readily be prepared, using the general chemistry outlined below, from protected amino acids. This chemistry can be performed on racemic material, S-amino acids as illustrated or the corresponding R-amino acid. These amino acids can be prepared by those skilled in the art using standard chemistry, such as described in Williams, R. M. *Synthesis of Optically Active α-Amino Acids*, Pergamon Press, 1989. The key protected amino acid can be O-deprotected, coupled and then N-deprotected, coupled to yield the desired inhibitors. Alternatively, depending on protecting groups, these steps can be reversed, firstly coupling the N-terminus and then the C-terminus. Suitable methodology is described in Bodanszky, M. *Peptide Chemistry*, A Practical Textbook 2nd Edition, Springer-Verlag, 1993 and Jones, J. *Amino Acid and Peptide Synthesis*, Oxford University Press, 1992. Coupling procedures, methods for coupling carboxylic acids (and acid derivatives) with amines to form carboxamides are well known in the art, suitable methods are described, for example, in March, *J. Advanced Organic*

Chemistry, 3rd edition, John Wiley & Sons, 1985, pp. 370-376. In some cases further synthetic manipulation on the complete molecule can lead to other analogues.

As shown in Scheme B, one method to prepare the amino acids is to utilize Evans' oxazolidinone chemistry. (Evans, D. A. et al. *J. Am. Chem. Soc.* 1989, 111, 1063; Evans, D. A. et al. *J. Am. Chem. Soc.* 1990, 112, 4011). Accordingly, a suitably elaborated acid, bearing a protected alcohol, can be coupled to (S)-(-)-4-benzyl-2-oxazolidinone, passing through the mixed anhydride. (Suitable protecting groups are described in *Protecting Groups in Organic Synthesis*, 3rd Edition, Greene, T. W. and Wuts, P. G. M.; Wiley Interscience, 1999 and Kocienski, P. J. *Protecting Groups*, Thieme, 1994.). The resulting chiral material can then be deprotonation at -78°C with KHMDS followed by reaction with trisyl azide [2,4,6-triisopropylbenzenesulfonyl azide] and after careful quenching with AcOH, the desired azide can be obtained. The material can then be deprotected, for instance by acid treatment using pTSA in MeOH and oxidised to the methyl ketone (e.g. with Dess-Martin reagent) to yield the ketone as a single disastereomer. Cleavage of the chiral auxiliary with LiOH gives the required α-azido acid ready for further manipulation. This material can be coupled successfully and the azide can be hydrogenated to the primary amine and coupled with retention of configuration. Likewise this chemistry can be used to prepare R-amino acids starting with the appropriate R-(+)-4-benzyl-2-oxazolidinone.

As shown in Scheme C, the order of the couplings can be easily reversed and it is possible to hydrogenate the azide prior to cleaving the oxazolidinone. This was achieved using hydrogen and Pd on carbon as catalyst and requires immediately trapping out the primary amine as a salt, for instance, an HCl salt. Coupling of this material is then followed by cleavage of the oxazolidinone under basic condition. The resulting carboxylic acid can then be coupled to yield the desired inhibitors.

As shown in Scheme D, the intermediate material oxazolidinone-azide bearing a protected side chain can be manipulated in an alternative sequence where the α-azido-oxazolidinone is first converted to the *bis*-amide and then as the last step the hydroxyl protecting group is removed and the corresponding alcohol oxidised, suitable methods for oxidation include the use of pyridine-sulfur trioxide and Et_3N complex and others are described by in Hudlicky, M., Oxidations in Organic Chemistry, *Am. Chem. Soc.*, Washington, 1990.

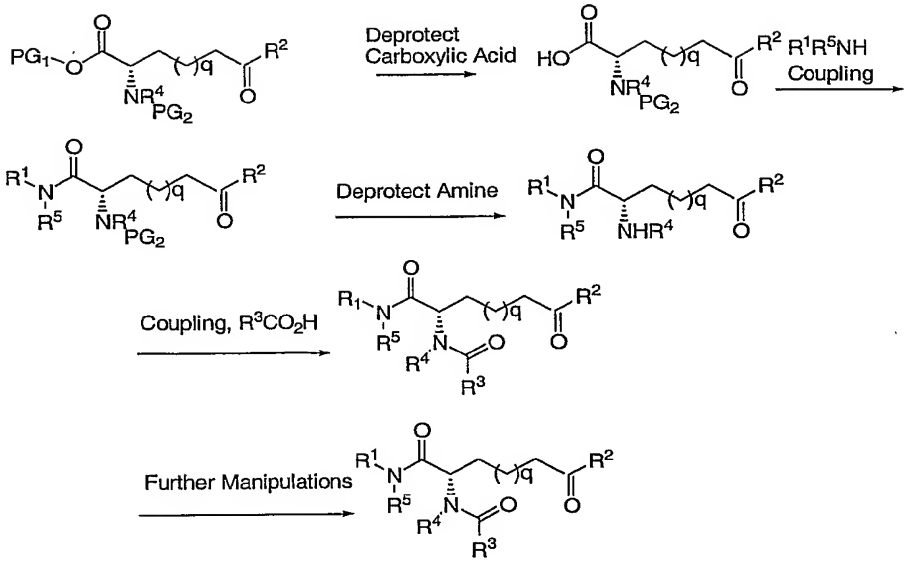
As shown is Scheme E, alternative methods to allow the functionalisation of the amino terminus of the inhibitor are illustrated. Here reductive amination with an aldehyde or a ketone as described in Robert O. Hutchins in *Comprehensive Organic Synthesis, Ed.* B.

M. Trost, Pergamon Press Vol. 8, p 25 and Ellen W. Baxter and Allen B. Reitz, *Organic Reactions, Ed.* L. E. Overman, Vol. 59, John Wiley, gives rise to an amine. In contrast, coupling the amine with a sulfonyl chloride in the presence of a base to scavenge the HCl generated, gives rise to a sulfonamide. Reaction of the free amine with an isocyanate or isothiocyanate results in the formation of the corresponding urea or thioureas respectively, see March, *J. Advanced Organic Chemistry*, 4th edition, John Wiley & Sons, 1992, pp. 903. In a similar manner, the reaction with a sulfonylisocyanate gives rise to the formation of a sulfonyl urea, for example see: M. Ilies et al. *Bioorg. Med. Chem.* 11 (2003) 2227–2239. Carbamates can also be prepared through the reaction of the amine with the corresponding chloroformate.

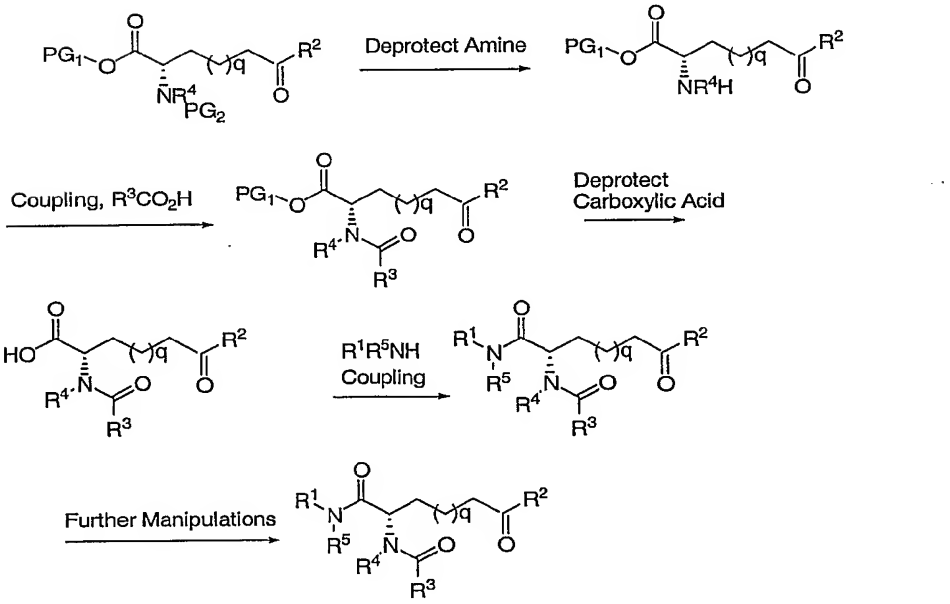
In Scheme F, a further way to vary the nature of the terminus at the end of the aliphatic chain is shown. Activation of the acid, such as by forming the acyl chloride by treatment with oxalyl chloride, allows reaction with an organometallic reagent to form a ketone. Suitable organometallics include organocuprates (see Taylor, R.J.K. *Organocopper Reagents a Practical Approach*, Oxford, 1994; and Lipshutz, B. H. and Sengupta, S. *Organic Reactions, Ed.* L. E. Overman, Vol. 41 p. 135, John Wiley,) and organozinc reagents in the presence of a transition metal catalyst (see Knochel, P. and Jones, P. *Organozinc Reagents a Practical Approach*, Oxford, 1999; and Knochel, P. et al. *Organic Reactions, Ed.* L. E. Overman, Vol. 58 p. 417, John Wiley,). The resulting protected amino acid can be manipulated as described elsewhere in this section to give suitable HDAC inhibitors.

A further synthetic approach is illustrated in Scheme G whereby alkylation of a lithiated Schollkopf derivative with a suitably functionalized alkyl iodide gives, after mild acid hydrolysis, a chiral α-amino ester (see U. Schollkopf et al. *Synthesis* 1982, 866). Double reductive amination firstly with the benzaldehyde and then with an aldehyde or a ketone (as described in Robert O. Hutchins in *Comprehensive Organic Synthesis*, Ed. B.M. Trost, Pergamon Press Vol. 8 pg. 25 and Ellen W. Baxter and Allen B. Reitz, *Organic Reactions*, Ed. L.E. Overman, Vol. 59, John Wiley) gives rise to a tertiary amine. Hydrolysis of the ester and coupling gives rise to the requisite amide and then the benzyl protecting group can be removed by hydrogenation and the secondary amine coupled to yield the desired HDAC inhibitors.

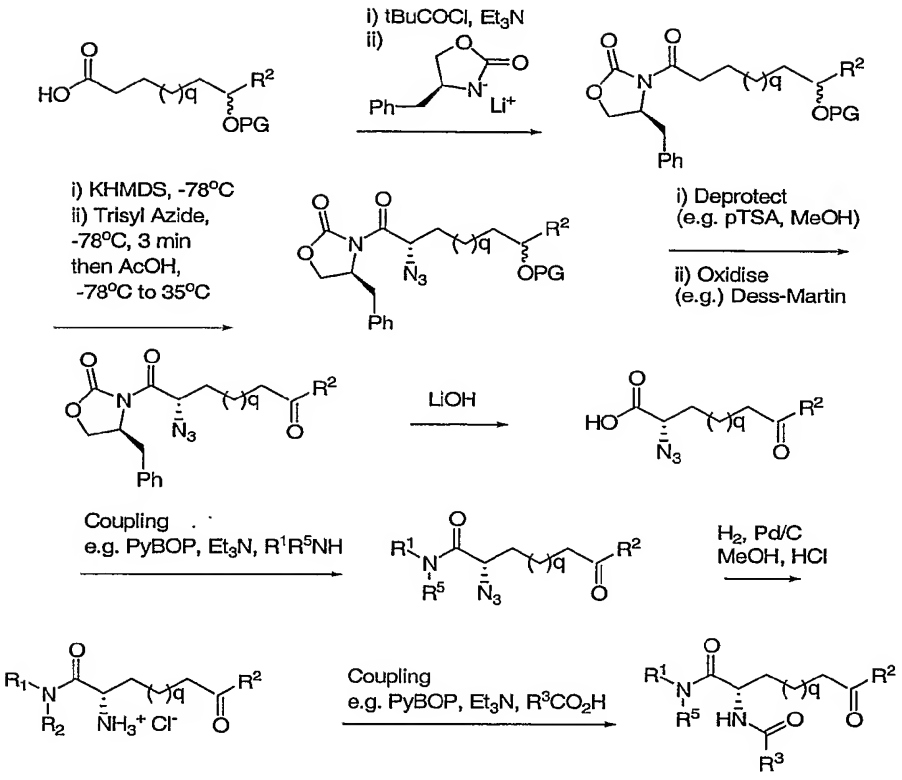
SCHEME A



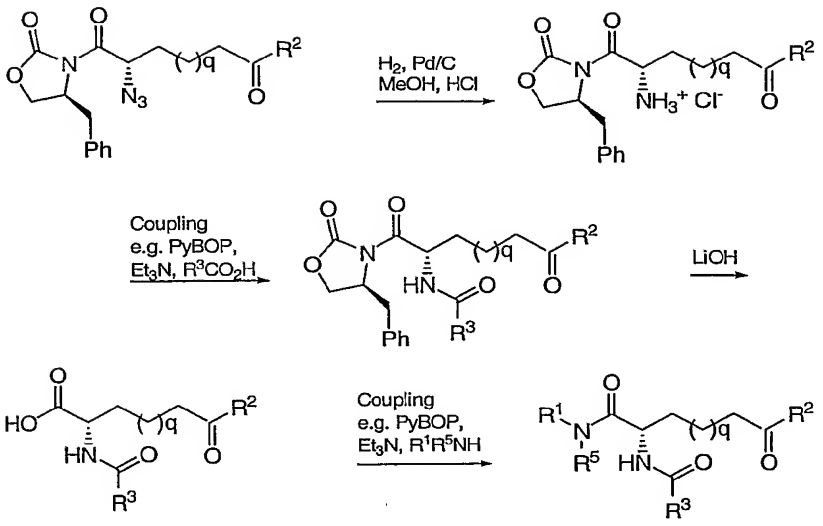
PG1 and PG2 = Protecting Groups



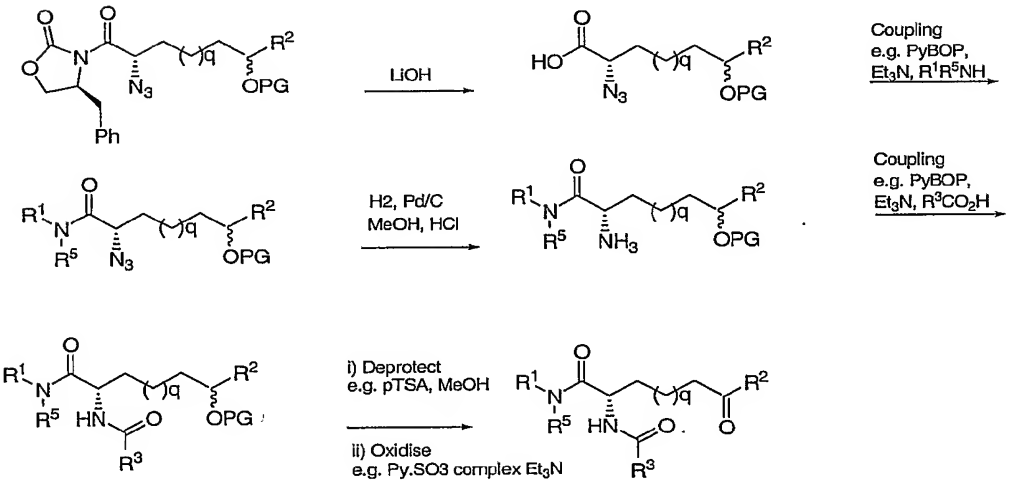
SCHEME B


i) tBuCOCl, Et3N
ii)
i) KHMDS, -78°C
ii) Trisyl Azide,
-78°C, 3 min
then AcOH,
-78°C to 35°C
i) Deprotect
(e.g. pTSA, MeOH)
ii) Oxidise
(e.g.) Dess-Martin
LiOH
Coupling
e.g. PyBOP, Et3N, R1R5NH
H2, Pd/C
MeOH, HCl
Coupling
e.g. PyBOP, Et3N, R3CO2H

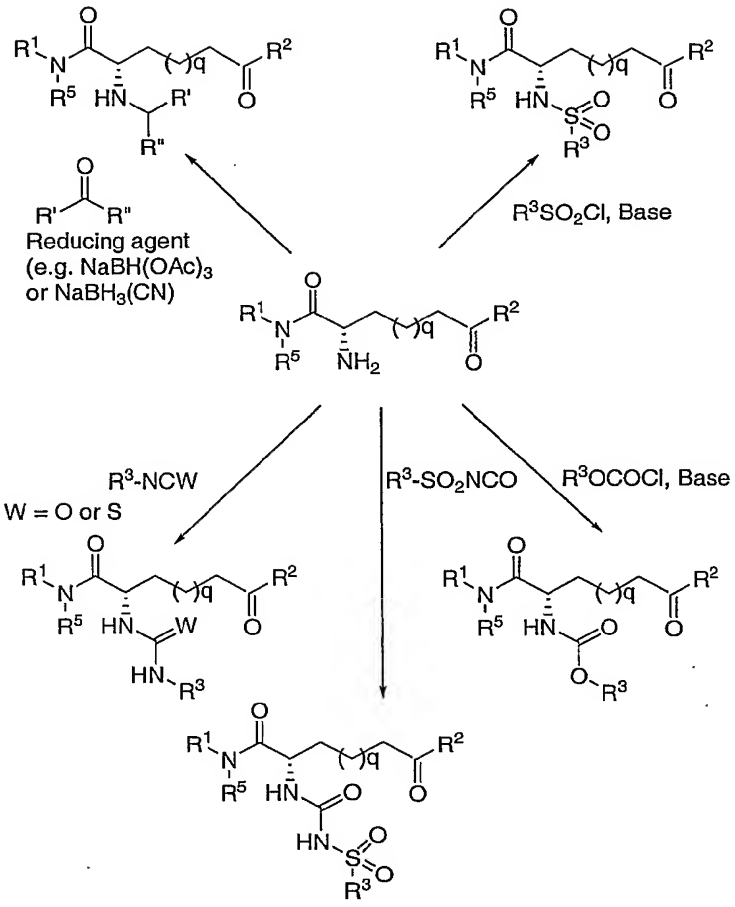
SCHEME C



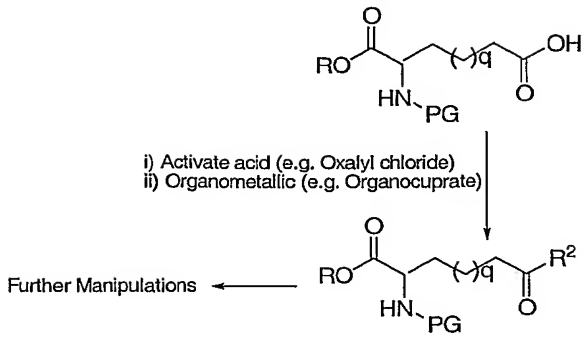
SCHEME D



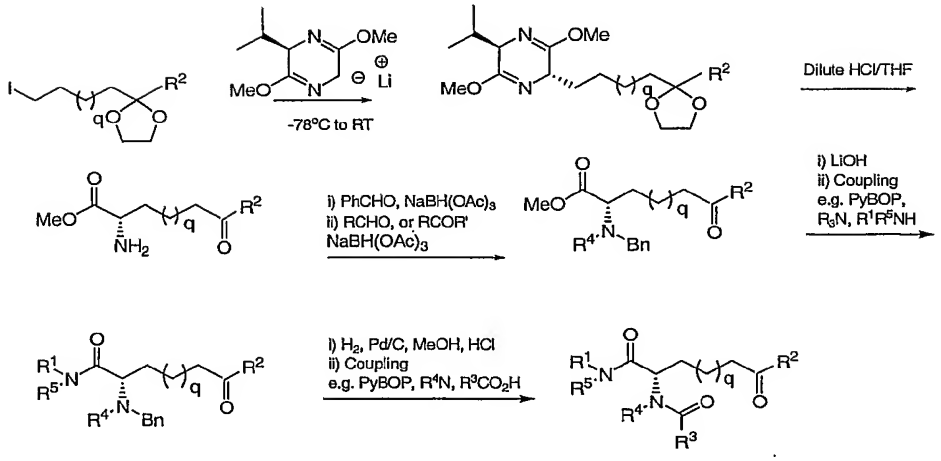
SCHEME E


R'
R"
Reducing agent
(e.g. NaBH(OAc)3
or NaBH3(CN)
R3SO2Cl, Base
R3-NCW
W = O or S
R3-SO2NCO
R3OCOCl, Base

SCHEME F



SCHEME G



UTILITY

The compounds of the invention can be used in a method of treatment of the human or animal body by therapy.

The compounds of the invention find use in a variety of applications. The compounds of the invention are histone deacetylase (HDAC) inhibitors useful in the treatment of cancer among other diseases. HDACs catalyse the removal of acetyl groups

from lysine residues on proteins, including histones and HDAC inhibitors show diverse biological functions including affecting gene expression, cell differentiation, cell cycle progression, growth arrest, and/or apoptosis. See *J. Med. Chem.* 2003, 46:5097 and *Curr. Med. Chem.* 2003, 10:2343.

The compounds of the invention are used to treat cellular proliferation diseases. Disease states which can be treated by the methods and compositions provided herein include, but are not limited to, cancer (further discussed below), neurodegenerative diseases, schizophrenia and stroke

The compounds, compositions and methods provided herein are particularly deemed useful for the treatment of cancer including solid tumors such as skin, breast, brain, cervical carcinomas, testicular carcinomas, etc. In particular, cancers that may be treated by the compounds, compositions and methods of the invention include, but are not limited to: Cardiac: sarcoma (angiosarcoma, fibrosarcoma, rhabdomyosarcoma, liposarcoma), myxoma, rhabdomyoma, fibroma, lipoma and teratoma; Lung: bronchogenic carcinoma (squamous cell, undifferentiated small cell, undifferentiated large cell, adenocarcinoma), alveolar (bronchiolar) carcinoma, bronchial adenoma, sarcoma, lymphoma, chondromatous hamartoma, mesothelioma; Gastrointestinal: esophagus (squamous cell carcinoma, adenocarcinoma, leiomyosarcoma, lymphoma), stomach (carcinoma, lymphoma, leiomyosarcoma), pancreas (ductal adenocarcinoma, insulinoma, glucagonoma, gastrinoma, carcinoid tumors, vipoma), small bowel (adenocarcinoma, lymphoma, carcinoid tumors, Karposi's sarcoma, leiomyoma, hemangioma, lipoma, neurofibroma, fibroma), large bowel (adenocarcinoma, tubular adenoma, villous adenoma, hamartoma, leiomyoma); Genitourinary tract: kidney (adenocarcinoma, Wilm's tumor [nephroblastoma], lymphoma, leukemia), bladder and urethra (squamous cell carcinoma, transitional cell carcinoma, adenocarcinoma), prostate (adenocarcinoma, sarcoma), testis (seminoma, teratoma, embryonal carcinoma, teratocarcinoma, choriocarcinoma, sarcoma, interstitial cell carcinoma, fibroma, fibroadenoma, adenomatoid tumors, lipoma); Liver: hepatoma (hepatocellular carcinoma), cholangiocarcinoma, hepatoblastoma, angiosarcoma, hepatocellular adenoma, hemangioma; Bone: osteogenic sarcoma (osteosarcoma), fibrosarcoma, malignant fibrous histiocytoma, chondrosarcoma, Ewing's sarcoma, malignant lymphoma (reticulum cell sarcoma), multiple myeloma, malignant giant cell tumor chordoma, osteochronfroma (osteocartilaginous exostoses), benign chondroma, chondroblastoma, chondromyxofibroma, osteoid osteoma and giant cell tumors; Nervous system: skull (osteoma, hemangioma, granuloma, xanthoma, osteitis deformans), meninges (meningioma, meningiosarcoma, gliomatosis), brain (astrocytoma, medulloblastoma, glioma, ependymoma, germinoma [pinealoma], glioblastoma

multiform, oligodendroglioma, schwannoma, retinoblastoma, congenital tumors), spinal cord neurofibroma, meningioma, glioma, sarcoma); Gynecological: uterus (endometrial carcinoma), cervix (cervical carcinoma, pre-tumor cervical dysplasia), ovaries (ovarian carcinoma [serous cystadenocarcinoma, mucinous cystadenocarcinoma, unclassified carcinoma], granulosa-thecal cell tumors, Sertoli-Leydig cell tumors, dysgerminoma, malignant teratoma), vulva (squamous cell carcinoma, intraepithelial carcinoma, adenocarcinoma, fibrosarcoma, melanoma), vagina (clear cell carcinoma, squamous cell carcinoma, botryoid sarcoma (embryonal rhabdomyosarcoma), fallopian tubes (carcinoma); Hematologic: blood (myeloid leukemia [acute and chronic], acute lymphoblastic leukemia, chronic lymphocytic leukemia, myeloproliferative diseases, multiple myeloma, myelodysplastic syndrome), Hodgkin's disease, non-Hodgkin's lymphoma [malignant lymphoma]; Skin: malignant melanoma, basal cell carcinoma, squamous cell carcinoma, Karposi's sarcoma, moles dysplastic nevi, lipoma, angioma, dermatofibroma, keloids, psoriasis; and Adrenal glands: neuroblastoma. Thus, the term "cancerous cell" as provided herein, includes a cell afflicted by any one of the above-identified conditions.

The compounds of the invention are also useful in preparing a medicament that is useful in treating the cellular proliferation diseases above, in particular cancer.

The present invention also provides a method for the treatment of cellular proliferation diseases, which method comprises administration to a patient in need thereof of an effective amount of a compound of this invention.

The compounds of the instant invention may also be useful in the treatment or prevention of neurodegenerative diseases, including, but not limited to, polyglutamine-expansion-related neurodegeneration, Huntington's disease, Kennedy's disease, spinocerebellar ataxia, dentatorubral-pallidoluysian atrophy (DRPLA), protein-aggregation-related neurodegeneration, Machado-Joseph's disease, Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, spongiform encephalopathy, a prion-related disease and multiple sclerosis (MS). See WO 02/090534 and WO 03/083067.

The compounds of the invention are also useful in preparing a medicament that is useful in treating or preventing neurodegenerative diseases.

The present invention also provides a method for treating or preventing neurodegenerative diseases, which method comprises administration to a patient in need thereof of an effective amount of a compound of this invention.

The compounds of the invention may also be useful in the treatment or prevention of mental retardation, in particular "X chromosome-linked mental retardation" and "Rubinstein-Taybi syndrome".

The compounds of the invention are also useful in preparing a medicament that is useful in treating or preventing mental retardation.

The present invention also provides a method for treating or preventing mental retardation, which method comprises administration to a patient in need thereof of an effective amount of a compound of this invention.

The compounds of the invention may also be useful in the treatment or prevention of schizophrenia. See WO 02/090534.

The compounds of the invention are also useful in preparing a medicament that is useful in treating or preventing schizophrenia.

The present invention also provides a method for treating or preventing schizophrenia, which method comprises administration to a patient in need thereof of an effective amount of a compound of this invention.

The compounds of the invention may also be useful in the treatment or prevention of inflammatory diseases, including, but not limited to stroke, rheumatoid arthritis, lupus erythematosus, ulcerative colitis and traumatic brain injuries. See Leoni et al., *PNAS*, 99(5):2995-3000 (2002), Suuronen et al., *J. Neurochem.* 87:407-416 (2003) and *Drug Discovery Today*, 10:197-204 (2005).

The compounds of the invention are also useful in preparing a medicament that is useful in treating or preventing inflammatory diseases such as stroke.

The present invention also provides a method for treating or preventing inflammatory diseases, which method comprises administration to a patient in need thereof of an effective amount of a compound of this invention.

The compounds of the present invention are also useful in the inhibition of smooth muscle cell proliferation and/or migration and are thus useful in the prevention and/or treatment of restenosis, for example after angioplasty and/or stent implantation.

The compounds of the invention are also useful in preparing a medicament that is useful in treating or preventing restenosis.

The present invention also provides a method for treating or prevention restenosis, which method comprises administration to a patient in need thereof of an effective amount of a compound of this invention.

In one embodiment, smooth muscle cell proliferation and/or migration is inhibited and restenosis is prevented and/or treated by providing a stent device having one or more of the compounds of the instant invention in or on the stent device, e.g. coated onto the stent device. The stent device is designed to controllably release the compounds of the

invention, thereby inhibiting smooth miscle cell proliferation and/or migration and preventing and/or treating restenosis.

Stenosis and restenosis are conditions associated with a narrowing of blood vessels. Stenosis of blood vessels generally occurs gradually over time. Restenosis, in contrast, relates to a narrowing of blood vessels following an endovascular procedure, such as balloon angioplasty and/or stent implantation, or a vascular injury.

Balloon angioplasty is typically performed to open a stenotic blood vessel; stenting is usually performed to maintain the patency of a blood vessel after, or in combination with, balloon angioplasty. A stenotic blood vessel is opened with balloon angioplasty by navigating a balloon-tipped catheter to the site of stenosis, and expanding the balloon tip effectively to dilate the occluded blood vessel. In an effort to maintain the patency of the dilated blood vessel, a stent may be implanted in the blood vessel to provide intravascular support to the opened section of the blood vessel, thereby limiting the extent to which the blood vessel will return to its occluded state after release of the balloon catheter. Restenosis is typically caused by trauma inflicted during angioplasty, effected by, for example, ballon dilation, atherectomy or laser ablation treatment of the artery. For these procedures, restenosis occurs at a rate of about 30% to about 60% depending on the vessel location, lesion length and a number of other variables. This reduces the overall success of the relatively non-invasive balloon angioplasty and stenting procedures.

Restenosis is attributed to many factors, including proliferation of smooth muscle cells (SMC). SMC proliferation is triggered by the initial mechanical injury to the intima that is sustained at the time of balloon angioplasty and stent implantation. The process is characterized by early platelet activation and thrombus formation, followed by SMC recruitment and migration, and, finally, cellular proliferation and extracellular matrix accumulation. Damaged endothelial cells, SMCs, platelets, and macrophages secrete cytokines and growth factors which promote restenosis. SMC proliferation represents the final common pathway leading to neointimal hyperplasia. Therefore, anti-proliferative therapies aimed at inhibiting specific regulatory events in the cell cycle may constitute the most reasonable approach to restenosis after angioplasty.

The compounds of the invention may also be used as immunosuppressants or immunomodulators and can accordingly be used in the treatment or prevention of immune response or immune-mediated responses and diseases such as systemic lupus erythematosus (SLE) and acute or chronic transplant rejection in a recipient of an organ, tissue or cell transplant, (see WO O5/013958).

Examples of autoimmune diseases for which the compounds of the invention may be employed include autoimmune hematological disorders (including hemolytic anaemia, aplastic anaemia, pure red cell anaemia and idiopathic thrombocytopenia), systemic lupus erythematosus, thyroiditis, Hashimoto's thyroiditis, polychondritis, sclerodoma, Wegener granulamatosis,dermatomyositis, chronic active hepatitis, myasthenia gravis, psoriasis, atopic dermatitis, vasculitis, Steven-Johnson syndrome, idiopathic sprue, autoimmune inflammatory bowel disease (including ulcerative colitis and Crohn's disease) endocrine ophthalmopathy, Graves disease, sarcoidosis, multiple sclerosis, primary billiary cirrhosis, juvenile diabetes (diabetes mellitus type I), diabetes type II and the disorders associated therewith, uveitis (anterior and posterior), keratoconjunctivitis sicca and vernal keratoconjunctivitis, interstitial lung fibrosis, psoriatic arthritis,glomerulonephritis (with and without nephrotic syndrome, including idiopathic nephrotic syndrome or minimal change nephropathy), juvenile dermatomyositisinfectious, auto-antibody mediated diseases, aplastic anemia, Evan's syndrome, autoimmune hemolytic anemia, infectious diseases causing aberrant immune response and/or activation, such as traumatic or pathogen induced immune disregulation, including for example, that which are caused by hepatitis B and C infections, staphylococcus aureus infection, viral encephalitis, sepsis, parasitic diseases wherein damage is induced by inflammatory response (e.g. leprosy); and circulatory diseases, such as arteriosclerosis, atherosclerosis, polyarteritis nodosa and myocarditis.

The compounds of the invention are also useful in preparing a medicament that is useful for the treatment or prevention of immune disorders.

The present invention also provides a method for treating or preventing immune disorders, which method comprises administration to a patent in need thereof of an effective amount of a compound of this invention.

The compounds of the invention may also be useful in the treatment or prevention of other diseases such as diabetes, cardiovascular disorders and asthma.

The compounds of this invention may be administered to mammals, preferably humans, either alone or in combination with pharmaceutically acceptable carriers, excipients or diluents, in a pharmaceutical composition, according to standard pharmaceutical practice. In one embodiment, the compounds of this invention may be administered to animals. The compounds can be administered orally or parenterally, including the intravenous, intramuscular, intraperitoneal, subcutaneous, rectal and topical routes of administration.

The pharmaceutical compositions containing the active ingredient may be in a form suitable for oral use, for example, as tablets, troches, lozenges, aqueous or oily

suspensions, dispersible powders or granules, emulsions, hard or soft capsules, or syrups or elixirs. Compositions intended for oral use may be prepared according to any method known to the art for the manufacture of pharmaceutical compositions and such compositions may contain one or more agents selected from the group consisting of sweetening agents, flavoring agents, coloring agents and preserving agents in order to provide pharmaceutically elegant and palatable preparations. Tablets contain the active ingredient in admixture with non-toxic pharmaceutically acceptable excipients which are suitable for the manufacture of tablets. These excipients may be for example, inert diluents, such as calcium carbonate, sodium carbonate, lactose, calcium phosphate or sodium phosphate; granulating and disintegrating agents, for example, microcrystalline cellulose, sodium crosscarmellose, corn starch, or alginic acid; binding agents, for example starch, gelatin, polyvinyl-pyrrolidone or acacia, and lubricating agents, for example, magnesium stearate, stearic acid or talc. The tablets may be uncoated or they may be coated by known techniques to mask the unpleasant taste of the drug or delay disintegration and absorption in the gastrointestinal tract and thereby provide a sustained action over a longer period. For example, a water soluble taste masking material such as hydroxypropyl-methylcellulose or hydroxypropylcellulose, or a time delay material such as ethyl cellulose, cellulose acetate butyrate may be employed.

Formulations for oral use may also be presented as hard gelatin capsules wherein the active ingredient is mixed with an inert solid diluent, for example, calcium carbonate, calcium phosphate or kaolin, or as soft gelatin capsules wherein the active ingredient is mixed with water soluble carrier such as polyethyleneglycol or an oil medium, for example peanut oil, liquid paraffin, or olive oil.

Aqueous suspensions contain the active material in admixture with excipients suitable for the manufacture of aqueous suspensions. Such excipients are suspending agents, for example sodium carboxymethylcellulose, methylcellulose, hydroxypropylmethyl-cellulose, sodium alginate, polyvinyl-pyrrolidone, gum tragacanth and gum acacia; dispersing or wetting agents may be a naturally-occurring phosphatide, for example lecithin, or condensation products of an alkylene oxide with fatty acids, for example polyoxyethylene stearate, or condensation products of ethylene oxide with long chain aliphatic alcohols, for example heptadecaethyleneoxycetanol, or condensation products of ethylene oxide with partial esters derived from fatty acids and a hexitol such as polyoxyethylene sorbitol monooleate, or condensation products of ethylene oxide with partial esters derived from fatty acids and hexitol anhydrides, for example polyethylene sorbitan monooleate. The aqueous suspensions may also contain one or more preservatives, for example ethyl, or n-propyl p-

hydroxybenzoate, one or more coloring agents, one or more flavoring agents, and one or more sweetening agents, such as sucrose, saccharin or aspartame.

Oily suspensions may be formulated by suspending the active ingredient in a vegetable oil, for example arachis oil, olive oil, sesame oil or coconut oil, or in mineral oil such as liquid paraffin. The oily suspensions may contain a thickening agent, for example beeswax, hard paraffin or cetyl alcohol. Sweetening agents such as those set forth above, and flavoring agents may be added to provide a palatable oral preparation. These compositions may be preserved by the addition of an anti-oxidant such as butylated hydroxyanisol or alpha-tocopherol.

Dispersible powders and granules suitable for preparation of an aqueous suspension by the addition of water provide the active ingredient in admixture with a dispersing or wetting agent, suspending agent and one or more preservatives. Suitable dispersing or wetting agents and suspending agents are exemplified by those already mentioned above. Additional excipients, for example sweetening, flavoring and coloring agents, may also be present. These compositions may be preserved by the addition of an anti-oxidant such as ascorbic acid.

The pharmaceutical compositions of the invention may also be in the form of an oil-in-water emulsions. The oily phase may be a vegetable oil, for example olive oil or arachis oil, or a mineral oil, for example liquid paraffin or mixtures of these. Suitable emulsifying agents may be naturally occurring phosphatides, for example soy bean lecithin, and esters or partial esters derived from fatty acids and hexitol anhydrides, for example sorbitan monooleate, and condensation products of the said partial esters with ethylene oxide, for example polyoxyethylene sorbitan monooleate. The emulsions may also contain sweetening, flavoring agents, preservatives and antioxidants.

Syrups and elixirs may be formulated with sweetening agents, for example glycerol, propylene glycol, sorbitol or sucrose. Such formulations may also contain a demulcent, a preservative, flavoring and coloring agents and antioxidant.

The pharmaceutical compositions may be in the form of a sterile injectable aqueous solutions. Among the acceptable vehicles and solvents that may be employed are water, Ringer's solution and isotonic sodium chloride solution.

The sterile injectable preparation may also be a sterile injectable oil-in-water microemulsion where the active ingredient is dissolved in the oily phase. For example, the active ingredient may be first dissolved in a mixture of soybean oil and lecithin. The oil solution then introduced into a water and glycerol mixture and processed to form a microemulation.

The injectable solutions or microemulsions may be introduced into a patient's blood stream by local bolus injection. Alternatively, it may be advantageous to administer the solution or microemulsion in such a way as to maintain a constant circulating concentration of the instant compound. In order to maintain such a constant concentration, a continuous intravenous delivery device may be utilized. An example of such a device is the Deltec CADD-PLUS™ model 5400 intravenous pump.

The pharmaceutical compositions may be in the form of a sterile injectable aqueous or oleagenous suspension for intramuscular and subcutaneous administration. This suspension may be formulated according to the known art using those suitable dispersing or wetting agents and suspending agents which have been mentioned above. The sterile injectable preparation may also be a sterile injectable solution or suspension in a non-toxic parenterally acceptable diluent or solvent, for example as a solution in 1,3-butane diol. In addition, sterile, fixed oils are conventionally employed as a solvent or suspending medium. For this purpose any bland fixed oil may be employed including synthetic mono- or diglycerides. In addition, fatty acids such as oleic acid find use in the preparation of injectables.

Compounds of Formula I may also be administered in the form of suppositories for rectal administration of the drug. These compositions can be prepared by mixing the drug with a suitable non-irritating excipient which is solid at ordinary temperatures but liquid at the rectal temperature and will therefore melt in the rectum to release the drug. Such materials include cocoa butter, glycerinated gelatin, hydrogenated vegetable oils, mixtures of polyethylene glycols of various molecular weights and fatty acid esters of polyethylene glycol.

For topical use, creams, ointments, jellies, solutions or suspensions, etc., containing the compound of Formula I are employed. (For purposes of this application, topical application shall include mouth washes and gargles.)

The compounds for the present invention can be administered in intranasal form via topical use of suitable intranasal vehicles and delivery devices, or via transdermal routes, using those forms of transdermal skin patches well known to those of ordinary skill in the art. To be administered in the form of a transdermal delivery system, the dosage administration will, of course, be continuous rather than intermittent throughout the dosage regimen. Compounds of the present invention may also be delivered as a suppository employing bases such as cocoa butter, glycerinated gelatin, hydrogenated vegetable oils, mixtures of polyethylene glycols of various molecular weights and fatty acid esters of polyethylene glycol.

When a compound according to this invention is administered into a human subject, the daily dosage will normally be determined by the prescribing physician with the dosage generally varying according to the age, weight, sex and response of the individual patient, as well as the severity of the patient's symptoms.

In one exemplary application, a suitable amount of compound is administered to a mammal undergoing treatment for cancer. Administration occurs in an amount between about 0.1 mg/kg of body weight to about 60 mg/kg of body weight per day, preferably of between 0.5 mg/kg of body weight to about 40 mg/kg of body weight per day.

The instant compounds are also useful in combination with known therapeutic agents and anti-cancer agents. Thus, this invention provides combinations of compounds of formula (I) and known therapeutic agents and/or anti-cancer agents for simultaneous, separate or sequential administration. For example, instant compounds are useful in combination with known anti-cancer agents. Combinations of the presently disclosed compounds with other anti-cancer or chemotherapeutic agents are within the scope of the invention. Examples of such agents can be found in *Cancer Principles and Practice of Oncology* by V.T. Devita and S. Hellman (editors), 6th edition (February 15, 2001), Lippincott Williams & Wilkins Publishers. A person of ordinary skill in the art would be able to discern which combinations of agents would be useful based on the particular characteristics of the drugs and the cancer involved. Such anti-cancer agents include, but are not limited to, the following: other HDAC inhibitors, estrogen receptor modulators, androgen receptor modulators, retinoid receptor modulators, cytotoxic/cytostatic agents, antiproliferative agents, prenyl-protein transferase inhibitors, HMG-CoA reductase inhibitors and other angiogenesis inhibitors, inhibitors of cell proliferation and survival signaling, apoptosis inducing agents and agents that interfere with cell cycle checkpoints. The instant compounds are particularly useful when co-administered with radiation therapy.

In an embodiment, the instant compounds are also useful in combination with known anti-cancer agents including the following: other HDAC inhibitors, estrogen receptor modulators, androgen receptor modulators, retinoid receptor modulators, cytotoxic agents, antiproliferative agents, prenyl-protein transferase inhibitors, HMG-CoA reductase inhibitors, HIV protease inhibitors, reverse transcriptase inhibitors, and other angiogenesis inhibitors.

Examples of "other HDAC inhibitors" include suberoylanilide hydroxamic acid (SAHA), LAQ824, LBH589, PXD101, MS275, FK228, valproic acid, butyric acid and CI-994.

"Estrogen receptor modulators" refers to compounds that interfere with or inhibit the binding of estrogen to the receptor, regardless of mechanism. Examples of estrogen receptor modulators include, but are not limited to, tamoxifen, raloxifene, idoxifene, LY353381, LY117081, toremifene, fulvestrant, 4-[7-(2,2-dimethyl-1-oxopropoxy-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-2H-1-benzopyran-3-yl]-phenyl-2,2-dimethylpropanoate, 4,4'-dihydroxybenzophenone-2,4-dinitrophenyl-hydrazone, and SH646.

"Androgen receptor modulators" refers to compounds which interfere or inhibit the binding of androgens to the receptor, regardless of mechanism. Examples of androgen receptor modulators include finasteride and other 5α-reductase inhibitors, nilutamide, flutamide, bicalutamide, liarozole, and abiraterone acetate.

"Retinoid receptor modulators" refers to compounds which interfere or inhibit the binding of retinoids to the receptor, regardless of mechanism. Examples of such retinoid receptor modulators include bexarotene, tretinoin, 13-cis-retinoic acid, 9-cis-retinoic acid, α-difluoromethylornithine, ILX23-7553, trans-N-(4'-hydroxyphenyl) retinamide, and N-4-carboxyphenyl retinamide.

"Cytotoxic/cytostatic agents" refer to compounds which cause cell death or inhibit cell proliferation primarily by interfering directly with the cell's functioning or inhibit or interfere with cell mytosis, including alkylating agents, tumor necrosis factors, intercalators, hypoxia activatable compounds, microtubule inhibitors/microtubule-stabilizing agents, inhibitors of mitotic kinesins, inhibitors of kinases involved in mitotic progression, antimetabolites; biological response modifiers; hormonal/anti-hormonal therapeutic agents, haematopoietic growth factors, monoclonal antibody targeted therapeutic agents, topoisomerase inhibitors, proteasome inhibitors and ubiquitin ligase inhibitors.

Examples of cytotoxic agents include, but are not limited to, sertenef, cachectin, ifosfamide, tasonermin, lonidamine, carboplatin, altretamine, prednimustine, dibromodulcitol, ranimustine, fotemustine, nedaplatin, oxaliplatin, temozolomide, heptaplatin, estramustine, improsulfan tosilate, trofosfamide, nimustine, dibrospidium chloride, pumitepa, lobaplatin, satraplatin, profiromycin, cisplatin, irofulven, dexifosfamide, cis-aminedichloro(2-methyl-pyridine)platinum, benzylguanine, glufosfamide, GPX100, (trans, trans, trans)-bis-mu-(hexane-1,6-diamine)-mu-[diamine-platinum(II)]bis[diamine(chloro)platinum (II)]tetrachloride, diarizidinylspermine, arsenic trioxide, 1-(11-dodecylamino-10-hydroxyundecyl)-3,7-dimethylxanthine, zorubicin, idarubicin, daunorubicin, bisantrene, mitoxantrone, pirarubicin, pinafide, valrubicin, amrubicin, antineoplaston, 3'-deamino-3'-morpholino-13-deoxo-10-hydroxycarminomycin,

annamycin, galarubicin, elinafide, MEN10755, and 4-demethoxy-3-deamino-3-aziridinyl-4-methylsulphonyl-daunorubicin (see WO 00/50032).

An example of a hypoxia activatable compound is tirapazamine.

Examples of proteasome inhibitors include but are not limited to lactacystin, bortezomib, epoxomicin and peptide aldehydes such as MG 132, MG 115 and PSI.

In an embodiment, the compounds of the present invention may be used in combination with other HDAC inhibitors such as SAHA and proteasome inhibitors.

Examples of microtubule inhibitors/microtubule-stabilising agents include paclitaxel, vindesine sulfate, 3',4'-didehydro-4'-deoxy-8'-norvincaleukoblastine, docetaxol, rhizoxin, dolastatin, mivobulin isethionate, auristatin, cemadotin, RPR109881, BMS184476, vinflunine, cryptophycin, 2,3,4,5,6-pentafluoro-N-(3-fluoro-4-methoxyphenyl) benzene sulfonamide, anhydrovinblastine, N,N-dimethyl-L-valyl-L-valyl-N-methyl-L-valyl-L-prolyl-L-proline-t-butylamide, TDX258, the epothilones (see for example U.S. Pat. Nos. 6,284,781 and 6,288,237) and BMS188797.

Some examples of topoisomerase inhibitors are topotecan, hycaptamine, irinotecan, rubitecan, 6-ethoxypropionyl-3',4'-O-exo-benzylidene-chartreusin, 9-methoxy-N,N-dimethyl-5-nitropyrazolo[3,4,5-kl]acridine-2-(6H) propanamine, 1-amino-9-ethyl-5-fluoro-2,3-dihydro-9-hydroxy-4-methyl-1H,12H-benzo[de]pyrano[3',4':b,7]-indolizino[1,2b]quinoline-10,13(9H,15H)dione, lurtotecan, 7-[2-(N-isopropylamino)ethyl]-(20S)camptothecin, BNP1350, BNPI1100, BN80915, BN80942, etoposide phosphate, teniposide, sobuzoxane, 2'-dimethylamino-2'-deoxy-etoposide, GL331, N-[2-(dimethylamino)ethyl]-9-hydroxy-5,6-dimethyl-6H-pyrido[4,3-b]carbazole-1-carboxamide, asulacrine, (5a, 5aB, 8aa,9b)-9-[2-[N-[2-(dimethylamino)ethyl]-N-methylamino]ethyl]-5-[4-hydroOxy-3,5-dimethoxyphenyl]-5,5a,6,8,8a,9-hexohydrofuro(3',4':6,7)naphtho(2,3-d)-1,3-dioxol-6-one, 2,3-(methylenedioxy)-5-methyl-7-hydroxy-8-methoxybenzo[c]-phenanthridinium, 6,9-bis[(2-aminoethyl)amino]benzo[g]isoguinoline-5,10-dione, 5-(3-aminopropylamino)-7,10-dihydroxy-2-(2-hydroxyethylaminomethyl)-6H-pyrazolo[4,5,1-de]acridin-6-one, N-[1-[2(diethylamino)ethylamino]-7-methoxy-9-oxo-9H-thioxanthen-4-ylmethyl]formamide, N-(2-(dimethylamino)ethyl)acridine-4-carboxamide, 6-[[2-(dimethylamino)ethyl]amino]-3-hydroxy-7H-indeno[2,1-c] quinolin-7-one, and dimesna.

Examples of inhibitors of mitotic kinesins, and in particular the human mitotic kinesin KSP, are described in PCT Publications WO 01/30768, WO 01/98278, WO 03/050,064, WO 03/050,122, WO 03/049,527, WO 03/049,679, WO 03/049,678 and WO 03/39460 and pending PCT Appl. Nos. US03/06403 (filed March 4, 2003), US03/15861 (filed May 19, 2003), US03/15810 (filed May 19, 2003), US03/18482 (filed June 12, 2003)

and US03/18694 (filed June 12, 2003). In an embodiment inhibitors of mitotic kinesins include, but are not limited to inhibitors of KSP, inhibitors of MKLP1, inhibitors of CENP-E, inhibitors of MCAK, inhibitors of Kif14, inhibitors of Mphosph1 and inhibitors of Rab6-KIFL.

"Inhibitors of kinases involved in mitotic progression" include, but are not limited to, inhibitors of aurora kinase, inhibitors of Polo-like kinases (PLK) (in particular inhibitors of PLK-1), inhibitors of bub-1 and inhibitors of bub-R1.

"Antiproliferative agents" includes antisense RNA and DNA oligonucleotides such as G3139, ODN698, RVASKRAS, GEM231, and INX3001, and antimetabolites such as enocitabine, carmofur, tegafur, pentostatin, doxifluridine, trimetrexate, fludarabine, capecitabine, galocitabine, cytarabine ocfosfate, fosteabine sodium hydrate, raltitrexed, paltitrexid, emitefur, tiazofurin, decitabine, nolatrexed, pemetrexed, nelzarabine, 2'-deoxy-2'-methylidenecytidine, 2'-fluoromethylene-2'-deoxycytidine, N-[5-(2,3-dihydro-benzofuryl)sulfonyl]-N'-(3,4-dichlorophenyl)urea, N6-[4-deoxy-4-[N2-[2(E),4(E)-tetradecadienoyl]glycylamino]-L-glycero-B-L-manno-heptopyranosyl]adenine, aplidine, ecteinascidin, troxacitabine, 4-[2-amino-4-oxo-4,6,7,8-tetrahydro-3H-pyrimidino[5,4-b][1,4]thiazin-6-yl-(S)-ethyl]-2,5-thienoyl-L-glutamic acid, aminopterin, 5-flurouracil, alanosine, 11-acetyl-8-(carbamoyloxymethyl)-4-formyl-6-methoxy-14-oxa-1,11-diazatetracyclo(7.4.1.0.0)-tetradeca-2,4,6-trien-9-yl acetic acid ester, swainsonine, lometrexol, dexrazoxane, methioninase, 2'-cyano-2'-deoxy-N4-palmitoyl-1-B-D-arabino furanosyl cytosine and 3-aminopyridine-2-carboxaldehyde thiosemicarbazone.

Examples of monoclonal antibody targeted therapeutic agents include those therapeutic agents which have cytotoxic agents or radioisotopes attached to a cancer cell specific or target cell specific monoclonal antibody. Examples include Bexxar.

"HMG-CoA reductase inhibitors" refers to inhibitors of 3-hydroxy-3-methylglutaryl-CoA reductase. Examples of HMG-CoA reductase inhibitors that may be used include but are not limited to lovastatin (MEVACOR®; see U.S. Pat. Nos. 4,231,938, 4,294,926 and 4,319,039), simvastatin (ZOCOR®; see U.S. Pat. Nos. 4,444,784, 4,820,850 and 4,916,239), pravastatin (PRAVACHOL®; see U.S. Pat. Nos. 4,346,227, 4,537,859, 4,410,629, 5,030,447 and 5,180,589), fluvastatin (LESCOL®; see U.S. Pat. Nos. 5,354,772, 4,911,165, 4,929,437, 5,189,164, 5,118,853, 5,290,946 and 5,356,896) and atorvastatin (LIPITOR®; see U.S. Pat. Nos. 5,273,995, 4,681,893, 5,489,691 and 5,342,952). The structural formulas of these and additional HMG-CoA reductase inhibitors that may be used in the instant methods are described at page 87 of M. Yalpani, "Cholesterol Lowering

Drugs", *Chemistry & Industry*, pp. 85-89 (5 February 1996) and US Patent Nos. 4,782,084 and 4,885,314. The term HMG-CoA reductase inhibitor as used herein includes all pharmaceutically acceptable lactone and open-acid forms (i.e., where the lactone ring is opened to form the free acid) as well as salt and ester forms of compounds which have HMG-CoA reductase inhibitory activity, and therefor the use of such salts, esters, open-acid and lactone forms is included within the scope of this invention.

"Prenyl-protein transferase inhibitor" refers to a compound which inhibits any one or any combination of the prenyl-protein transferase enzymes, including farnesyl-protein transferase (FPTase), geranylgeranyl-protein transferase type I (GGPTase-I), and geranylgeranyl-protein transferase type-II (GGPTase-II, also called Rab GGPTase).

Examples of prenyl-protein transferase inhibitors can be found in the following publications and patents: WO 96/30343, WO 97/18813, WO 97/21701, WO 97/23478, WO 97/38665, WO 98/28980, WO 98/29119, WO 95/32987, U.S. Pat. No. 5,420,245, U.S. Pat. No. 5,523,430, U.S. Pat. No. 5,532,359, U.S. Pat. No. 5,510,510, U.S. Pat. No. 5,589,485, U.S. Pat. No. 5,602,098, European Patent Publ. 0 618 221, European Patent Publ. 0 675 112, European Patent Publ. 0 604 181, European Patent Publ. 0 696 593, WO 94/19357, WO 95/08542, WO 95/11917, WO 95/12612, WO 95/12572, WO 95/10514, U.S. Pat. No. 5,661,152, WO 95/10515, WO 95/10516, WO 95/24612, WO 95/34535, WO 95/25086, WO 96/05529, WO 96/06138, WO 96/06193, WO 96/16443, WO 96/21701, WO 96/21456, WO 96/22278, WO 96/24611, WO 96/24612, WO 96/05168, WO 96/05169, WO 96/00736, U.S. Pat. No. 5,571,792, WO 96/17861, WO 96/33159, WO 96/34850, WO 96/34851, WO 96/30017, WO 96/30018, WO 96/30362, WO 96/30363, WO 96/31111, WO 96/31477, WO 96/31478, WO 96/31501, WO 97/00252, WO 97/03047, WO 97/03050, WO 97/04785, WO 97/02920, WO 97/17070, WO 97/23478, WO 97/26246, WO 97/30053, WO 97/44350, WO 98/02436, and U.S. Pat. No. 5,532,359.

For an example of the role of a prenyl-protein transferase inhibitor on angiogenesis see *European J. of Cancer*, Vol. 35, No. 9, pp.1394-1401 (1999).

"Angiogenesis inhibitors" refers to compounds that inhibit the formation of new blood vessels, regardless of mechanism. Examples of angiogenesis inhibitors include, but are not limited to, tyrosine kinase inhibitors, such as inhibitors of the tyrosine kinase receptors Flt-1 (VEGFR1) and Flk-1/KDR (VEGFR2), inhibitors of epidermal-derived, fibroblast-derived, or platelet derived growth factors, MMP (matrix metalloprotease) inhibitors, integrin blockers, interferon-α, interleukin-12, pentosan polysulfate, cyclooxygenase inhibitors, including nonsteroidal anti-inflammatories (NSAIDs) like aspirin

and ibuprofen as well as selective cyclooxy-genase-2 inhibitors like celecoxib and rofecoxib (*PNAS*, Vol. 89, p. 7384 (1992); *JNCI*, Vol. 69, p. 475 (1982); *Arch. Opthalmol.*, Vol. 108, p.573 (1990); *Anat. Rec.*, Vol. 238, p. 68 (1994); *FEBS Letters*, Vol. 372, p. 83 (1995); *Clin, Orthop.* Vol. 313, p. 76 (1995); *J. Mol. Endocrinol.*, Vol. 16, p.107 (1996); *Jpn. J. Pharmacol.*, Vol. 75, p. 105 (1997); *Cancer Res.*, Vol. 57, p. 1625 (1997); *Cell*, Vol. 93, p. 705 (1998); *Intl. J. Mol. Med.*, Vol. 2, p. 715 (1998); *J. Biol. Chem.*, Vol. 274, p. 9116 (1999)), steroidal anti-inflammatories (such as corticosteroids, mineralocorticoids, dexamethasone, prednisone, prednisolone, methylpred, betamethasone), carboxyamidotriazole, combretastatin A-4, squalamine, 6-O-chloroacetyl-carbonyl)-fumagillol, thalidomide, angiostatin, troponin-1, angiotensin II antagonists (see Fernandez et al., *J. Lab. Clin. Med.* 105:141-145 (1985)), and antibodies to VEGF (see, *Nature Biotechnology*, Vol. 17, pp.963-968 (October 1999); Kim et al., *Nature*, *362*, 841-844 (1993); WO 00/44777; and WO 00/61186).

Other therapeutic agents that modulate or inhibit angiogenesis and may also be used in combination with the compounds of the instant invention include agents that modulate or inhibit the coagulation and fibrinolysis systems (see review in *Clin. Chem. La. Med.* 38:679-692 (2000)). Examples of such agents that modulate or inhibit the coagulation and fibrinolysis pathways include, but are not limited to, heparin (see *Thromb. Haemost.* 80:10-23 (1998)), low molecular weight heparins and carboxypeptidase U inhibitors (also known as inhibitors of active thrombin activatable fibrinolysis inhibitor [TAFIa]) (see *Thrombosis Res.* 101:329-354 (2001)). TAFIa inhibitors have been described in PCT Publication WO 03/013,526 and U,S, Ser. No. 60/349,925 (filed January 18, 2002).

"Agents that interfere with cell cycle checkpoints" refer to compounds that inhibit protein kinases that transduce cell cycle checkpoint signals, thereby sensitizing the cancer cell to DNA damaging agents. Such agents include inhibitors of ATR, ATM, the Chk1 and Chk2 kinases and cdk and cdc kinase inhibitors and are specifically exemplified by 7-hydroxystaurosporin, flavopiridol, CYC202 (Cyclacel) and BMS-387032.

"Inhibitors of cell proliferation and survival signaling pathway" refer to pharmaceutical agents that inhibit cell surface receptors and signal transduction cascades downstream of those surface receptors. Such agents include inhibitors of inhibitors of EGFR (for example gefitinib and erlotinib), inhibitors of ERB-2 (for example trastuzumab), inhibitors of IGFR, inhibitors of cytokine receptors, inhibitors of MET, inhibitors of PI3K (for example LY294002), serine/threonine kinases (including but not limited to inhibitors of Akt such as described in (WO 03/086404, WO 03/086403, WO 03/086394, WO 03/086279, WO 02/083675, WO 02/083139, WO 02/083140 and WO 02/083138), inhibitors of Raf

kinase (for example BAY-43-9006), inhibitors of MEK (for example CI-1040 and PD-098059) and inhibitors of mTOR (for example Wyeth CCI-779 and Ariad AP23573). Such agents include small molecule inhibitor compounds and antibody antagonists.

"Apoptosis inducing agents" include activators of TNF receptor family members (including the TRAIL receptors).

The invention also encompasses combinations with NSAID's which are selective COX-2 inhibitors. For purposes of this specification NSAID's which are selective inhibitors of COX-2 are defined as those which possess a specificity for inhibiting COX-2 over COX-1 of at least 100 fold as measured by the ratio of IC_{50} for COX-2 over IC_{50} for COX-1 evaluated by cell or microsomal assays. Such compounds include, but are not limited to those disclosed in U.S. Pat. 5,474,995, U.S. Pat. 5,861,419, U.S. Pat. 6,001,843, U.S. Pat. 6,020,343, U.S. Pat. 5,409,944, U.S. Pat. 5,436,265, U.S. Pat. 5,536,752, U.S. Pat. 5,550,142, U.S. Pat. 5,604,260, U.S. 5,698,584, U.S. Pat. 5,710,140, WO 94/15932, U.S. Pat. 5,344,991, U.S. Pat. 5,134,142, U.S. Pat. 5,380,738, U.S. Pat. 5,393,790, U.S. Pat. 5,466,823, U.S. Pat. 5,633,272, and U.S. Pat. 5,932,598, all of which are hereby incorporated by reference.

Inhibitors of COX-2 that are particularly useful in the instant method of treatment are: 3-phenyl-4-(4-(methylsulfonyl)phenyl)-2-(*5H*)-furanone; and 5-chloro-3-(4-methylsulfonyl)phenyl-2-(2-methyl-5-pyridinyl)pyridine; or a pharmaceutically acceptable salt thereof.

Compounds that have been described as specific inhibitors of COX-2 and are therefore useful in the present invention include, but are not limited to: parecoxib, CELEBREX® and BEXTRA® or a pharmaceutically acceptable salt thereof.

Other examples of angiogenesis inhibitors include, but are not limited to, endostatin, ukrain, ranpirnase, IM862, 5-methoxy-4-[2-methyl-3-(3-methyl-2-butenyl)oxiranyl]-1-oxaspiro[2,5]oct-6-yl(chloroacetyl)carbamate, acetyldinanaline, 5-amino-1-[[3,5-dichloro-4-(4-chlorobenzoyl)phenyl]methyl]-1H-1,2,3-triazole-4-carboxamide,CM101, squalamine, combretastatin, RPI4610, NX31838, sulfated mannopentaose phosphate, 7,7-(carbonyl-bis[imino-N-methyl-4,2-pyrrolocarbonylimino[N-methyl-4,2-pyrrole]-carbonylimino]-bis-(1,3-naphthalene disulfonate), and 3-[(2,4-dimethylpyrrol-5-yl)methylene]-2-indolinone (SU5416).

As used above, "integrin blockers" refers to compounds which selectively antagonize, inhibit or counteract binding of a physiological ligand to the $\alpha_V\beta_3$ integrin, to compounds which selectively antagonize, inhibit or counteract binding of a physiological ligand to the $\alpha v\beta 5$ integrin, to compounds which antagonize, inhibit or counteract binding of

a physiological ligand to both the $\alpha_v\beta_3$ integrin and the $\alpha_v\beta_5$ integrin, and to compounds which antagonize, inhibit or counteract the activity of the particular integrin(s) expressed on capillary endothelial cells. The term also refers to antagonists of the $\alpha_v\beta_6$, $\alpha_v\beta_8$, $\alpha_1\beta_1$, $\alpha_2\beta_1$, $\alpha_5\beta_1$, $\alpha_6\beta_1$ and $\alpha_6\beta_4$ integrins. The term also refers to antagonists of any combination of $\alpha_v\beta_3$, $\alpha_v\beta_5$, $\alpha_v\beta_6$, $\alpha_v\beta_8$, $\alpha_1\beta_1$, $\alpha_2\beta_1$, $\alpha_5\beta_1$, $\alpha_6\beta_1$ and $\alpha_6\beta_4$ integrins.

Some specific examples of tyrosine kinase inhibitors include N-(trifluoromethylphenyl)-5-methylisoxazol-4-carboxamide, 3-[(2,4-dimethylpyrrol-5-yl)methylidenyl)indolin-2-one, 17-(allylamino)-17-demethoxygeldanamycin, 4-(3-chloro-4-fluorophenylamino)-7-methoxy-6-[3-(4-morpholinyl)propoxyl]quinazoline, N-(3-ethynylphenyl)-6,7-bis(2-methoxyethoxy)-4-quinazolinamine, BIBX1382, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)-10-hydroxy-9-methyl-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-1-one, SH268, genistein, STI571, CEP2563, 4-(3-chlorophenylamino)-5,6-dimethyl-7H-pyrrolo[2,3-d]pyrimidinemethane sulfonate, 4-(3-bromo-4-hydroxyphenyl)amino-6,7-dimethoxyquinazoline, 4-(4'-hydroxyphenyl)amino-6,7-dimethoxyquinazoline, SU6668, STI571A, N-4-chlorophenyl-4-(4-pyridylmethyl)-1-phthalazinamine, and EMD121974.

Combinations with compounds other than anti-cancer compounds are also encompassed in the instant methods. For example, combinations of the instantly claimed compounds with PPAR-γ (i.e., PPAR-gamma) agonists and PPAR-δ (i.e., PPAR-delta) agonists are useful in the treatment of certain malingnancies. PPAR-γ and PPAR-δ are the nuclear peroxisome proliferator-activated receptors γ and δ. The expression of PPAR-γ on endothelial cells and its involvement in angiogenesis has been reported in the literature (see *J. Cardiovasc. Pharmacol.* 1998; 31:909-913; *J. Biol. Chem.* 1999;274:9116-9121; *Invest. Ophthalmol Vis. Sci.* 2000; 41:2309-2317). More recently, PPAR-γ agonists have been shown to inhibit the angiogenic response to VEGF in vitro; both troglitazone and rosiglitazone maleate inhibit the development of retinal neovascularization in mice. (*Arch. Ophthamol.* 2001; 119:709-717). Examples of PPAR-γ agonists and PPAR-γ/α agonists include, but are not limited to, thiazolidinediones (such as DRF2725, CS-011, troglitazone, rosiglitazone, and pioglitazone), fenofibrate, gemfibrozil, clofibrate, GW2570, SB219994, AR-H039242, JTT-501, MCC-555, GW2331, GW409544, NN2344, KRP297, NP0110, DRF4158, NN622, GI262570, PNU182716, DRF552926, 2-[(5,7-dipropyl-3-trifluoromethyl-1,2-benzisoxazol-6-yl)oxy]-2-methylpropionic acid (disclosed in USSN 09/782,856), and

2(R)-7-(3-(2-chloro-4-(4-fluorophenoxy) phenoxy)propoxy)-2-ethylchromane-2-carboxylic acid (disclosed in USSN 60/235,708 and 60/244,697).

Another embodiment of the instant invention is the use of the presently disclosed compounds in combination with anti-viral agents (such as nucleoside analogs including ganciclovir for the treatment of cancer. See WO 98/04290.

Another embodiment of the instant invention is the use of the presently disclosed compounds in combination with gene therapy for the treatment of cancer. For an overview of genetic strategies to treating cancer see Hall et al (*Am J Hum Genet* 61:785-789, 1997) and Kufe et al (*Cancer Medicine*, 5th Ed, pp 876-889, BC Decker, Hamilton 2000). Gene therapy can be used to deliver any tumor suppressing gene. Examples of such genes include, but are not limited to, p53, which can be delivered via recombinant virus-mediated gene transfer (see U.S. Pat. No. 6,069,134, for example), a uPA/uPAR antagonist ("Adenovirus-Mediated Delivery of a uPA/uPAR Antagonist Suppresses Angiogenesis-Dependent Tumor Growth and Dissemination in Mice," *Gene Therapy*, August 1998;5(8):1105-13), and interferon gamma (*J Immunol* 2000;164:217-222).

The compounds of the instant invention may also be administered in combination with an inhibitor of inherent multidrug resistance (MDR), in particular MDR associated with high levels of expression of transporter proteins. Such MDR inhibitors include inhibitors of p-glycoprotein (P-gp), such as LY335979, XR9576, OC144-093, R101922, VX853 and PSC833 (valspodar).

A compound of the present invention may be employed in conjunction with anti-emetic agents to treat nausea or emesis, including acute, delayed, late-phase, and anticipatory emesis, which may result from the use of a compound of the present invention, alone or with radiation therapy. For the prevention or treatment of emesis, a compound of the present invention may be used in conjunction with other anti-emetic agents, especially neurokinin-1 receptor antagonists, 5HT3 receptor antagonists, such as ondansetron, granisetron, tropisetron, and zatisetron, GABAB receptor agonists, such as baclofen, a corticosteroid such as Decadron (dexamethasone), Kenalog, Aristocort, Nasalide, Preferid, Benecorten or others such as disclosed in U.S.Patent Nos. 2,789,118, 2,990,401, 3,048,581, 3,126,375, 3,929,768, 3,996,359, 3,928,326 and 3,749,712, an antidopaminergic, such as the phenothiazines (for example prochlorperazine, fluphenazine, thioridazine and mesoridazine), metoclopramide or dronabinol. In an embodiment, an anti-emesis agent selected from a neurokinin-1 receptor antagonist, a 5HT3 receptor antagonist and a corticosteroid is administered as an adjuvant for the treatment or prevention of emesis that may result upon administration of the instant compounds.

Neurokinin-1 receptor antagonists of use in conjunction with the compounds of the present invention are fully described, for example, in U.S. Pat. Nos. 5,162,339, 5,232,929, 5,242,930, 5,373,003, 5,387,595, 5,459,270, 5,494,926, 5,496,833, 5,637,699, 5,719,147; European Patent Publication Nos. EP 0 360 390, 0 394 989, 0 428 434, 0 429 366, 0 430 771, 0 436 334, 0 443 132, 0 482 539, 0 498 069, 0 499 313, 0 512 901, 0 512 902, 0 514 273, 0 514 274, 0 514 275, 0 514 276, 0 515 681, 0 517 589, 0 520 555, 0 522 808, 0 528 495, 0 532 456, 0 533 280, 0 536 817, 0 545 478, 0 558 156, 0 577 394, 0 585 913,0 590 152, 0 599 538, 0 610 793, 0 634 402, 0 686 629, 0 693 489, 0 694 535, 0 699 655, 0 699 674, 0 707 006, 0 708 101, 0 709 375, 0 709 376, 0 714 891, 0 723 959, 0 733 632 and 0 776 893; PCT International Patent Publication Nos. WO 90/05525, 90/05729, 91/09844, 91/18899, 92/01688, 92/06079, 92/12151, 92/15585, 92/17449, 92/20661, 92/20676, 92/21677, 92/22569, 93/00330, 93/00331, 93/01159, 93/01165, 93/01169, 93/01170, 93/06099, 93/09116, 93/10073, 93/14084, 93/14113, 93/18023, 93/19064, 93/21155, 93/21181, 93/23380, 93/24465, 94/00440, 94/01402, 94/02461, 94/02595, 94/03429, 94/03445, 94/04494, 94/04496, 94/05625, 94/07843, 94/08997, 94/10165, 94/10167, 94/10168, 94/10170, 94/11368, 94/13639, 94/13663, 94/14767, 94/15903, 94/19320, 94/19323, 94/20500, 94/26735, 94/26740, 94/29309, 95/02595, 95/04040, 95/04042, 95/06645, 95/07886, 95/07908, 95/08549, 95/11880, 95/14017, 95/15311, 95/16679, 95/17382, 95/18124, 95/18129, 95/19344, 95/20575, 95/21819, 95/22525, 95/23798, 95/26338, 95/28418, 95/30674, 95/30687, 95/33744, 96/05181, 96/05193, 96/05203, 96/06094, 96/07649, 96/10562, 96/16939, 96/18643, 96/20197, 96/21661, 96/29304, 96/29317, 96/29326, 96/29328, 96/31214, 96/32385, 96/37489, 97/01553, 97/01554, 97/03066, 97/08144, 97/14671, 97/17362, 97/18206, 97/19084, 97/19942 and 97/21702; and in British Patent Publication Nos. 2 266 529, 2 268 931, 2 269 170, 2 269 590, 2 271 774, 2 292 144, 2 293 168, 2 293 169, and 2 302 689. The preparation of such compounds is fully described in the aforementioned patents and publications, which are incorporated herein by reference.

In an embodiment, the neurokinin-1 receptor antagonist for use in conjunction with the compounds of the present invention is selected from: 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)phenyl)ethoxy)-3-(S)-(4-fluorophenyl)-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methyl)morpholine, or a pharmaceutically acceptable salt thereof, which is described in U.S. Pat. No. 5,719,147.

A compound of the instant invention may also be administered with an agent useful in the treatment of anemia. Such an anemia treatment agent is, for example, a continuous eythropoiesis receptor activator (such as epoetin alfa).

A compound of the instant invention may also be administered with an agent useful in the treatment of neutropenia. Such a neutropenia treatment agent is, for example, a hematopoietic growth factor which regulates the production and function of neutrophils such as a human granulocyte colony stimulating factor, (G-CSF). Examples of a G-CSF include filgrastim.

A compound of the instant invention may also be administered with an immunologic-enhancing drug, such as levamisole, isoprinosine and Zadaxin.

A compound of the instant invention may also be useful for treating or preventing cancer, including bone cancer, in combination with bisphosphonates (understood to include bisphosphonates, diphosphonates, bisphosphonic acids and diphosphonic acids). Examples of bisphosphonates include but are not limited to: etidronate (Didronel), pamidronate (Aredia), alendronate (Fosamax), risedronate (Actonel), zoledronate (Zometa), ibandronate (Boniva), incadronate or cimadronate, clodronate, EB-1053, minodronate, neridronate, piridronate and tiludronate including any and all pharmaceutically acceptable salts, derivatives, hydrates and mixtures thereof.

Thus, the scope of the instant invention encompasses the use of the instantly claimed compounds in combination with a second compound selected from: other HDAC inhibitors an estrogen receptor modulator, an androgen receptor modulator, retinoid receptor modulator, a cytotoxic/cytostatic agent, an antiproliferative agent, a prenyl-protein transferase inhibitor, an HMG-CoA reductase inhibitor, an HIV protease inhibitor, a reverse transcriptase inhibitor, an angiogenesis inhibitor, a PPAR-γ agonist, a PPAR-δ agonist, an anti-viral agent, an inhibitor of inherent multidrug resistance, an anti-emetic agent, an agent useful in the treatment of anemia, an agent useful in the treatment of neutropenia, an immunologic-enhancing drug, an inhibitor of cell proliferation and survival signaling, an agent that interfers with a cell cycle checkpoint, an apoptosis inducing agent and a bisphosphonate.

The term "administration" and variants thereof (e.g., "administering" a compound) in reference to a compound of the invention means introducing the compound or a prodrug of the compound into the system of the animal in need of treatment. When a compound of the invention or prodrug thereof is provided in combination with one or more other active agents (e.g., a cytotoxic agent, etc.), "administration" and its variants are each understood to include concurrent and sequential introduction of the compound or prodrug thereof and other agents.

As used herein, the term "composition" is intended to encompass a product comprising the specified ingredients in the specified amounts, as well as any product which

results, directly or indirectly, from combination of the specified ingredients in the specified amounts.

The term "therapeutically effective amount" as used herein means that amount of active compound or pharmaceutical agent that elicits the biological or medicinal response in a tissue, system, animal or human that is being sought by a researcher, veterinarian, medical doctor or other clinician.

The term "treating cancer" or "treatment of cancer" refers to administration to a mammal afflicted with a cancerous condition and refers to an effect that alleviates the cancerous condition by killing the cancerous cells, but also to an effect that results in the inhibition of growth and/or metastasis of the cancer.

In an embodiment, the angiogenesis inhibitor to be used as the second compound is selected from a tyrosine kinase inhibitor, an inhibitor of epidermal-derived growth factor, an inhibitor of fibroblast-derived growth factor, an inhibitor of platelet derived growth factor, an MMP (matrix metalloprotease) inhibitor, an integrin blocker, interferon-α, interleukin-12, pentosan polysulfate, a cyclooxygenase inhibitor, carboxyamidotriazole, combretastatin A-4, squalamine, 6-O-chloroacetyl-carbonyl)-fumagillol, thalidomide, angiostatin, troponin-1, or an antibody to VEGF. In an embodiment, the estrogen receptor modulator is tamoxifen or raloxifene.

Also included in the scope of the claims is a method of treating cancer that comprises administering a therapeutically effective amount of a compound of Formula I in combination with radiation therapy and/or in combination with a compound selected from: other HDAC inhibitors, an estrogen receptor modulator, an androgen receptor modulator, retinoid receptor modulator, a cytotoxic/cytostatic agent, an antiproliferative agent, a prenyl-protein transferase inhibitor, an HMG-CoA reductase inhibitor, an HIV protease inhibitor, a reverse transcriptase inhibitor, an angiogenesis inhibitor, a PPAR-γ agonist, a PPAR-δ agonist, an anti-viral agent, an inhibitor of inherent multidrug resistance, an anti-emetic agent, an agent useful in the treatment of anemia, an agent useful in the treatment of neutropenia, an immunologic-enhancing drug, an inhibitor of cell proliferation and survival signaling, an agent that interfers with a cell cycle checkpoint, an apoptosis inducing agent and a bisphosphonate.

And yet another embodiment of the invention is a method of treating cancer that comprises administering a therapeutically effective amount of a compound of Formula I in combination with paclitaxel or trastuzumab.

The invention further encompasses a method of treating or preventing cancer that comprises administering a therapeutically effective amount of a compound of Formula I in combination with a COX-2 inhibitor.

The instant invention also includes a pharmaceutical composition useful for treating or preventing cancer that comprises a therapeutically effective amount of a compound of Formula I and a compound selected from: other HDAC inhibitors, an estrogen receptor modulator, an androgen receptor modulator, a retinoid receptor modulator, a cytotoxic/cytostatic agent, an antiproliferative agent, a prenyl-protein transferase inhibitor, an HMG-CoA reductase inhibitor, an HIV protease inhibitor, a reverse transcriptase inhibitor, an angiogenesis inhibitor, a PPAR-γ agonist, a PPAR-δ agonist, an anti-viral agent, an inhibitor of cell proliferation and survival signaling, an agent that interfers with a cell cycle checkpoint, an apoptosis inducing agent and a bisphosphonate.

These and other aspects of the invention will be apparent from the teachings contained herein.

All patents, publications and pending patent applications identified are hereby incorporated by reference.

Abbreviations used in the description of the chemistry and in the Examples that follow are: AcOH (acetic acid); BuLi (n-butyl lithium); BSA (bovine serum albumin); DCE (1,2-dichloroethane); DIBAL-H (diisobutylaluminum hydride); DIEA (diisopropylethylamine); DCM (dichloromethane); DME (ethylene glycol dimethyl ether); DMEM (Dulbecco's Modified Eagle Medium); DMF (dimethylformamide); DMSO (dimethyl sulfoxide); DTT (dithiothreitol); EDCI (N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide.HCl); EDTA (ethylenediaminetetraacetic acid); EGTA (Ethyleneglycotetraacetic acid); em (emission); Eq. (equivalent); ES (electrospray); EtOAc (ethyl acetate); ex (exitation); FACS (fluorescence activated cell sorting); FITC (Fluorescein isothiocyanate); Hepes ((N-(2-Hydroxyethyl)piperazine)-N'-(2-ethanesulfonic acid)); HOBt (1-hydroxybenzotriazole); HPLC (high performance liquid chromatography); IPTG (Isopropyl-beta-D-thiogalactopyranoside); KHMDS (potassium hexamethyldisilazide); LEP (Lysyl End Peptidase); LDA (lithium diisopropylamide); LHMDS (lithium hexamethyldisilazide); Lys C (Lysyl C endoprotease); mCPBA (m-chloroperoxybenzoic acid); MeOH (methanol); MS (mass spectrometry); NaHMDS (sodium bistrimethylsilylamide); NMR (nuclear magnetic resonance); NP40 (Nonidet P40); PBS (Phosphate buffered saline); PMSF (phenylmethylsulphonyl fluoride); PTSA (p-Toluenesulphonic acid); PyBop (1H-1,2,3-benzotriazol-1-yloxy)(tripyrrolidin-1-

yl)phosphonium hexafluorophosphate); RT (room temperature); SCX (Varian or Isolute cation exchange resin); SiO_2 (silica gel); SPA (Scintillation Proximity Assay); TBAI (tetra-n-butylammonium iodide); TEA (triethyl amine); THF (tetrahydrofuran); TFA (trifluoroacteic acid); TMSCN (trimethylsilylcyanide); Tris-HCL (Tris Hydroxymethylaminoethane); Trisyl (2,4,6-triisopropylbenzene sulphonyl); TSA (Trichostatin A); and TsCl (p-toluenesulfonyl chloride).

EXAMPLE 1

SEE COMPOUND NUMBER 1

(2*S*)-2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]nonanamide (**A9**)

Methyl 7-(2-methyl-1,3-dioxolan-2-yl)heptanoate (**A1**)

A mixture of methyl 8-oxononanoate (1.0 eq.) and ethylene diol (1.5 eq.) and PTSA (5 mol %) in toluene was heated at reflux in the presence of a Deans-Stark apparatus for 10 hours. The mixture was cooled to RT, diluted with Et_2O and then washed with 1 N NaOH solution and brine. The organic extracts were dried (Na_2SO_4) and concentrated under reduced pressure to yield the desired ketal (**A1**). ^1H NMR (300 MHz, $CDCl_3$) δ 3.98-3.92 (4H, m), 3.67 (3H, s), 2.32 (2H, t, J = 7 Hz), 1.68-1.54 (4H, m), 1.40-1.24 (9H, m).

7-(2-Methyl-1,3-dioxolan-2-yl)heptanoic acid (**A2**)

To a mixture of methyl 7-(2-methyl-1,3-dioxolan-2-yl)heptanoate (**A1**) (1.0 eq.) in THF and H_2O (1:1) was added LiOH (2.0 eq.) and the resulting mixture was stirred at RT for 5 hours. The mixture was neutralised carefully with 6 N HCl and the organics were extracted with EtOAc. The organics were washed with brine, dried (Na_2SO_4) and concentrated under reduced pressure to yield the desired acid (**A2**). ^1H NMR (300 MHz, $CDCl_3$) δ 3.98-3.92 (4H, m), 2.36 (2H, t, J = 7 Hz), 1.72-1.54 (4H, m), 1.47-1.27 (9H, m).

(4*S*)-4-Benzyl-3-[7-(2-methyl-1,3-dioxolan-2-yl)heptanoyl]-1,3-oxazolidin-2-one (**A3**)

Pivaloyl chloride (1.1 eq.) was added dropwise to a stirred solution of 7-(2-methyl-1,3-dioxolan-2-yl)heptanoic acid (**A2**) (1.0 eq.) and Et_3N (1.3 eq) in THF at -78°C

under N_2 over 3 min. The resulting mixture was stirred at -78°C for a further 10 min and was then warmed to 0°C over 5 min. The resulting suspension was allowed to stand at 0°C for a further 15 minutes. Meanwhile, a solution of (*S*)-(-)-4-benzyl-2-oxazolidinone (1.8 eq.) in THF was cooled to -78°C and treated dropwise with a solution of BuLi in hexanes (2.5 M, 1.8 eq.) added over 3 minutes. The resulting mixture was then stirred at -78°C for 20 min. The above suspension of the mixed anhydride was cooled to -78°C and the solution of the lithiated oxazolidinone added by cannula over 5 min. The resulting mixture was stirred at that temperature for 30 min and was then quenched by the addition of 5% aqueous sodium hydrogen sulfite solution. After warming to RT, the THF was removed under reduced pressure and then the organics were extracted with EtOAc. The combined organic extracts were washed with brine, dried (Na_2SO_4) and concentrated under reduced pressure. The resulting mixture was purified by column chromatography on silica eluting with 30% EtOAc/petroleum ether to yield the desired oxazolidinone (**A3**). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.42-7.17 (5H, m), 4.72-4.62 (1H, m), 4.25-4.15 (2H, m), 3.97-3.87 (4H, m), 3.30 (1H, dd, J = 13.2, 3.3 Hz), 3.03-2.83 (2H, m), 2.76 (1H, dd, J = 13.2, 9.5 Hz), 1.80-1.55 (4H, m), 1.46-1.30 (6H, m), 1.33 (3H, s).

(4*S*)-3-[(2*S*)-2-Azido-7-(2-methyl-1,3-dioxolan-2-yl)heptanoyl]-4-benzyl-1,3-oxazolidin-2-one (**A4**)

A solution of KHMDS in toluene (1.5 eq.) was added dropwise over 3 min to a stirred solution of (4*S*)-4-benzyl-3-[7-(2-methyl-1,3-dioxolan-2-yl)heptanoyl]-1,3-oxazolidin-2-one (**A3**) (1.0 eq.) in THF at -78°C under N_2. Upon complete addition the reaction was stirred at -78°C for a further 30 min and then a cooled solution of trisyl azide (1.5 eq.) in THF was added in one portion. The reaction was stirred for 3 min and then was quenched by addition of AcOH (4.5 eq.) in one portion. The reaction was warmed to 35°C and stirred at this temperature for 1 hour. Saturated aqueous NH_4Cl solution was added and the organics were extracted with EtOAc. The combined organic extracts were washed with brine, dried (Na_2SO_4) and concentrated under reduced pressure. The resulting mixture was purified by column chromatography on silica eluting with 35-70% EtOAc/petroleum ether to yield the desired azide (**A4**).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42-7.15 (5H, m), 5.98-5.90 (1H, m), 4.72-4.62 (1H, m), 4.30-4.20 (2H, m), 3.99-3.85 (4H, m), 3.30 (1H, d, J = 15.7, 3.3 Hz), 2.83 (1H, dd, J = 13.3, 9.6 Hz), 1.90-1.78 (2H, m), 1.70-1.25 (8H, m), 1.33 (3H, s).

(4*S*)-3-[(2*S*)-2-Azido-8-oxononanoyl]-4-benzyl-1,3-oxazolidin-2-one (**A5**)

A solution of (4*S*)-3-[(2*S*)-2-azido-7-(2-methyl-1,3-dioxolan-2-yl)heptanoyl]-4-benzyl-1,3-oxazolidin-2-one (**A4**) (1.0 eq.) in THF was treated with 1M HCl (2.0 eq.) and the mixture stirred at RT overnight. The mixture was neutralised with 1M NaOH solution and the organics extracted with EtOAc. The combined organic extracts were washed with brine, dried (Na_2SO_4) and concentrated under reduced pressure. The resulting mixture was purified by column chromatography on silica eluting with 30-40% EtOAc/petroleum ether to yield the desired ketone (**A5**). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38-7.18 (5H, m), 4.93 (1H, dd, J = 8.8, 4.9 Hz), 4.73-4.63 (1H, m), 4.31-4.21 (2H, m), 3.34 (1H, d, J = 13.5, 3.3 Hz), 2.83 (1H, dd, J = 13.5, 9.5 Hz), 2.43 (2H, t, J = 7.2 Hz), 2.12 (3H, s), 1.95-1.78 (2H, m), 1.70-1.30 (8H, m).

(2*S*)-2-Azido-8-oxononanoic acid (**A6**)

Solid LiOH (2.0 eq.) was added to a stirred solution of (4*S*)-3-[(2*S*)-2-azido-8-oxononanoyl]-4-benzyl-1,3-oxazolidin-2-one (**A5**) (1.0 eq.) in a mixture of THF/H_2O (3:1) and the mixture was stirred at RT for 90 min. $NaHCO_3$ solution was added and the mixture was extracted with DCM. The aqueous layer was then acidified with 3N HCl to pH = 1-2 and was extracted with EtOAc. The EtOAc extracts were dried and concentrated under reduced pressure to yield the desired acid (**A6**). ^{1}H NMR (300 MHz, $CDCl_3$) δ 3.92 (1H, dd, J = 8.2, 5.3 Hz), 2.46 (2H, t, J = 7.2 Hz), 2.15 (3H, s), 1.96-1.75 (2H, m), 1.70-1.30 (8H, m). MS(ES) $C_9H_{15}N_3O_3$ requires: 213, found: 212 ($M-H^-$).

(2*S*)-2-Azido-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl] nonanamide (**A7**)

To a stirred solution of (2*S*)-2-azido-8-oxononanoic acid A6 (1.0 eq.) in DCM was added ^{i}Pr$_2$NEt (2.4 eq.) and then PyBOP (1.1 eq.) followed by 2-(2-phenyl-1*H*-indol-3-yl)ethanaminium chloride (1.1 eq.) [Prepared according to Tetrahedron Letters (1997), 38 (22), 3871-3874 and deprotected with hydrazine hydrate]. The resulting reaction mixture was stirred at RT overnight and was then diluted with DCM and washed with $NaHCO_3$ solution and brine. The organics were then dried (Na_2SO_4) and concentrated under reduced pressure. The resulting mixture was purified by column chromatography on silica eluting with 40% EtOAc/petroleum ether to yield the desired amide (**A7**). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (1H, broad s), 7.67 (1H, d, J = 8.8 Hz), 7.58 (2H, m), 7.48 (2H, t, J = 7.7 Hz), 7.38 (2H, t, J =7.7 Hz), 7.25-7.13 (4H, m), 6.32 (1H, broad s), 3.73 (1H, dd, J = 7.3, 4.4

Hz), 3.66-3.50 (2H, m), 3.21-3.09 (2H, m), 2.38 (2H, t, J = 7.3 hz), 2.11 (3H, s), 1.80-1.20 (8H, m). MS(ES) $C_9H_{15}N_3O_3$ requires: 431, found: 432 ($M+H^+$).

(2*S*)-1,8-Dioxo-1-{[2-(2-phenyl-1*H*-indol-3-yl)ethyl]amino}nonan-2-aminium chloride (**A8**)

The (2*S*)-2-azido-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]nonanamide (**A7**) (1 eq.) was taken up in MeOH and 1M HCl (1.1 eq.) was added followed by 10% Pd on carbon. The flask was evacuated and an atmosphere of N_2 was added, this was repeated three times, finally the flask was evacuated and an atmosphere of H_2 was introduced. The mixture was then stirred for 2 hours, the H_2 atmosphere removed and N_2 introduced. The reaction mixture was filtered, the catalyst washed with MeOH and the filtrates were concentrated under reduced pressure to yield the desired amine HCl salt (**A8**). ^{1}H NMR (400 MHz, CD_3OD) δ 7.67 (1H, d, J = 7.9 Hz), 7.60 (2H, d, J = 7.5 Hz), 7.46 (2H, d, J = 7.5 Hz), 7.40-7.30 (2H, m), 7.17 (1H, t, J = 7.5 Hz), 7.11 (1H, t, J = 7.4 Hz), 3.78 (1H, t, J = 6.5 Hz), 3.70-3.60 (1H, m), 3.55-3.44 (1H, m), 3.14 (2H, t, J = 7.5 Hz), 2.38 (2H, t, J = 7.3 Hz), 2.11 (3H, s), 1.70-1.60 (2H, m), 1.47-1.10 (6H, m). MS(ES) $C_{25}H_{31}N_3O_2$ requires: 405, found: 406 ($M+H^+$).

(2*S*)-2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]nonanamide (**A9**)

To a stirred solution of (2*S*)-1,8-dioxo-1-{[2-(2-phenyl-1*H*-indol-3-yl)ethyl]amino}nonan-2-aminium chloride (**A8**) (1.0 eq.) in DCM was added iPr_2NEt (2.5 eq.), 5-methoxy-2-methyl-indolyl acetic acid (2.0 eq.) and then PyBOP (1.1 eq.). The resulting reaction mixture was stirred at RT for 48 hours and was then diluted with DCM and washed with $NaHCO_3$ solution and brine. The organics were then dried (Na_2SO_4) and concentrated under reduced pressure. The resulting mixture was purified by column chromatography on silica eluting with 80% EtOAc/petroleum ether to yield the *bis*-desired amide (**A9**). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (1H, broad s), 7.88 (1H, broad s), 7.48 (1H, d, J = 7.6 Hz), 7.44 (2H, d, J = 7.2 Hz), 7.37 (2H, t, J = 7.3 Hz), 7.29 (2H, t, J = 7.1 Hz), 7.18-7.00 (3H, m), 6.75 (1H, d, J = 2.0 Hz), 6.70 (1H, dd, J = 8.7, 2.0 Hz), 5.93-5.84 (2H, m), 4.12-4.03 (2H, m), 3.71 (3H, s), 3.49 (2H, app. d, J = 4.6 Hz), 3.43 (2H, q, J = 6.8 Hz), 3.00-2.93 (2H, m), 2.24 (3H, s), 2.25-2.15 (1H, m), 2.03-1.98 (1H, m), 1.97 (3H, s), 1.50-0.80 (7H, m). MS(ES) $C_{37}H_{42}N_4O_4$ requires: 606, found: 607 ($M+H^+$).

EXAMPLE 2

SEE COMPOUND NUMBER 4

(2*S*)-*N*-[2-(1*H*-Indol-3-yl)ethyl]-2-{[(5-methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxononanamide (**B3**)

N-((1*S*)-1-{[(4*S*)-4-Benzyl-2-oxo-1,3-oxazolidin-3-yl]carbonyl}-7-oxooctyl)-2-(5-methoxy-2-methyl-1*H*-indol-3-yl)acetamide (**B1**)

A solution of oxalyl chloride (2.5 eq.) in DCM was added dropwise to a stirred suspension of 5-methoxy-2-methyl-indolyl acetic acid (2.0 eq.) in DCM at RT under N_2. The mixture was stirred at RT for 1 hour and was then concentrated under reduced pressure. Meanwhile, (4S)-3-[(2S)-2-azido-8-oxononanoyl]-4-benzyl-1,3-oxazolidin-2-one (**A5**) (1.0 eq.) was taken up in MeOH and 1M HCl (2 eq.) was added followed by 10% Pd on carbon. The flask was evacuated and an atmosphere of N_2 was added, this was repeated three times, finally the flask was evacuated and an atmosphere of H_2 was introduced. The mixture was then stirred for 1 hour, the H_2 atmosphere removed and N_2 introduced. The reaction mixture was filtered, the catalyst washed with MeOH and the filtrates were concentrated under reduced pressure to yield 1-[(4*S*)-4-benzyl-2-oxo-1,3-oxazolidin-3-yl]-1,8-dioxononan-2-aminium chloride. MS(ES) $C_{19}H_{26}N_2O_4$ requires: 346, found: 347 ($M+H^+$). This crude amine HCl salt was taken up in DCM and a solution of the above acid chloride in DCM was added followed by Et_3N (5.0 eq.) the reaction was stirred at RT for 30 min, then was diluted with DCM. The solution was washed with $NaHCO_3$ solution and then the organics were concentrated under reduced pressure while dry loading onto silica. The resulting mixture was purified by column chromatography on silica eluting with 20 to 100% EtOAc/petroleum ether to yield the desired amide (**B1**). ^1H NMR (300 MHz, $CDCl_3$) δ 8.32 (1H, broad s), 7.62-7.42 (6H, d, J = 8.6 Hz), 7.18 (1H, d, J = 2.2 Hz), 7.01 (1H, dd, J = 8.6, 2.2 Hz), 6.42 (1H, d, J = 8.2 Hz), 5.83-5.75 (1H, m), 4.83-4.72 (1H, m), 4.44-4.32 (2H, m), 4.07 (3H, s) 3.88 (2H, s), 3.47 (1H, dd, J = 13.4, 3.3 Hz), 2.95 (1H, dd, J = 13.4, 9.5 Hz), 2.64 (3H, s), 2.52 (2H, t, J = 7.3 Hz), 2.35 (3H, s), 2.0-1.30 (8H, m). MS(ES) $C_{31}H_{37}N_3O_6$ requires: 547, found: 548 ($M+H^+$).

(2*S*)-2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxononanoic acid (**B2**)

A solution of *N*-((1*S*)-1-{[(4*S*)-4-benzyl-2-oxo-1,3-oxazolidin-3-yl]carbonyl}-7-oxooctyl)-2-(5-methoxy-2-methyl-1*H*-indol-3-yl)acetamide (**B1**) was hydrolysed as described in Example 1 Step 2 to yield the required acid (**B2**). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (1H, broad s), 7.15 (1H, d, J = 8.6 Hz), 6.88 (1H, d, J = 2.0 Hz), 6.78 (1H, dd, J = 8.7, 2.0 Hz), 6.23 (1H, d, J = 7.9 Hz), 4.56-4.47 (1H, m), 3.79 (3H, s), 3.65 (2H, s), 2.31 (2H, s), 2.29 (3H, t, J = 7.5 Hz), 2.12 (3H, s), 1.80-1.07 (8H, m). MS(ES) $C_{21}H_{28}N_2O_5$ requires: 388, found: 389 ($M+H^+$).

(2*S*)-*N*-[2-(1*H*-Indol-3-yl)ethyl]-2-{[(5-methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxononanamide (**B3**)

The (2*S*)-2-{[(5-methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxononanoic acid (**B2**) (1.0 eq.) was coupled with tryptamine (1.1 eq.) as descried in Example 1 Step 9 to yield the required *bis*-amide (**B3**) after chromatography on silica using 100% EtOAc as eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.94 (1H, broad s), 8.78 (1H, broad s), 7.49 (1H, d, J = 7.9 Hz), 7.33 (1H, d, J = 7.9 Hz), 7.17-7.10 (2H, m), 7.04 (1H, t, J = 7.4 Hz), 6.93 (1H, s), 6.82 (1H, d, J = 2.2 Hz), 6.74 (1H, dd, J = 8.7, 2.2 Hz), 6.67-6.55 (1H, m), 6.34 (1H, d, J = 8.3 Hz), 4.18 (1H, q, J = 7.5 Hz), 3.74 (3H, s), 3.55 (2H, s), 3.52-3.45 (2H, m), 2.85 (2H, t, J = 6.7 Hz), 2.48 (3H, s), 2.32-2.25 (2H, m), 2.09 (3H, s), 1.60-0.91 (8H, m). MS(ES) $C_{31}H_{38}N_4O_4$ requires: 530, found: 531 ($M+H^+$).

EXAMPLE 3

SEE COMPOUND NUMBER 13

(2*S*)-2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]octanamide (**C3**)

(2*S*)-2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]-8-(tetrahydro-2*H*-pyran-2-yloxy)octanamide (**C1**)

(2*S*)-2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]-8-(tetrahydro-2*H*-pyran-2-yloxy)octanamide (**C1**) was prepared from 8-(tetrahydro-2H-pyran-2-yloxy)octanoic acid (Tetrahedron (1999), 55(9), 2639-2658) using the same chemistry as described in Example 1. MS(ES) $C_{41}H_{50}N_4O_5$ requires: 678, found: 679 ($M+H^+$).

(2*S*)-8-Hydroxy-2-{[(5-methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]octanamide (**C2**)

A mixture of the above tetrahydropyran-ether (**C1**) (1.0 eq.) and PTSA (10 mol %) in MeOH was stirred at RT for 20 hours, after this more PTSA (10 mol %) was added and the reaction was stirred for a further hour. The reaction mixture was concentrated under reduced pressure whilst dry loading onto silica and the resulting mixture was purified by column chromatography on silica eluting with 75 to 100% EtOAc/petroleum ether to yield the desired alcohol (**C2**). ^{1}H NMR (400 MHz, d6-DMSO) δ 11.18 (1H, broad s), 10.58 (1H, broad s), 8.18-8.10 (1H, m), 7.90 (1H, d, J = 8.3 Hz), 7.67 (2H, d, J = 7.6 Hz), 7.62 (1H, d, J = 7.9 Hz), 7.47 (2H, t, J = 7.4 Hz), 7.40-7.32 (2H, m), 7.14-7.04 (2H, m), 7.04-6.99 (2H, m), 6.58 (1H, d, J = 7.6 Hz), 4.29 (1H, t, J = 5.1 Hz), 4.16 (1H, q, J = 5.1 Hz), 3.71 (3H, s), 3.51 (1H, d, J = 14.9 Hz), 3.42 (1H, d, J = 14.9 Hz), 2.92 (2H, t, J = 7.9 Hz), 2.31 (3H, s), 1.60-1.05 (14H, m). MS(ES) $C_{36}H_{42}N_4O_4$ requires: 594, found: 595 ($M+H^+$).

(2*S*)-2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]octanamide (**C3**)

$Py.SO_3$ complex (3.0 eq.) was added to a stirred solution of (2*S*)-8-hydroxy-2-{[(5-methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]octanamide (**C2**) (1.0 eq.) and Et_3N (4.0 eq.) in DCM and DMSO at 0°C. The mixture was stirred overnight slowly warming to RT and was then diluted with DCM. This solution was washed three times with H_2O and was then concentrated under reduced pressure while dry loading onto silica. The purification by column chromatography on silica eluting with 70% EtOAc/petroleum ether yielded the desired aldehyde (**C3**).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.71 (1H, s), 8.42 (1H, s), 8.18 (1H, s), 7.58-7.34 (7H, m), 7.24 (1H, t, J = 7.4 Hz), 7.18-7.09 (2H, m), 6.86 (1H, s), 6.78 (1H, d, J = 7.5 Hz), 6.05-5.95 (2H, m), 4.21-4.10 (1H, m), 3.79 (3H, s), 3.57 (2H, d, J = 4.3 Hz), 3.50 (2H, q, J = 6.6 Hz), 3.11-2.98 (2H, m), 2.32-2.22 (5H, m), 1.60-0.90 (8H, m). MS(ES) $C_{36}H_{40}N_4O_4$ requires: 592, found: 593 ($M+H^+$).

EXAMPLE 4

SEE COMPOUND 65

(2*S*)-2-{[(4-Cyanophenyl)sulfonyl]amino}-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]nonanamide (**G1**)

To a stirred solution of (2*S*)-1,8-dioxo-1-{[2-(2-phenyl-1*H*-indol-3-yl)ethyl]amino}nonan-2-aminium chloride (**A8**) (1.0 eq.) in DCM was added Et_3N (2.5 eq.) and then 4-cyanobenzenesulfonyl chloride (1.0 eq.). The resulting reaction mixture was stirred at RT for 12 hours and was then diluted with DCM and washed with $NaHCO_3$ solution. The DCM layer was then passed through a SCX cation exchange cartridge and the cartridge was washed with MeOH. The solvents were then removed under reduced pressure to yield the desired sulfonamide (**G1**). ^1H NMR (400 MHz, $CDCl_3$) δ 7.96 (1H, d, J = 8.2 Hz), 7.76 (2H, d, J = 8.6 Hz), 7.71 (1H, d, J = 8.2 Hz), 7.60-7.54 (4H, m), 7.52-7.46 (3H, m), 7.40 (2H, t, J = 7.4 Hz), 7.22 (1H, t, J = 7.0Hz), 7.17 (1H, t, J = 7.0 Hz), 6.35-6.28 (1H, m), 3.49-3.43 (1H, m), 3.35 (1H, dt, J = 13.4, 7.0 Hz), 3.25 (1H, dt, J = 13.4, 7.0 Hz), 2.98 (2H, t, J = 7.0 Hz), 2.35 (2H, t, J = 7.0 Hz), 2.25 (3H, s), 2.15-2.08 (2H, m), 1.50-1.05 (6H, m). MS(ES) $C_{32}H_{34}N_4O_4S$ requires: 570, found: 571 ($M+H^+$).

EXAMPLE 5

SEE COMPOUND 183

(2*S*)-2-{[2-(1*H*-Indol-3-yl)ethyl]amino}-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]nonanamide (**H2**)

1*H*-indol-3-ylacetaldehyde (**H1**)

Dry dimethyl sulfoxide (2.1 eq.) was added dropwise to a 2M solution of oxalyl chloride (1.1 eq.) in DCM at -78°C. The reaction mixture was stirred for 10 min, after which time a solution of 2-(1*H*-indol-3-yl)ethanol (1 eq.) in DCM was added dropwise. After 15 min, Et_3N (5 eq.) was added slowly, the resulting solution was stirred for 5 min at -78°C after which time the reaction mixture was warmed to RT. After stirring for 30 min the reaction was quenched with NH_4Cl solution and the phases were separated. The aqueous phase was extracted with DCM. The combined DCM extracts were washed with $NaHCO_3$ solution, brine and dried (Na_2SO_4). The residue was purified by flash column chromatography on silica eluting with 20% EtOAc/Petroleum ether to afford the aldehyde (**H1**). ^1H NMR (400 MHz, $CDCl_3$) δ 9.80 (1H, t, J = 2.3 Hz), 8.24 (1H, broad s), 7.58 (1H, d, J = 8.0 Hz), 7.42 (1H, d, J = 8.0 Hz), 7.32-7.15 (3H, m), 3.84 (2H, d, J = 2.3 Hz).

(2*S*)-2-{[2-(1*H*-Indol-3-yl)ethyl]amino}-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]nonanamide (**H2**)

1*H*-Indol-3-ylacetaldehyde (**H1**) (1.5 eq.) was added to a methanolic solution of (2*S*)-1,8-dioxo-1-{[2-(2-phenyl-1*H*-indol-3-yl)ethyl]amino}nonan-2-aminium chloride (**A8**) (1 eq.) and followed subsequently by $NaBH_3(CN)$ (1.5 eq.). The reaction mixture was stirred for 4 h. Methanol was removed under reduced pressure and the residue was purified by flash column chromatography on silica eluting with 2% MeOH/DCM to afford the amine (**H2**). ^{1}H NMR (300 MHz, d6-DMSO) δ 11.16 (1H, s), 10.74 (1H, s), 8.05 (1H, bs), 7.69-7.29 (9H, m), 7.13-6.87 (5H, m), 3.50-3.30 (2H, m) 3.01-2.67 (7H, m), 2.38 (2H, t, J = 7.2 Hz), 2.04 (3H, s), 1.55-1.05 (8H, m). MS (ES) $C_{35}H_{40}N_4O_2$ requires: 548, found: 549 ($M+H^+$).

EXAMPLE 6

SEE COMPOUND 101

2-{[(5-Methoxy-2-methyl-1-H-indol-3-yl)acetyl]amino}-8-(1,3-oxazol-2-yl)-8-oxo-N-[2-(2-phenyl-1-H-indol-3-yl)ethyl]octanamide (**I5**)

Methyl 2-[(*tert*-butoxycarbonyl)amino]-8-(1,3-oxazol-2-yl)-8-oxooctanoate (**I1**)

A solution of oxalyl chloride (2.5 eq.) in DCM was added dropwise to a stirred suspension of 7-[(tert-butoxycarbonyl)amino]-8-methoxy-8-oxooctanoic acid (1.0 eq.) in DCM at RT under N_2. The mixture was stirred at RT for 1 hour and was then concentrated under reduced pressure and then taken up in THF. Meanwhile, a stirred solution of oxazole (4 eq.) in THF under nitrogen atmosphere cooled to -78°C was treated dropwise with a 1.6 M solution of BuLi in hexanes (4.4 eq.) added over 3 minutes. The resulting mixture was then stirred at -78°C for 20 min and a 0.5 M solution of $ZnCl_2$ (8 eq.) in THF was added. The mixture was warmed up at 0°C and stirred for a further 10 min. CuI (4 eq.) was then added and the resulting mixture was stirred at 0°C for 10 min before being added to the above acyl chloride solution. The reaction was then stirred at RT overnight. Saturated aqueous NH_4Cl solution was added and the organics were extracted with EtOAc. The combined organic extracts were washed with brine, dried (Na_2SO_4) and concentrated under reduced pressure. The resulting mixture was purified by column chromatography on silica eluting with 30-60% EtOAc/petroleum ether to yield the desired oxazole ketone (**I1**). ^{1}H NMR (300 MHz, $CDCl_3$)

δ 7.83 (1H, s), 7.35 (1H, s), 5.10-4.95 (1H, m), 4.37-4.27 (1H, m), 3.75 (3H, s), 3.10 (2H, t, J = 7.0 Hz), 1.90-1.20 (8H, m), 1.45 (9H, s). MS(ES) $C_{17}H_{26}N_2O_6$ requires: 354, found: 355 ($M+H^+$).

1-Methoxy-8-(1,3-oxazol-2-yl)-1,8-dioxooctan-2-aminium trifluoroacetate (I2)

Methyl 2-[(tert-butoxycarbonyl)amino]-8-(1,3-oxazol-2-yl)-8-oxooctanoate (**I1**) (1 eq.) was treated with 20% TFA in DCM for 30 minutes at RT and then the reaction mixture was concentrated under reduced pressure to yield the desired amine.TFA salt (**I2**). MS(ES) $C_{12}H_{18}N_2O_4$ requires: 254, found: 255 ($M+H^+$).

Methyl 2-{[(5-methoxy-2-methyl-1-H-indol-3-yl)acetyl]amino}-8-(1,3-oxazol-2-yl)-8-oxooctanoate (I3)

To a stirred solution of 1-Methoxy-8-(1,3-oxazol-2-yl)-1,8-dioxooctan-2-aminium trifluoroacetate (**I2**) (1 eq.) in DCM and was added $^iPr_2NEt$ (1.5 eq.), 5-methoxy-2-methyl-indolyl acetic acid (1.5 eq.), HOBt (1.5 eq.) and then PyBOP (1.5 eq.). The resulting reaction mixture was stirred at RT overnight. The reaction mixture was washed successively with 0.25 M HCl solution, 0.25 M NaOH solution and brine, dried (Na_2SO_4) and concentrated under reduced pressure to yield the desired product (**I3**). MS(ES) $C_{24}H_{29}N_3O_6$ requires: 455, found: 456 ($M+H^+$).

2-{[(5-Methoxy-2-methyl-1-H-indol-3-yl)acetyl]amino}-8-(1,3-oxazol-2-yl)-8-oxooctanoic acid (I4)

A mixture of methyl 2-{[(5-methoxy-2-methyl-1-H-indol-3-yl)acetyl]amino}-8-(1,3-oxazol-2-yl)-8-oxooctanoate (**I3**) (1.0 eq.) in THF and H_2O (1:1) was hydrolyzed as described in Example 1 Step 2 to yield the desired acid (**I4**). MS(ES) $C_{23}H_{27}N_3O_6$ requires: 441, found: 442 ($M+H^+$).

2-{[(5-Methoxy-2-methyl-1-H-indol-3-yl)acetyl]amino}-8-(1,3-oxazol-2-yl)-8-oxo-N-[2-(2-phenyl-1-H-indol-3-yl)ethyl]octanamide (I5)

To a stirred solution of 2-{[(5-methoxy-2-methyl-1-H-indol-3-yl)acetyl]amino}-8-(1,3-oxazol-2-yl)-8-oxooctanoic acid (**I4**) (1.0 eq.) in DCM was added $^iPr_2NEt$ (2 eq.), HOBt (1.5 eq.) and then PyBOP (1.5 eq.) followed by 2-(2-phenyl-1*H*-indol-3-yl)ethylamine (1.5 eq.) and mixture stirred at RT overnight. The reaction mixture was washed successively with 0.25 M HCl solution, 0.25 M NaOH solution and brine. After

concentrating the organics, the crude residue was purified by reverse phase HPLC and the desired fractions were freeze dried to yield the desired product (**I5**). ^{1}H NMR (300 MHz, d6-DMSO) δ 11.23 (1H, s), 10.60 (1H, s), 8.45 (1H, s), 8.17 (1H, broad s), 7.97 (1H, d, J = 8.2 Hz), 7.75-7.60 (3H, m), 7.57-7.45 (3H, m), 7.40 (2H, t, J = 7.5 Hz), 7.20-7.00 (4H, m), 6.61 (1H, d, J = 7.0 Hz), 4.20-4.00 (1H, m), 3.74 (3H, s), 3.60-3.20 (4H, m), 3.10-2.92 (4H, m), 2.38 (3H, s), 1.70-1.15 (8H, m). MS(ES) $C_{39}H_{41}N_5O_5$ requires: 659, found: 660 ($M+H^+$).

EXAMPLE 7

SEE COMPOUND 224

(2*S*)-2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxo-*N*-quinolin-3-ylnonanamide (**J1**)

To a mixture of quinolin-3-amine (1.5 eq.), HOBt (1.5 eq.) and EDCI (1.5 eq.) in DCM, (2*S*)-2-{[(6-methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxononanoic acid (**B2**) (1.0 eq.) was added and stirring was continued for 4 h. The solvent was removed under reduced pressure and the crude residue was purified by reverse phase HPLC and the desired fractions were freeze dried to yield the desired product (**J1**). ^{1}H NMR (300MHz, d6-DMSO) δ 10.59 (1H, s), 10.52 (1H, s), 8.92 (1H, s), 8.68 (1H, s), 8.23 (1H, broad s), 7.97 (1H, d, J= 8.3 Hz), 7.94 (1H, d, J= 8.3 Hz), 7.67 (1H, t, J = 7.5 Hz), 7.59 (1H, t, J = 7.5 Hz), 7.11 (1H, d, J = 8.6 Hz), 7.07 (1H, d, J = 2.1 Hz), 6.61 (1H, dd, J = 8.6, 2.1 Hz), 4.50-4.40 (1H, m), 3.74 (3H, s), 3.60-3.45 (2H, m) , 2.40-2.30 (2H, m), 2.33 (3H, s), 2.04 (3H, s), 1.80-1.60 (2H, m), 1.45-1.18 (6H, m). MS (ES) $C_{30}H_{34}N_4O_4$ requires: 514, found: 515 ($M+H^+$).

EXAMPLE 8

SEE COMPOUND 257

(2S)-2-[[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl](methyl) amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**K7**)

(2R,5S)-2-Isopropyl-3,6-dimethoxy-5-[5-(2-methyl-1,3-dioxolan-2-yl)pentyl]-2,5-dihydropyrazine (**K1**)

To a stirred solution of (2R)-2-isopropyl-3,6-dimethoxy-2,5-dihydropyrazine (1.0 eq.) in THF at -78°C, a solution of BuLi (1.6 N in hexanes, 1.0 eq.) was added and

stirring was continued for 15 min. A precooled solution of 2-(5-iodopentyl)-2-methyl-1,3-dioxolane (1.0 eq.) (J. Organomet. Chem., 617-618, (2001), 571-587) in THF was added and stirring was continued at -78°C for 4hours. The reaction mixture was allowed to warm to RT overnight. The reaction was quenched by the addition of aqueous NH_4Cl solution and the mixture extracted with EtOAc. The organic layer was washed with brine, dried (Na_2SO_4) and concentrated under reduced pressure. The crude was purified by column chromatography, eluting with 50% Petroleum ether/EtOAc to afford (**K1**). ^1H NMR (400 MHz, $CDCl_3$) d 4.05-3.95 (1H, m), 3.95-3.85 (5H, m), 3.68 (3H, s), 3.66 (3H, s) 2.35-2.20 (1H, m), 1.85-1.65 (2H, m), 1.65-1.55 (2H, m), 1.45-1.15 (9H, m), 1.04 (3H, d, J = 6.7 Hz), 0.67 (3H, d, J = 6.7 Hz). MS (ES) $C_{18}H_{32}N_2O_4$ requires: 340, found: 341 ($M+H^+$).

Methyl (2S)-2-amino-8-oxononanoate (**K2**)

A solution of the (2R, 5S)-2-isopropyl-3,6-dimethoxy-5-[5-(2-methyl-1,3-dioxolan-2-yl)pentyl]-2,5-dihydropyrazine (**K1**) in a mixture of 1N HCl solution (6.0 eq.) and MeCN (0.25M) was stirred at RT for 1 hour. The reaction was neutralised with 1N NaOH and was then extracted with DCM. The organic layer was washed with brine, dried (Na_2SO_4) and concentrated under reduced pressure. The residue (**K2**) was directly used in the next step without further purification. MS (ES) $C_{10}H_{19}NO_3$ requires: 201, found: 202 ($M+H^+$), 224 ($M+Na^+$).

Methyl (2S)-2-[benzyl(methyl)amino]-8-oxononanoate (**K3**)

Benzaldehyde (1.1 eq.) was added to a solution of methyl (2S)-2-amino-8-oxononanoate (**K2**) (1 eq.) in DCE and subsequently $NaBH(OAc)_3$ (1.1 eq.) was added. The reaction mixture was stirred overnight at RT. Then formaldehyde (1.1 eq.) and additional $NaBH(OAc)_3$ (1.1 eq.) were added to the mixture. After 4 hours solvent was removed under reduced pressure. The residue was purified by flash column chromatography, eluting with 90% Petroleum ether/EtOAc to afford the amine (**K3**). MS (ES) $C_{18}H_{27}NO_3$ requires: 305, found: 306 ($M+H^+$).

Lithium (2S)-2-[benzyl(methyl)amino]-8-oxononanoate (**K4**)

To a mixture of methyl (2S)-2-[benzyl(methyl)amino]-8-oxononanoate (**K3**) (1.0 eq.) in THF and H_2O (1:1) was added LiOH (1.5 eq.) and the resulting mixture was stirred overnight at RT. THF was removed under reduced pressure and the residue dissolved in MeCN/H_2O and lyophilized to give the residue (**K4**) which was directly used in the next step. MS (ES) $C_{17}H_{25}NO_3$ requires: 291, found: 292 ($M+H^+$).

(2S)-2-[Benzyl(methyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**K5**)

Lithium (2S)-2-[benzyl(methyl)amino]-8-oxononanoate (**K4**) (1 eq.), HOBt (1.1 eq.), EDCI (1.1 eq.) were dissolved in DMF and the mixture was stirred for 10 min at RT. A solution containing [2-(2-phenyl-1H-indol-3-yl)ethyl]amine (1.2 eq.) and $^{i}Pr_2NEt$ (1.2 eq.) in DMF was added and the mixture was stirred overnight. The solution was concentrated under reduced pressure while azeotroping with xylene. The residue was taken up in EtOAc, washed with $NaHCO_3$ solution and brine, dried (Na_2SO_4) and concentrated under reduced pressure to yield the desired amine (**K5**).

^1H NMR (400 MHz, $CDCl_3$) d 8.6 (1H, bs), 7.67 (1H, d, J = 7.9 Hz), 7.53 (2H, d, J = 7.2 Hz), 7.6-7.0 (12H, m), 3.60 (2H, m), 3.43 (1H, d, J = 13.3 Hz), 3.38 (1H, d, J = 13.3 Hz), 3.14 (2H, t, J = 7.0 Hz), 2.82 (1H, t, J = 6.0 Hz), 2.36 (2H, t, J = 7.2 Hz), 2.10 (3H, s), 1.99 (3H, s), 1.7-1.22 (8H, m). MS (ES) $C_{33}H_{39}N_3O_2$ requires: 509, found: 510 ($M+H^+$).

(2S)-2-(Methylamino)-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide. HCl salt (**K6**)

(2S)-2-[Benzyl(methyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**K5**) (1 eq.) was taken up in MeOH and 1M HCl (1 eq.) was added, followed by 10% Pd on carbon. The mixture was stirred under H_2 atmosphere for 3 hours and then filtered. The catalyst washed with MeOH and the filtrates were concentrated under reduced pressure to yield the desired amine HCl salt (**K6**). MS (ES) $C_{26}H_{33}N_3O_2$ requires: 419, found: 420 ($M+H^+$).

(2S)-2-[[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl](methyl) amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide (**K7**)

(5-Methoxy-2-methyl-1H-indol-3-yl)acetic acid (1 eq.) and PyBOP (1.2 eq.) were dissolved in DMF and the mixture was left 10 min under stirring at RT. A solution containing (2S)-2-(methylamino)-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide HCl salt (**K6**) (2 eq.) and $^{i}Pr_2NEt$ (4 eq.) in DMF was added to the previous mixture and stirred at RT overnight. More (5-methoxy-2-methyl-1H-indol-3-yl)acetic acid (1 eq.) and PyBOP (1.2 eq.) were added and stirring was continued. After concentrating the solvent, the residue was purified by reverse phase HPLC and the desired fractions were freeze dried to yield the desired product (**K7**). ^1H NMR (300 MHz, d6-DMSO) major isomer: d 11.17 (1H, bs), 10.59 (1H, bs), 7.99-7.88 (1H, m), 7.73-7.61 (3H, m), 7.50 (2H, t, J = 7.6 Hz), 7.43-7.32 (2H, m), 7.16-6.87 (4H, m), 6.59 (1H, dd, J = 8.6, 2.4 Hz), 4.92 (1H, dd, J = 10.6, 4.8 Hz), 3.90-3.60 (2H, m), 3.69 (3H, s), 3.40-3.30 (2H, m), 3.00-2.90 (2H, m), 2.82 (3H, s), 2.32-2.20 (5H, m), 2.02 (3H, s), 1.8-0.75 (8H, m). MS (ES) $C_{38}H_{44}N_4O_4$ requires: 620, found: 621 ($M+H^+$).

EXAMPLE 9

SEE COMPOUND 342

(2S)-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**L3**)(2S)-2-Azido-8-oxo-N-quinolin-3-ylnonanamide (**L1**)

(2S)-2-Azido-8-oxononanoic acid (**A6**) (1 eq.) was dissolved in DCM and EDCI (1.5 eq.) and HOBt (1.5 eq.) added. After 10 min 3-aminoquinoline (1.2 eq.) was added to the mixture and left to stir overnight. The residue was washed with $NaHCO_3$ solution, 1M HCl and brine, dried (Na_2SO_4). The crude was purified by column chromatography, eluting from 40-60% EtOAc/Petroleum ether to afford the desired anilide (**L1**). ^1H NMR (300 MHz, $CDCl_3$) δ 8.78 (2H, d, J = 2.0 Hz), 8.42 (1H, bs), 8.05 (1H, d, J = 8.0 Hz), 7.81 (1H, d, J = 8.0 Hz), 7.70-7.50 (2H, m), 4.21 (1H, m), 2.44 (2H, t, J = 7.1 Hz), 2.12 (3H, s), 2.10-1.92 (2H, m), 1.75-1.30 (6H, m). MS (ES) $C_{18}H_{21}N_5O_2$ requires: 339, found: 340 ($M+H^+$).

(2S)-1,8-Dioxo-1-(quinolin-3-ylamino)nonan-2-aminium chloride (**L2**)

(2S)-2-Azido-8-oxo-N-quinolin-3-ylnonanamide (**L1**) (1 eq.) was hydrogenated as described in example 1 step 8 to yield the desired amine.HCl salt (**L2**). MS (ES) $C_{18}H_{23}N_3O_2$ requires: 313, found: 314 ($M+H^+$).

(2S)-2-{[(4-Cyanophenyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide (**L3**)

(2S)-1,8-Dioxo-1-(quinolin-3-ylamino)nonan-2-aminium chloride (**L2**) (1 eq.) was dissolved in DCM, Et_3N (2 eq.) was added and 4-cyanobenzenesulfonyl chloride (1.2 eq.). The mixture was left to stir at RT overnight then directly purified by reverse phase HPLC. The desired fractions were freeze dried to yield the sulfonamide (**L3**). ^1H NMR (300 MHz, d6-DMSO) δ 10.46 (1H, s), 8.74 (1H, d, J = 2.2 Hz), 8.60 (1H, d, J = 8.8 Hz), 8.37 (1H, s), 8.05-7.80 (6H, m), 7.75-7.55 (2H, m), 4.05-3.88 (1H, m), 2.35 (2H, t, J = 7.2 Hz), 2.04 (3H, s), 1.75-1.10 (8H, m). MS (ES) $C_{25}H_{26}N_4O_4S$ requires: 478, found: 479 ($M+H^+$).

EXAMPLE 10

SEE COMPOUND 344

(2S)-2-({[(4-Methoxyphenyl)amino]carbonyl}amino)-8-oxo-N-quinolin-3-ylnonanamide (**M1**)

(2S)-1,8-Dioxo-1-(quinolin-3-ylamino)nonan-2-aminium chloride (**L2**) (1 eq.) was dissolved in DCM, Et_3N (1 eq.) and 1-isocyanato-4-methoxybenzene (1.2 eq) were

added. The mixture was left to stir at RT overnight, then directly purified by reverse phase HPLC. The desired fractions were freeze dried to yield the urea (**M1**). ^{1}H NMR (300 MHz, d6-DMSO): δ 10.63 (1H, s), 8.99 (1H, d, J = 2.2 Hz), 8.73 (1H, s), 8.46 (1H, s), 7.96 (2H, t, J = 6.9 Hz), 7.68-7.55 (2H, m), 7.29 (2H, d, J = 9.0 Hz), 6.81 (2H, d, J = 9.0 Hz), 6.44 (1H, d, J = 8.0 Hz), 4.50-4.37 (1H, m), 3.69 (3H, s), 2.40 (2H, t, J = 7.2 Hz), 2.04 (3H, s), 1.80-1.20 (8H, m). MS (ES) $C_{26}H_{30}N_4O_4$ requires: 462, found: 463 ($M+H^+$).

EXAMPLE 11

SEE COMPOUND 346

4-Methoxyphenyl {(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}carbamates (**N1**)

(2S)-1,8-Dioxo-1-(quinolin-3-ylamino)nonan-2-aminium chloride (**L2**) (1 eq.) was dissolved in DCM, Et_3N (1 eq.) and 4-methoxyphenyl chloridocarbonate (1.2 eq.) were added and then the mixture was left to stir at RT overnight. The mixture was purified by reverse phase HPLC and the desired fractions were freeze dried to yield the carbamate (**N1**). ^{1}H NMR (300 MHz, d6-DMSO): δ 10.61 (1H, s), 8.99 (1H, d, J = 2.0 Hz), 8.76 (1H, s), 8.09 (1H, d, J = 7.7 Hz), 7.97 (2H, d, J = 7.7 Hz), 7.75-7.52 (2H, m), 7.03 (2H, d, J = 8.8 Hz), 6.81 (2H, d, J = 8.8 Hz), 4.35-4.15 (1H, m), 3.73 (3H, s), 2.42 (2H, t, J = 7.2 Hz), 2.06 (3H, s), 1.90-1.58 (2H, m), 1.60-1.20 (6H, m). MS (ES) $C_{26}H_{29}N_3O_5$ requires: 463, found: 464 ($M+H^+$).

EXAMPLE 12

SEE COMPOUND 334

(2S)-2-[(Anilinocarbonothioyl)amino]-8-oxo-N-quinolin-3-ylnonanamide (**O1**)

(2S)-1,8-Dioxo-1-(quinolin-3-ylamino)nonan-2-aminium chloride (**L2**) (1 eq.) was dissolved in DCM, Et_3N (1 eq.) and isothiocyanatobenzene (1.2 eq) were added. The mixture was left to stir at RT overnight, then directly purified by reverse phase HPLC. The desired fractions were freeze dried to yield the desired (**O1**). ^{1}H NMR (300 MHz, d6-DMSO): δ 10.71 (1H, s), 9.80 (1H, s), 8.98 (1H, d, J = 2.2 Hz), 8.72 (1H, d, J = 2.0 Hz), 8.05-7.85 (3H, m), 7.75-7.5 (4H, m), 7.33 (2H, t, J = 7.8 Hz), 7.11 (1H, t, J = 7.4 Hz), 5.20-5.05 (1H, m), 2.40 (2H, t, J = 7.2 Hz), 2.04 (3H, s), 1.97-1.72 (2H, m), 1.55-1.20 (6H, m). MS (ES) $C_{25}H_{28}N_4O_2S$ requires: 448, found: 449 ($M+H^+$).

EXAMPLE 13

SEE COMPOUND 345

(2S)-8-Oxo-2-({[(phenylsulfonyl)amino]carbonyl}amino)-N-quinolin-3-ylnonanamide (**P1**)

(2S)-1,8-Dioxo-1-(quinolin-3-ylamino)nonan-2-aminium chloride (**L2**) (1 eq.) was dissolved in DCM, Et_3N (1 eq.) and benzenesulfonyl isocyanate (1.2 eq) were added. The mixture was left to stir at RT overnight, then directly purified by reverse phase HPLC. The desired fractions were freeze dried to yield the sulfonamide (**P1**). ^1H NMR (300 MHz, d6-DMSO): δ 10.61 (1H, s), 10.59 (1H, s), 8.90 (1H, d, J = 2.2 Hz), 8.66 (1H, s), 8.02-7.88 (4H, m), 7.75-7.55 (5H, m), 6.88 (1H, d, J = 7.7 Hz), 4.38-4.23 (1H, m), 2.34 (2H, t, J = 7.2 Hz), 2.03 (3H,s), 1.80-1.50 (2H, m), 1.48-1.30 (2H, m), 1.28-1.10 (4H, m); MS (ES) $C_{25}H_{28}N_4O_5S$ requires: 496, found: 497 ($M+H^+$).

The following compounds were made according to the Reaction Schemes and Examples 1-13.

Compound Number	Mass Seen	Nomenclature
1	607	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
2	448	(2S)-2-(Acetylamino)-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
3	563	(2S)-2-[(1H-Indol-3-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
4	531	(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
5	550	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1-benzofuran-2-carboxamide
6	577	(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
7	578	4-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl) octyl]-4H-chromene-3-carboxamide
8	565	(3S)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide

9	525	2-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]nicotinamide
10	574	(2S)-2-[(1-Naphthylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
11	568	(2S)-2-[(1,3-Benzodioxol-5-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
12	530	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(3-thienylacetyl)amino] nonanamide
13	593	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]octanamide
14	545	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(1H-1,2,4-triazol-1-yl)benzyl]nonanamide
15	529	(2S)-N-(Isoquinolin-5-ylmethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
16	534	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(2-methylimidazo[1,2-a]pyridin-3-yl)methyl]-8-oxononanamide
17	518	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3-thiadiazole-4-carboxamide
18	526	(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
19	511	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]nicotinamide
20	516	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(3,3,3-trifluoropropanoyl) amino]nonanamide
21	499	1-Cyano-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]cyclopropanecarboxamide
22	536	(2E)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-pyridin-3-ylacrylamide
23	530	(2S)-2-[(Cyclohexylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
24	535	(4R)-2-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]-1,3-thiazolidine-4-carboxamide
26	544	(2S)-N-[4-(1H-Imidazol-4-yl)benzyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide

27	561	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]nonanamide
28	561	(2S)-N-[(1-Benzylpyrrolidin-3-yl)methyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
29	545	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[2-(2-methyl-1H-indol-3-yl)ethyl]-8-oxononanamide
30	562	(2S)-N-[2-(6-Methoxy-1H-benzimidazol-2-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
31	555	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide
32	589	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(6-oxo-3-phenylpyridazin-1(6H)-yl)ethyl] nonanamide
33	541	(2S)-N-[2-(1-Isopropylpiperidin-4-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
34	577	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(1-pyrimidin-2-ylpiperidin-4-yl)ethyl] nonanamide
35	562	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[1-(pyridin-4-ylmethyl)piperidin-4-yl]nonanamide
36	563	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(4-phenylmorpholin-2-yl)methyl]nonanamide
40	586	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]biphenyl-4-carboxamide
41	584	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-4-(trifluoromethyl)cyclohexanecarboxamide
42	580	(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl) amino]-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
43	561	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]isoquinoline-3-carboxamide
44	579	5-Methoxy-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]-1H-indole-2-carboxamide

45	578	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1-phenylcyclopentanecarboxamide
46	577	(2S)-2-{[(2-Methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
47	577	(2S)-2-{[(1-Methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
48	577	(2S)-2-{[1H-Indol-3-yl(oxo)acetyl] amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
49	574	(2S)-2-[(2-Naphthylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
50	561	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] isoquinoline-1-carboxamide
51	549	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-indole-5-carboxamide
64	571	(2S)-2-{[(3-Cyanophenyl)sulfonyl] amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
65	571	(2S)-2-{[(4-Cyanophenyl)sulfonyl] amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
66	697	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-({[2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl]sulfonyl}amino)nonanamide
67	560	(2S)-2-[(Benzylsulfonyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
68	692	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-({[5-(phenylsulfonyl)-2-thienyl]sulfonyl}amino)nonanamide
69	620	(2S)-2-({[(7,7-Dimethyl-2-oxobicyclo [2.2.1]hept-1-yl)methyl]sulfonyl}amino)-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
70	649	2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]dodecanamide
71	535	6-Cyano-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]nicotinamide

72	512	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]pyrazine-2-carboxamide
73	593	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-6-phenylpiperidine-2-carboxamide
74	562	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,8-naphthyridine-2-carboxamide
75	562	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,6-naphthyridine-2-carboxamide
76	586	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl] biphenyl-3-carboxamide
77	562	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] quinoxaline-6-carboxamide
78	561	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] isoquinoline-4-carboxamide
79	561	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] quinoline-5-carboxamide
80	542	(2S)-2-{[3-(3-Methyl-1H-pyrazol-1-yl)propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
81	514	1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-1H-pyrazole-3-carboxamide
82	531	1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]piperidine-2-carboxamide
83	516	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] thiophene-3-carboxamide
84	579	(2S)-8-Oxo-2-{[(3-oxo-2,3-dihydro-1H-isoindol-1-yl)acetyl]amino}-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
85	543	(2S)-2-{[(3,5-Dimethyl-1H-1,2,4-triazol-1-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
86	500	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-pyrazole-4-carboxamide
87	581	(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide

88	578	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-4-(1H-tetrazol-1-yl)benzamide
89	578	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-(1H-tetrazol-1-yl)benzamide
90	578	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-2-(1H-tetrazol-1-yl)benzamide
91	517	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,3-thiazole-4-carboxamide
92	517	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,3-thiazole-5-carboxamide
93	500	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-pyrazole-3-carboxamide
94	517	5-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-4,5-dihydro-1H-1,2,4-triazole-3-carboxamide
95	514	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(1H-pyrazol-1-ylacetyl) amino]nonanamide
96	568	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-2,3-dihydro-1,4-benzodioxine-2-carboxamide
97	514	(2S)-2-[(1H-Imidazol-1-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl) ethyl]nonanamide
98	500	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-imidazole-2-carboxamide
99	545	1-Methyl-*N*-[(1*S*)-7-oxo-1-({[2-(2-phenyl-1*H*-indol-3-yl)ethyl]amino}carbonyl) octyl]azepane-2-carboxamide
100	501	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] isoxazole-3-carboxamide
101	660	2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-(1,3-oxazol-2-yl)-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl] octanamide
102	579	(2*S*)-8-Oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]-2-[(1,2,3,4-tetrahydroiso quinolin-1-ylacetyl)amino]nonanamide

103	473	(2S)-2-[(Cyanoacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
104	500	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] cyclopent-3-ene-1-carboxamide
105	504	(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
106	511	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]pyridine-2-carboxamide
107	511	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]iso nicotinamide
108	586	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] biphenyl-2-carboxamide
109	501	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] isoxazole-4-carboxamide
110	513	1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-1H-pyrrole-2-carboxamide
111	514	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] cyclohex-1-ene-1-carboxamide
112	516	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] thiophene-2-carboxamide
113	524	3-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl) octyl]benzamide
114	524	(2S)-8-Oxo-2-[(phenylacetyl)amino]-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
115	526	5-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]pyridine-2-carboxamide
116	528	1,5-Dimethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]-1H-pyrazole-3-carboxamide
117	528	(2S)-2-{[2-Furyl(oxo)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
118	530	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] cycloheptanecarboxamide
119	532	4-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-1,2,3-thiadiazole-5-carboxamide

120	535	4-Cyano-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]benzamide
121	535	(2E)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-phenylacrylamide
122	545	2,4-Dimethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]-1,3-thiazole-5-carboxamide
123	546	2-Chloro-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]nicotinamide
124	549	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-indole-2-carboxamide
125	550	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-benzimidazole-6-carboxamide
126	554	(2S)-2-{[(4-Methoxyphenyl)acetyl] amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
127	556	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-{[(phenylthio)acetyl] amino}nonanamide
128	556	(2E)-3,7-Dimethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]octa-2,6-dienamide
129	558	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-{[(pyridin-4-ylthio)acetyl] amino}nonanamide
130	559	(2S)-2-{[(4-Chlorophenyl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl) ethyl]nonanamide
131	563	2-Chloro-4-fluoro-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]benzamide
132	567	(2S)-2-[(N-Benzoylylglycyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
133	575	(2E)-3-(1H-Indol-3-yl)-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl] amino}carbonyl)octyl]acrylamide
134	580	7-Methoxy-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]-1-benzofuran-2-carboxamide
135	580	1,3-Dioxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]-1,3-dihydro-2-benzofuran-5-carboxamide

136	578	4-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-4H-chromene-2-carboxamide
137	581	4-(Diethylamino)-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]benzamide
138	589	(2S)-2-{[2-(4-Chlorophenoxy)propanoyl] amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
139	590	5-Bromo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]nicotinamide
140	591	5-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-3-phenylisoxazole-4-carboxamide
141	593	5-(Methylsulfonyl)-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]thiophene-2-carboxamide
142	598	(2S)-2-{[3-(3,5-Dimethoxyphenyl) propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
143	600	2-Benzyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]benzamide
144	538	(2E)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-pyridin-3-ylacrylamide
145	565	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide
146	518	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1,2,5-thiadiazole-3-carboxamide
147	546	2,2-Dimethyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]tetrahydro-2H-pyran-4-carboxamide
148	514	1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-1H-imidazole-2-carboxamide
149	533	4-Methyl-*N*-[(1*S*)-7-oxo-1-({[2-(2-phenyl-1*H*-indol-3-yl)ethyl]amino}carbonyl) octyl]morpholine-3-carboxamide
150	542	(2S)-2-{[3-(1-Methyl-1H-pyrazol-4-yl)propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide

151	546	(2*S*)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]nonanamide
152	552	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] [1,2,4] triazolo[1,5-a]pyrimidine-2-carboxamide
153	561	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] quinoline-8-carboxamide
154	517	1-Methyl-*N*-[(1*S*)-7-oxo-1-({[2-(2-phenyl-1*H*-indol-3-yl)ethyl]amino}carbonyl) octyl]pyrrolidine-3-carboxamide
155	456	(2S)-N-Cyclopentyl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
156	545	1-Ethyl-*N*-[(1*S*)-7-oxo-1-({[2-(2-phenyl-1*H*-indol-3-yl)ethyl]amino}carbonyl) octyl] piperidine-3-carboxamide
157	446	(2S)-N-(2-Methoxyethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
158	501	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-1,2,3-triazole-4-carboxamide
159	468	(2S)-N-(2-Furylmethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
160	473	(2S)-N-[2-(Acetylamino)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
161	478	(2S)-N-Benzyl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
162	496	(2S)-N-(4-Fluorobenzyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
163	492	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(4-methylbenzyl)-8-oxononanamide
164	522	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[2-(3-methoxy phenyl)ethyl]-8-oxononanamide
165	482	(2*S*)-*N*-[2-(1*H*-Imidazol-4-yl)ethyl]-2-{[(5-methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxononanamide
166	508	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-phenoxyethyl)nonanamide

167	499	(2*S*)-2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxo-*N*-(2-piperidin-1-ylethyl)nonanamide
168	508	(2S)-N-(2-Hydroxy-2-phenylethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl] amino}-8-oxononanamide
169	516	2-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-2,3-dihydro-1H-imidazole-4-carboxamide
170	492	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-phenyl ethyl)nonanamide
171	510	(2S)-N-[2-(3-Fluorophenyl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
172	499	(2*S*)-2-{[(5-Methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-*N*-[(1-methylpiperidin-4-yl)methyl]-8-oxononanamide
173	514	(2S)-N-(2,4-Difluorobenzyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
174	574	(2*S*)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-8-oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]nonanamide
175	545	1-Ethyl-*N*-[(1*S*)-7-oxo-1-({[2-(2-phenyl-1*H*-indol-3-yl)ethyl]amino}carbonyl) octyl]piperidine-2-carboxamide
176	531	(2S)-8-Oxo-2-{[(5-oxopyrrolidin-2-yl)acetyl]amino}-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
177	533	(2S)-8-Oxo-2-{[(2-oxo-1,3-oxazolidin-3-yl)acetyl]amino}-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
178	561	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] quinoline-4-carboxamide
179	561	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] isoquinoline-5-carboxamide
180	533	4-Methyl-*N*-[(1*S*)-7-oxo-1-({[2-(2-phenyl-1*H*-indol-3-yl)ethyl]amino}carbonyl) octyl]morpholine-2-carboxamide
181	459	(2*S*)-*N*-[2-(Dimethylamino)ethyl]-2-{[(5-methoxy-2-methyl-1*H*-indol-3-yl) acetyl] amino}-8-oxononanamide
182	496	(2*S*)-*N*-[3-(1*H*-Imidazol-1-yl)propyl]-2-{[(5-methoxy-2-methyl-1*H*-indol-3-yl)acetyl]amino}-8-oxononanamide

183	549	(2S)-2-{[2-(1H-Indol-3-yl)ethyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
184	517	(2*S*)-8-Oxo-*N*-[2-(2-phenyl-1*H*-indol-3-yl)ethyl]-2-[(pyrrolidin-1-ylacetyl)amino] nonanamide
185	719	(2S)-2-{[(1-{2-[(6-Aminohexyl)amino]-2-oxoethyl}-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
186	897	Benzyl [6-({[5-methoxy-2-methyl-3-(2-oxo-2-{[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] amino}ethyl)-1H-indol-1-yl]acetyl} amino)hexyl]carbamate
187	529	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(quinolin-3-ylmethyl)nonanamide
188	491	(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
189	561	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]nonanamide
190	532	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(1,2,3,4-tetrahydronaphthalen-1-ylmethyl) nonanamide
191	533	(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide
192	493	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-pyridin-3-ylethyl)nonanamide
193	571	(2S)-N-{2-[4-(Aminosulfonyl)phenyl] ethyl}-2-{[(5-methoxy-2-methyl-1H-indol -3-yl)acetyl]amino}-8-oxononanamide
194	528	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(1-naphthylmethyl)-8-oxononanamide
195	517	5-Oxo-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]prolinamide
196	499	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-1H-pyrrole-2-carboxamide
197	519	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] morpholine-2-carboxamide

198	514	(2S)-2-[(1H-Imidazol-4-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl) ethyl]nonanamide
199	517	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] piperidine-3-carboxamide
200	545	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(3-piperidin-1-ylpropanoyl) amino]nonanamide
201	578	(2S)-2-{[2-(1H-Benzimidazol-2-yl)propanoyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
202	503	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-L-prolinamide
203	503	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-D-prolinamide
204	793	tert-Butyl (6-{[2-methyl-3-(2-oxo-2-{[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]amino} ethyl)-1H-indol-5-yl]oxy}hexyl)carbamate
205	517	(2S)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] piperidine-2-carboxamide
206	517	(2R)-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] piperidine-2-carboxamide
207	515	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(3-morpholin-4-yl propyl)-8-oxononanamide
208	561	(2S)-N-(1-Benzylpiperidin-4-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl] amino}-8-oxononanamide
209	547	(2S)-N-(1-Benzylpyrrolidin-3-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl] amino}-8-oxononanamide
210	546	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(6,7,8,9-tetrahydro-5H-benzo[7]annulen-7-ylmethyl)nonanamide
211	517	1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-L-prolinamide
212	545	1-Acetyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-L-prolinamide
213	545	1-Acetyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-D-prolinamide

214	531	1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]piperidine-4-carboxamide
215	546	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(6,7,8,9-tetrahydro-5H-benzo[7]annulen-5-ylmethyl)nonanamide
216	546	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(6,7,8,9-tetrahydro-5H-benzo[7]annulen-6-yl methyl)nonanamide
217	518	(2S)-N-(2,3-Dihydro-1H-inden-1-yl methyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide
218	518	(2S)-N-(2,3-Dihydro-1H-inden-2-ylmethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide
219	532	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(1,2,3,4-tetrahydronaphthalen-2-ylmethyl) nonanamide
220	542	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[2-(1-naphthyl) ethyl]-8-oxononanamide
221	534	(2S)-N-(3,4-Dihydro-1H-isochromen-1-ylmethyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide
222	561	(2S)-N-(1-Benzylpiperidin-3-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl] amino}-8-oxononanamide
223	560	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(1-phenyl cyclohexyl)methyl]nonanamide
224	515	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-3-ylnonanamide
225	465	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-pyridin-3-ylnonanamide
226	521	(2S)-N-1,3-Benzothiazol-2-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
227	531	(2S)-1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl) octyl]piperidine-2-carboxamide
228	531	(2R)-1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]piperidine-2-carboxamide

229	469	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(5-methylisoxazol-3-yl)-8-oxononanamide
230	549	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(4-morpholin-4-ylphenyl)-8-oxononanamide
231	590	(2S)-N-[2-(4-Benzylpiperazin-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
232	604	(2S)-N-[2-(4-Benzoylpiperazin-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide
233	577	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[4-(4-methoxy phenyl)-1,3-thiazol-2-yl]-8-oxo nonanamide
234	578	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(2-morpholin-4-yl-2-pyridin-2-ylethyl)-8-oxononanamide
235	583	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1-morpholin-4-ylcycloheptyl)methyl]-8-oxononanamide
236	575	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-phenyl-2-piperidin-1-ylethyl)nonanamide
237	576	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(4-phenylpiperazin-1-yl)ethyl]nonanamide
238	539	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[(1S,9aR)-octahydro-2H-quinolizin-1-ylmethyl]-8-oxononanamide
239	577	(2S)-N-[(4-Benzylmorpholin-2-yl) methyl] -2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide
240	546	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(4-phenyl cyclohexyl)nonanamide
241	547	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(1-phenyl piperidin-4-yl)nonanamide
242	567	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(1-piperidin-1-ylcyclohexyl)methyl]nonanamide
243	531	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(piperidin-1-ylacetyl)amino] nonanamide
244	532	4-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]piperazine-2-carboxamide

245	579	(5S)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-5-phenyl-D-prolinamide
246	579	(5R)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-5-phenyl-D-prolinamide
247	553	(2S)-2-[(N-Benzylglycyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
248	593	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-6-phenylpiperidine-2-carboxamide
249	593	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-5-phenylpiperidine-2-carboxamide
250	593	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-4-phenylpiperidine-2-carboxamide
251	593	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl]-3-phenylpiperidine-2-carboxamide
252	489	(2R)-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] azetidine-2-carboxamide
253	579	2-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide
254	543	(2S)-2-[(2-Azabicyclo[2.2.1]hept-2-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
255	557	N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl)octyl] octahydro-1H-isoindole-1-carboxamide
256	533	(2S)-2-[(N,N-Diethyl-β-alanyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
257	621	(2S)-2-[[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl](methyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide

258	542	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[2-(2-naphthyl) ethyl]-8-oxononanamide
259	517	1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]-D-prolinamide
260	531	1-Methyl-N-[(1S)-7-Oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino} carbonyl)octyl]piperidine-3-carboxamide (single diastereomer)
261	531	1-Methyl-N-[(1S)-7-oxo-1-({[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}carbonyl) octyl]piperidine-3-carboxamide (single diastereomer)
262	576	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-piperidin-1-yl-2-pyridin-3-ylethyl)nonanamide
263	569	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-[1-morpholin-4-yl cyclohexyl)methyl]-8-oxononanamide
264	547	(2S)-N-[2-(3,4-Dihydroquinolin-1(2H)-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo nonanamide
265	575	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(4-phenyl piperidin-1-yl)ethyl]nonanamide
266	471	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-1,3-thiazol-2-ylnonanamide
267	515	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-8-ylnonanamide
268	514	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-1-naphthyl-8-oxononanamide
269	515	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-5-ylnonanamide
270	515	(2S)-N-isoquinolin-5-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
271	464	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-phenylnonanamide
272	540	(2S)-N-Biphenyl-4-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
273	498	(2S)-N-(2-Chlorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide

274	498	(2S)-N-(4-Chlorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
275	539	(2S)-N-(5-Chloro-1,3-benzoxazol-2-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
276	460	(2S)-N-1,3-Benzothiazol-2-yl-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide
277	459	(2S)-N-1,3-Benzothiazol-2-yl-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino] nonanamide
278	430	N-{(1S)-1-[(1,3-Benzothiazol-2-ylamino) carbonyl]-7-oxooctyl}thiophene-3-carboxamide
279	445	N-{(1S)-1-[(1,3-Benzothiazol-2-ylamino) carbonyl]-7-oxooctyl}-1-methyl piperidine-2-carboxamide
280	456	(2S)-N-1,3-Benzothiazol-2-yl-2-{[3-(3-methyl-1H-pyrazol-1-yl)propanoyl] amino}-8-oxononanamide
281	488	(2S)-N-1,3-Benzothiazol-2-yl-2-{[(4-isopropylpiperazin-1-yl)acetyl]amino}-8-oxononanamide
282	431	(2S)-N-1,3-Benzothiazol-2-yl-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide
283	431	N-{(1S)-1-[(1,3-Benzothiazol-2-ylamino) carbonyl]-7-oxooctyl}-1,3-thiazole-5-carboxamide
284	454	(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl] amino}-8-oxo-N-quinolin-3-ylnonanamide
285	453	(2S)-8-Oxo-2-[(3-piperidin-1-ylpropanoyl)amino]-N-quinolin-3-ylnonanamide
286	424	N-{(1S)-7-Oxo-1-[(quinolin-3-ylamino) carbonyl]octyl}thiophene-3-carboxamide
287	450	(2S)-2-{[3-(3-Methyl-1H-pyrazol-1-yl) propanoyl]amino}-8-oxo-N-quinolin-3-yl nonanamide
288	482	(2S)-2-{[(4-Isopropylpiperazin-1-yl) acetyl]amino}-8-oxo-N-quinolin-3-yl nonanamide
289	425	(2S)-8-Oxo-2-[(pyrrolidin-1-ylacetyl) amino]-N-quinolin-3-ylnonanamide
290	425	N-{(1S)-7-Oxo-1-[(quinolin-3-ylamino) carbonyl]octyl}-1,3-thiazole-5-carboxamide

291	439	1-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-yl amino)carbonyl]octyl}piperidine-2-carboxamide
292	465	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-pyridin-2-yl nonanamide
293	465	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-pyridin-4-yl nonanamide
294	498	(2S)-N-(3-Chlorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
295	516	(2S)-N-[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]-2-{[(4-methylpiperazin-1-yl)acetyl] amino}-8-oxononanamide
296	486	N-[(1S)-1-({[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]amino}carbonyl)-7-oxooctyl] thiophene-3-carboxamide
297	486	N-[(1S)-1-({[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]amino}carbonyl)-7-oxooctyl]-1,3-thiazole-5-carboxamide
298	404	(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl] amino}-8-oxo-N-pyridin-3-ylnonanamide
299	403	(2S)-8-Oxo-2-[(3-piperidin-1-ylpropanoyl)amino]-N-pyridin-3-ylnonanamide
300	374	N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino) carbonyl]octyl}thiophene-3-carboxamide
301	389	1-Methyl-N-{(1S)-7-oxo-1-[(pyridin-3-ylamino)carbonyl]octyl}piperidine-2-carboxamide
302	432	(2S)-2-{[(4-Isopropylpiperazin-1-yl) acetyl]amino}-8-oxo-N-pyridin-3-yl nonanamide
303	375	(2S)-8-Oxo-N-pyridin-3-yl-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide
304	375	N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino) carbonyl]octyl}-1,3-thiazole-5-carboxamide
305	515	(2S)-N-[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]-8-oxo-2-[(3-piperidin-1-yl propanoyl)amino]nonanamide
306	487	(2S)-N-[4-(4-Methoxyphenyl)-1,3-thiazol-2-yl]-8-oxo-2-[(pyrrolidin-1-ylacetyl) amino]nonanamide
307	436	(2S)-N-(4-Chlorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino] nonanamide

308	402	(2S)-8-Oxo-N-phenyl-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide
309	422	N-((1S)-1-{[(4-Chlorophenyl)amino] carbonyl}-7-oxooctyl)-1-methyl piperidine-2-carboxamide
310	388	N-[(1S)-1-(Anilinocarbonyl)-7-oxooctyl]-1-methylpiperidine-2-carboxamide
311	407	N-((1S)-1-{[(4-Chlorophenyl)amino] carbonyl}-7-oxooctyl)thiophene-3-carboxamide
312	515	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-6-ylnonanamide
313	494	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(2-methoxyphenyl)-8-oxononanamide
314	494	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(3-methoxyphenyl)-8-oxononanamide
315	494	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(4-methoxyphenyl)-8-oxononanamide
316	489	(2S)-N-(3-Cyanophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
317	596	(2S)-2-[(2-Naphthylsulfonyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
318	624	(2S)-2-({[2-(Acetylamino)-4-methyl-1,3-thiazol-5-yl]sulfonyl}amino)-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
319	587	(2S)-2-{[(5-Chloro-2-thienyl)sulfonyl] amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
320	565	(2S)-2-{[(3,5-Dimethylisoxazol-4-yl) sulfonyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
321	604	(2S)-2-[(2,1,3-Benzothiadiazol-4-yl sulfonyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
322	552	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-{[(2,2,2-trifluoroethyl) sulfonyl]amino}nonanamide
323	596	(2S)-2-[(1-Naphthylsulfonyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl) ethyl]nonanamide

324	512	(2S)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(propylsulfonyl)amino] nonanamide
325	607	(2R)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide
326	563	(2R)-2-[(1H-Indol-3-ylacetyl)amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl] nonanamide
327	512	(2S)-2-[(2,1,3-Benzothiadiazol-4-ylsulfonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide
328	454	(2S)-8-Oxo-2-[(phenylsulfonyl)amino]-N-quinolin-3-ylnonanamide
329	525	(2S)-2-{[(4-Methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide
330	433	(2S)-2-[(Anilinocarbonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide
331	425	(2S)-2-{[(Cyclopentylamino)carbonyl] amino}-8-oxo-N-quinolin-3-ylnonanamide
332	434	Phenyl {(1S)-7-oxo-1-[(quinoline-3-ylamino)carbonyl]octyl}carbamate
333	473	(2S)-2-{[(3,5-Dimethylisoxazol-4-yl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide
334	449	(2S)-2-[(Anilinocarbonothioyl)amino]-8-oxo-N-quinolin-3-ylnonanamide
335	484	(2S)-2-{[(4-Methoxyphenyl)sulfonyl] amino}-8-oxo-N-quinolin-3-ylnonanamide
336	504	(2S)-2-[(2-Naphthylsulfonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide
337	488/ 490 (3:1)	(2S)-2-{[(4-Chlorophenyl)sulfonyl] amino}-8-oxo-N-quinolin-3-ylnonanamide
338	512	(2S)-2-[(2,3-Dihydro-1,4-benzodioxin-6-ylsulfonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide
339	489	(2S)-2-{[(2,4-Dimethyl-1,3-thiazol-5-yl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide

340	484	(2*S*)-2-{[(3-Methoxyphenyl)sulfonyl] amino}-8-oxo-N-quinolin-3-ylnonanamide
341	472	(2*S*)-2-{[(1,2-Dimethyl-1*H*-imidazol-4-yl)sulfonyl]amino}-8-oxo-*N*-quinolin-3-ylnonanamide
342	479	(2S)-2-{[(4-Cyanophenyl)sulfonyl]amino} -8-oxo-N-quinolin-3-ylnonanamide
343	510	(2S)-2-[(1-Benzothien-3-ylsulfonyl) amino]-8-oxo-N-quinolin-3-ylnonanamide
344	463	(2S)-2-({[(4-Methoxyphenyl)amino] carbonyl}amino)-8-oxo-N-quinolin-3-ylnonanamide
345	497	(2S)-8-Oxo-2-({[(phenylsulfonyl) amino] carbonyl}amino)-N-quinolin-3-yl nonanamide
346	464	4-Methoxyphenyl {(1S)-7-oxo-1-[(quinoline-3-ylamino)carbonyl] octyl}carbamate
347	429	2-(Dimethylamino)ethyl {(1*S*)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl} carbamate
348	469	2-Piperidin-1-ylethyl {(1*S*)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl} carbamate
349	483	(2S)-2-{[(1-Naphthylamino)carbonyl] amino}-8-oxo-N-quinolin-3-ylnonanamide
350	449	(2*S*)-2-({[2-(Dimethylamino)ethyl] sulfonyl}amino)-8-oxo-*N*-quinolin-3-yl nonanamide

The following compounds were made according to the Reaction Schemes and Examples 1-13.

Compound Number	**Mass Seen**	**Nomenclature**
351	489	(2S)-N-(4-Cyanophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
352	514	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-2-naphthyl-8-oxononanamide
353	504	(2S)-N-(2,3-Dihydro-1H-inden-4-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide

354	555/557	(2S)-N-(6-Chloro-1,3-benzothiazol-2-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
355	581/583	(2S)-N-[4-(4-Chlorophenyl)-1,3-thiazol-2-yl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
356	547	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(4-phenyl-1,3-thiazol-2-yl)nonanamide
357	504	(2S)-N-(2,3-Dihydro-1H-inden-1-yl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
358	478	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(4-methylphenyl)-8-oxononanamide
359	481	(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-N-[2-(1-naphthyl)ethyl]-8-oxononanamide
360	480	(2S)-N-[2-(1-Naphthyl)ethyl]-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide
361	451	N-[(1S)-1-({[2-(1-Naphthyl)ethyl]amino}carbonyl)-7-oxooctyl]thiophene-3-carboxamide
362	466	1-Methyl-N-[(1S)-1-({[2-(1-naphthyl)ethyl]amino}carbonyl)-7-oxooctyl]piperidine-2-carboxamide
363	477	(2S)-2-{[3-(3-Methyl-1H-pyrazol-1-yl)propanoyl]amino}-N-[2-(1-naphthyl)ethyl]-8-oxononanamide
364	509	(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-N-[2-(1-naphthyl)ethyl]-8-oxononanamide
365	452	(2S)-N-[2-(1-Naphthyl)ethyl]-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide
366	452	N-[(1S)-1-({[2-(1-Naphthyl)ethyl]amino}carbonyl)-7-oxooctyl]-1,3-thiazole-5-carboxamide
367	494	(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide
368	493	(2S)-N-[(1-Morpholin-4-ylcyclopentyl)methyl]-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide
369	464	N-[(1S)-1-({[(1-Morpholin-4-ylcyclopentyl)methyl]amino}carbonyl)-7-oxooctyl]thiophene-3-carboxamide
370	490	(2S)-2-{[3-(3-Methyl-1H-pyrazol-1-yl)propanoyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide

371	522	(2S)-2-{[(4-Isopropylpiperazin-1-yl)acetyl]amino}-N-[(1-morpholin-4-ylcyclopentyl)methyl]-8-oxononanamide
372	465	N-[(1S)-1-({[(1-Morpholin-4-ylcyclopentyl)methyl]amino}carbonyl)-7-oxooctyl]-1,3-thiazole-5-carboxamide
373	543	(2S)-N-[4-(Aminosulfonyl)phenyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
374	478	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(2-methylphenyl)-8-oxononanamide
375	478	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(3-methylphenyl)-8-oxononanamide
376	506	(2S)-N-(4-Acetylphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
377	495	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(6-methoxypyridin-3-yl)-8-oxononanamide
378	512	(2S)-N-(2-Acetyl-3-thienyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
379	532/534	(2S)-N-(3,4-Dichlorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
380	553	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(1-piperidin-1-ylcyclopentyl)methyl]nonanamide
381	482	(2S)-N-(2-Fluorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
382	482	(2S)-N-(3-Fluorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
383	482	(2S)-N-(4-Fluorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
384	532/534	(2S)-N-(3,5-Dichlorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
385	515	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-quinolin-2-ylnonanamide
386	515	(2S)-N-Isoquinolin-3-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
387	506	(2S)-N-(3-Acetylphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide

388	532	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[3-(trifluoromethyl)phenyl]nonanamide
389	500	(2S)-N-(3,5-Difluorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
390	516/518	(2S)-N-(3-Chloro-4-fluorophenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
391	528/530	(2S)-N-(3-Chloro-4-methoxyphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
392	492	(2S)-N-(3,4-Dimethylphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
393	527	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(2-methyl-2-piperidin-1-ylpropyl)-8-oxononanamide
394	540	(2S)-N-Biphenyl-3-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
395	529	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[3-(1H-pyrrol-1-yl)phenyl]nonanamide
396	543	(2S)-N-[3-(Aminosulfonyl)phenyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
397	515	(2S)-N-Isoquinolin-4-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
398	521	(2S)-N-1,3-Benzothiazol-5-yl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
399	503	(2S)-N-(3-Cyano-4-methylphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
400	404	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-(3-methoxyphenyl)-8-oxononanamide
401	403	N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide
402	432	(2S)-N-(3-Methoxyphenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide
403	433	(2S)-N-(3-Methoxyphenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide
404	367	N-[(1S)-1-(Anilinocarbonyl)-7-oxooctyl]benzamide
405	392	N-[(1S)-1-(Anilinocarbonyl)-7-oxooctyl]-3-cyanobenzamide

406	508	(2S)-N-(4-Ethoxyphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
407	528/530	(2S)-N-(4-Chloro-3-methoxyphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
408	521	(2S)-N-[3-(Acetylamino)phenyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxononanamide
409	404	(2S)-N-(3-Methoxyphenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide
410	404	N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpyrrolidine-3-carboxamide
411	419	N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide
412	419	N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide
413	419	N-((1S)-1-{[(3-Methoxyphenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide
414	425	(2S)-8-Oxo-2-[(pyrrolidin-1-ylacetyl)amino]-N-quinolin-3-ylnonanamide
415	439	1-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}piperidine-4-carboxamide
416	471	1-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)piperidine-4-carboxamide
417	457	(2S)-8-Oxo-N-(4-phenyl-1,3-thiazol-2-yl)-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide
418	457	N-((1S)-7-Oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)-1,3-thiazole-5-carboxamide
419	392	N-((1S)-1-{[(3-Fluorophenyl)amino]carbonyl}-7-oxooctyl)-1,3-thiazole-5-carboxamide
420	391	N-((1S)-1-{[(3-Fluorophenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide
421	392	(2S)-N-(3-Fluorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide
422	408	N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1,3-thiazole-5-carboxamide

423	407	N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide
424	408	(2S)-N-(3-Chlorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide
425	422	N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide
426	470/472/476	(2S)-N-(3,5-Dichlorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide
427	440/444/446	N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1,3-thiazole-5-carboxamide
428	441/443/445	N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide
429	442/444/446	(2S)-N-(3,5-Dichlorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide
430	456/458/460	N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide
431	426/428	N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)-1,3-thiazole-5-carboxamide
432	424/426	N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)thiophene-3-carboxamide
433	426/428	(2S)-N-(3-Chloro-4-fluorophenyl)-8-oxo-2-[(pyrrolidin-1-ylacetyl)amino]nonanamide
434	440/442	N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-4-carboxamide
435	425	N-{(1R)-7-Oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}-1,3-thiazole-5-carboxamide
436	424	N-{(1R)-7-Oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}thiophene-3-carboxamide
437	546	(2R)-8-Oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide
438	440	4-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}-1,2,3-thiadiazole-5-carboxamide
439	456	N-((1S)-7-Oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)thiophene-3-carboxamide

440	472	4-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)-1,2,3-thiadiazole-5-carboxamide
441	471	1-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)piperidine-3-carboxamide
442	471	1-Methyl-N-((1S)-7-oxo-1-{[(4-phenyl-1,3-thiazol-2-yl)amino]carbonyl}octyl)piperidine-2-carboxamide
443	486	(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-(4-phenyl-1,3-thiazol-2-yl)nonanamide
444	423/425	N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-4-methyl-1,2,3-thiadiazole-5-carboxamide
445	422/424	N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide
446	422/424	N-((1S)-1-{[(3-Chlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide
447	437/439	(2S)-N-(3-Chlorophenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide
448	455/457/459	N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-4-methyl-1,2,3-thiadiazole-5-carboxamide
449	456/458/460	N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide
450	456/458/460	N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-2-carboxamide
451	471/473/475	(2S)-N-(3,5-Dichlorophenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide
452	441/443	N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)-4-methyl-1,2,3-thiadiazole-5-carboxamide
453	440/442	N-((1S)-1-{[(3-Chloro-4-fluorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylpiperidine-3-carboxamide
454	455/457	(2S)-N-(3-Chloro-4-fluorophenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxononanamide
455	439	1-Methyl-N-{(1S)-7-oxo-1-[(quinolin-3-ylamino)carbonyl]octyl}piperidine-3-carboxamide
456	416	N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxooctyl)-1,3-thiazole-5-carboxamide

457	439	4-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxooctyl}-1,2,3-thiadiazole-5-carboxamide
458	424	N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxooctyl}-1,3-thiazole-5-carboxamide
459	446	N-{(1S)-1-[(1,3-Benzothiazol-6-ylamino)carbonyl]-7-oxooctyl}-4-methyl-1,2,3-thiadiazole-5-carboxamide
460	431	N-{(1S)-1-[(1,3-Benzothiazol-6-ylamino)carbonyl]-7-oxooctyl}-1,3-thiazole-5-carboxamide
461	464	N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}-1-methylpiperidine-3-carboxamide
462	450	N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}-1,3-thiazole-5-carboxamide
463	442/444/446	N-((1S)-1-{[(3,5-Dichlorophenyl)amino]carbonyl}-7-oxooctyl)-1-methylprolinamide
464	436/438	(2S)-N-(3-Chlorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide
465	454/456	(2S)-N-(3-Chloro-4-fluorophenyl)-8-oxo-2-[(3-piperidin-1-ylpropanoyl)amino]nonanamide
466	449	N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}thiophene-3-carboxamide
467	465	N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}-4-methyl-1,2,3-thiadiazole-5-carboxamide
468	465	N-{(1S)-1-[(Biphenyl-3-ylamino)carbonyl]-7-oxooctyl}-1-methylpiperidine-2-carboxamide
469	452	1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxononyl}piperidine-3-carboxamide
470	453	4-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxononyl}-1,2,3-thiadiazole-5-carboxamide
471	514	1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxo-8-phenyloctyl}piperidine-3-carboxamide
472	515	4-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxo-8-phenyloctyl}-1,2,3-thiadiazole-5-carboxamide
473	438	1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxooctyl}piperidine-3-carboxamide

474	466	1-Methyl-N-{(1S)-8-methyl-1-[(2-naphthylamino)carbonyl]-7-oxononyl}piperidine-3-carboxamide
475	500	1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxo-7-phenylheptyl}piperidine-3-carboxamide
476	580	(2S)-8-Oxo-N-quinolin-3-yl-2-{[(2,4,6-triisopropylphenyl)sulfonyl]amino}nonanamide
477	606/608/610/612	(2S)-2-{[(4-Bromo-2,5-dichloro-3-thienyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide
478	522/524	(2S)-8-Oxo-N-quinolin-3-yl-2-{[(3,5-dichlorophenyl)sulfonyl]amino}nonanamide
479	556/558/560	(2S)-8-Oxo-N-quinolin-3-yl-2-{[(2,4,6-trichlorophenyl)sulfonyl]amino}nonanamide
480	538	(2S)-8-Oxo-N-quinolin-3-yl-2-({[4-(trifluoromethoxy)phenyl]sulfonyl}amino)nonanamide
481	518/520	(2S)-2-{[(5-Chloro-2-methoxyphenyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide
482	506/508	(2S)-2-{[(5-Chloro-1,3-dimethyl-1H-pyrazol-4-yl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide
483	513/515	(2S)-2-{[(2-Chloro-4-cyanophenyl)sulfonyl]amino}-8-oxo-N-quinolin-3-ylnonanamide
484	505	(2S)-2-[(Isoquinolin-5-ylsulfonyl)amino]-8-oxo-N-quinolin-3-ylnonanamide
485	470	(2S)-N-(3-Acetylphenyl)-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide
486	486	(2S)-N-1,3-Benzothiazol-6-yl-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide
487	505	(2S)-N-Biphenyl-3-yl-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide
488	508	(2S)-N-[3-(Aminosulfonyl)phenyl]-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide
489	446	(2S)-2-{[(4-Cyanophenyl)sulfonyl]amino}-N-(3-fluorophenyl)-8-oxononanamide

490	463/465	(2S)-N-(3-Chlorophenyl)-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide
491	496/498/500	(2S)-2-{[(4-Cyanophenyl)sulfonyl]amino}-N-(3,5-dichlorophenyl)-8-oxononanamide
492	479	(2S)-2-{[(4-Cyanophenyl)sulfonyl]amino}-N-2-naphthyl-8-oxononanamide
493	504	(2S)-N-Biphenyl-4-yl-2-{[(4-cyanophenyl)sulfonyl]amino}-8-oxononanamide
494	376	(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-pyridin-3-yldecanamide
495	396	(2S)-8-Oxo-2-[(phenylacetyl)amino]-N-pyridin-3-yldecanamide
496	439	(2S)-2-[(N-Benzoylglycyl)amino]-8-oxo-N-pyridin-3-yldecanamide
497	393	(2S)-N-Cyclopentyl-8-oxo-2-[(3-thienylacetyl)amino]decanamide
498	402	(2S)-8-Oxo-N-pyridin-3-yl-2-[(3-thienylacetyl)amino]decanamide
499	363	N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}-1H-pyrazole-4-carboxamide
500	394	N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}-1-methylpiperidine-4-carboxamide
501	427	(2S)-N-(3-Acetylphenyl)-2-[(1H-imidazol-1-ylacetyl)amino]-8-oxodecanamide
502	475	N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)quinoxaline-6-carboxamide
503	493	(2S)-N-(3-Acetylphenyl)-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide
504	480	(2S)-2-[(N-Benzoylglycyl)amino]-N-(3-acetylphenyl)-8-oxodecanamide
505	441	N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}-2-(1H-tetrazol-1-yl)benzamide
506	425	N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}quinoxaline-6-carboxamide
507	440	(2S)-N-Cyclopentyl-2-{[3-(1H-indol-3-yl)propanoyl]amino}-8-oxodecanamide

508	413	N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)-1H-imidazole-2-carboxamide
509	443	(2S)-N-(3-Acetylphenyl)-8-oxo-2-[(3-thienylacetyl)amino]decanamide
510	409	(2S)-N-Cyclopentyl-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide
511	417	(2S)-N-(3-Acetylphenyl)-2-[(4-methylpentanoyl)amino]-8-oxodecanamide
512	413	N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)-1H-pyrazole-4-carboxamide
513	387	(2S)-N-Cyclopentyl-8-oxo-2-[(phenylacetyl)amino]decanamide
514	450	N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]nonyl}-2-(1H-tetrazol-1-yl)benzamide
515	449	(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-pyridin-3-yldecanamide
516	404	(2S)-N-(3-Acetylphenyl)-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide
517	374	N-{(1S)-1-[(Cyclopentylamino)carbonyl]-7-oxononyl}nicotinamide
518	372	N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]nonyl}-1H-pyrazole-4-carboxamide
519	311	(2S)-2-(Acetylamino)-N-cyclopentyl-8-oxodecanamide
520	424	N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)nicotinamide
521	444	(2S)-N-Cyclopentyl-8-oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}decanamide
522	367	(2S)-N-Cyclopentyl-2-[(4-methylpentanoyl)amino]-8-oxodecanamide
523	336	(2S)-2-[(Cyanoacetyl)amino]-N-cyclopentyl-8-oxodecanamide
524	354	(2S)-N-Cyclopentyl-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide
525	520	(2S)-N-(3-Acetylphenyl)-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxodecanamide
526	453	(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-pyridin-3-yldecanamide

527	434	N-{(1S)-7-Oxo-1-[(pyridin-3-ylamino)carbonyl]nonyl}quinoxaline-6-carboxamide
528	452	(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-pyridin-3-yldecanamide
529	494	(2S)-N-(3-Acetylphenyl)-8-oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}decanamide
530	444	N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)-1-methylpiperidine-4-carboxamide
531	377	(2S)-N-Cyclopentyl-2-[(1H-imidazol-1-ylacetyl)amino]-8-oxodecanamide
532	491	N-((1S)-1-{[(3-Acetylphenyl)amino]carbonyl}-7-oxononyl)-2-(1H-tetrazol-1-yl)benzamide
533	459	(2S)-N-(3-Acetylphenyl)-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide
534	443	(2S)-N-Cyclopentyl-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide
535	437	(2S)-N-(3-Acetylphenyl)-8-oxo-2-[(phenylacetyl)amino]decanamide
536	470	(2S)-N-Cyclopentyl-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxodecanamide
537	490	(2S)-N-(3-Acetylphenyl)-2-{[3-(1H-indol-3-yl)propanoyl]amino}-8-oxodecanamide
538	548	(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
539	441	(2S)-2-[(Cyanoacetyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
540	439	(2S)-N-(3-Acetylphenyl)-2-{[(methylsulfonyl)acetyl]amino}-8-oxodecanamide
541	488	(2S)-2-[(N-Benzoylglycyl)amino]-N-2-naphthyl-8-oxodecanamide
542	472	(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
543	505	(2S)-2-[(N-Benzoylglycyl)amino]-N-[2-(1*H*-indol-3-yl)ethyl]-8-oxodecanamide

544	462	(2S)-N-[2-(1H-Indol-3-yl)ethyl]-8-oxo-2-[(phenylacetyl)amino]decanamide
545	535	(2S)-2-[(N-Benzoylglycyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
546	369	(2S)-2-(Acetylamino)-N-2-naphthyl-8-oxodecanamide
547	421	N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxononyl}-1H-pyrazole-4-carboxamide
548	515	(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-{[3-(1H-indol-3-yl)propanoyl]amino}-8-oxodecanamide
549	445	(2S)-N-2-Naphthyl-8-oxo-2-[(phenylacetyl)amino]decanamide
550	421	N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxononyl}-1H-imidazole-2-carboxamide
551	438	N-[(1S)-1-({[2-(1H-Indol-3-yl)ethyl]amino}carbonyl)-7-oxononyl]-1H-pyrazole-4-carboxamide
552	494	(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
553	416	(2S)-2-(Acetylamino)-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
554	516	N-[(1S)-1-({[2-(1H-Indol-3-yl)ethyl]amino}carbonyl)-7-oxononyl]-2-(1H-tetrazol-1-yl)benzamide
555	499	N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxononyl}-2-(1H-tetrazol-1-yl)benzamide
556	442	(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-[(4-methylpentanoyl)amino]-8-oxodecanamide
557	468	(2S)-N-[2-(1H-Indol-3-yl)ethyl]-8-oxo-2-[(3-thienylacetyl)amino]decanamide
558	549	(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
559	447	(2*S*)-2-{[(methylsulfonyl)acetyl]amino}-*N*-2-naphthyl-8-oxodecanamide
560	530	N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]quinoxaline-6-carboxamide
561	411	(2S)-2-[(Cyanoacetyl)amino]-N-[2-(1H-indol-3-yl)ethyl]-8-oxodecanamide

562	518	(2S)-N-[2-(1H-Indol-3-yl)ethyl]-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide
563	386	(2S)-2-(Acetylamino)-N-[2-(1H-indol-3-yl)ethyl]-8-oxodecanamide
564	575	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
565	545	(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
566	483	N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxononyl}quinoxaline-6-carboxamide
567	389	(2S)-N-Cyclopentyl-2-{[(methylsulfonyl)acetyl]amino}-8-oxodecanamide
568	432	N-{(1S)-1-[(2-Naphthylamino)carbonyl]-7-oxononyl}nicotinamide
569	468	N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]-1H-pyrazole-4-carboxamide
570	425	(2S)-2-[(4-Methylpentanoyl)amino]-N-2-naphthyl-8-oxodecanamide
571	464	(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-{[(methylsulfonyl)acetyl]amino}-8-oxodecanamide
572	479	N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]nicotinamide
573	546	N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]-2-(1H-tetrazol-1-yl)benzamide
574	492	(2S)-8-Oxo-2-[(phenylacetyl)amino]-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
575	449	N-[(1S)-1-({[2-(1H-Indol-3-yl)ethyl]amino}carbonyl)-7-oxononyl]nicotinamide
576	528	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-N-2-naphthyl-8-oxodecanamide
577	394	(2S)-2-[(Cyanoacetyl)amino]-N-2-naphthyl-8-oxodecanamide
578	501	(2S)-N-2-Naphthyl-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide

579	416	(2S)-2-(Acetylamino)-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide
580	486	(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide
581	447	N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)nicotinamide
582	412	(2S)-2-[(N,N-Dimethylglycyl)amino]-N-2-naphthyl-8-oxodecanamide
583	399	N-((1S)-7-Oxo-1-{[(2-phenylethyl)amino]carbonyl}nonyl)-1H-pyrazole-4-carboxamide
584	459	(2S)-2-[(N-Benzoylglycyl)amino]-N-(1-ethylpiperidin-4-yl)-8-oxodecanamide
585	469	N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-3-(1H-indol-3-yl)propanamide
586	535	(2S)-2-[(N-Benzoylglycyl)amino]-N-(1-benzylpiperidin-4-yl)-8-oxodecanamide
587	459	(2S)-N-(1-Benzylpiperidin-4-yl)-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide
588	515	(2S)-2-[(N-Benzoylglycyl)amino]-N-[2-(4-isopropylpiperazin-1-yl)ethyl]-8-oxodecanamide
589	396	N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-4-methylpentanamide
590	422	N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-2-(3-thienyl)acetamide
591	347	(2S)-2-(Acetylamino)-8-oxo-N-(2-phenylethyl)decanamide
592	416	(2S)-2-(Acetylamino)-N-(1-benzylpiperidin-4-yl)-8-oxodecanamide
593	479	(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-(2-phenylethyl)decanamide
594	506	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-(2-phenylethyl)decanamide
595	479	N-((1S)-1-{[(1-Benzylpiperidin-4-yl)amino]carbonyl}-7-oxononyl)nicotinamide
596	430	1-Methyl-N-((1S)-7-oxo-1-{[(2-phenylethyl)amino]carbonyl}nonyl)piperidine-4-carboxamide

597	452	(2S)-N-[2-(1-Isopropylpiperidin-4-yl)ethyl]-2-[(4-methylpentanoyl)amino]-8-oxodecanamide
598	499	N-((1S)-1-{[(1-Benzylpiperidin-4-yl)amino]carbonyl}-7-oxononyl)-1-methylpiperidine-4-carboxamide
599	416	N-{(1S)-1-[(4-Ethylpiperazin-1-yl)carbonyl]-7-oxononyl}-2-phenylacetamide
600	482	(2S)-N-(1-Benzylpiperidin-4-yl)-2-[(1H-imidazol-1-ylacetyl)amino]-8-oxodecanamide
601	492	(2S)-N-(1-Benzylpiperidin-4-yl)-8-oxo-2-[(phenylacetyl)amino]decanamide
602	476	(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-(2-phenylethyl)decanamide
603	494	(2S)-N-(1-Benzylpiperidin-4-yl)-2-{[(methylsulfonyl)acetyl]amino}-8-oxodecanamide
604	390	(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-(2-phenylethyl)decanamide
605	461	*N*-((1*S*)-7-oxo-1-{[(2-phenylethyl)amino]carbonyl}nonyl)quinoxaline-6-carboxamide
606	409	(2S)-2-[(Cyanoacetyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide
607	545	(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide
608	520	(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-[(5-oxo-5-phenylpentanoyl)amino]decanamide
609	452	1-Methyl-N-{(1S)-1-[(2-naphthylamino)carbonyl]-7-oxononyl}piperidine-4-carboxamide
610	507	(2S)-2-[(N-Benzoylglycyl)amino]-N-[2-(2,3-dihydro-1H-indol-1-yl)ethyl]-8-oxodecanamide
611	530	N-[(1S)-7-Oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]quinoxaline-6-carboxamide
612	431	(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-[(N,N-dimethylglycyl)amino]-8-oxodecanamide
613	549	(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide

614	517	(2S)-8-Oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}-N-(quinolin-3-ylmethyl)decanamide
615	548	(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide
616	460	(2S)-8-Oxo-2-[(phenylacetyl)amino]-N-(quinolin-3-ylmethyl)decanamide
617	436	N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)-1H-imidazole-2-carboxamide
618	440	(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide
619	471	N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]-1-methylpiperidine-4-carboxamide
620	518	N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]-2-(1H-tetrazol-1-yl)benzamide
621	472	(2S)-2-[(4-Methylpentanoyl)amino]-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide
622	384	(2S)-2-(Acetylamino)-8-oxo-N-(quinolin-3-ylmethyl)decanamide
623	398	(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-pyridin-3-yldecanamide
624	575	(2S)-2-{[(5-Methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide
625	427	(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-(quinolin-3-ylmethyl)decanamide
626	499	1-Methyl-N-[(1S)-7-oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]piperidine-4-carboxamide
627	451	N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]nicotinamide
628	470	(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-[(3-thienylacetyl)amino]decanamide
629	440	N-[(1S)-1-({[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]amino}carbonyl)-7-oxononyl]-1H-pyrazole-4-carboxamide

630	494	(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-[2-(3-phenylpyrrolidin-1-yl)ethyl]decanamide
631	479	N-[(1S)-7-Oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]nicotinamide
632	546	N-[(1S)-7-Oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]-2-(1H-tetrazol-1-yl)benzamide
633	499	1-Methyl-N-[(1S)-7-oxo-1-({[2-(3-phenylpyrrolidin-1-yl)ethyl]amino}carbonyl)nonyl]piperidine-4-carboxamide
634	547	(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino}-8-oxodecanamide
635	503	(2S)-2-[(N-Benzoylglycyl)amino]-8-oxo-*N*-(quinolin-3-ylmethyl)decanamide
636	459	(2S)-2-[(N,N-Dimethylglycyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
637	516	(2S)-8-Oxo-2-[(5-oxo-5-phenylpentanoyl)amino]-N-(quinolin-3-ylmethyl)decanamide
638	469	N-[(1S)-1-({[2-(1H-Indol-3-yl)ethyl]amino}carbonyl)-7-oxononyl]-1-methylpiperidine-4-carboxamide
639	436	N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)-1H-pyrazole-4-carboxamide
640	484	(2S)-N-[2-(1H-Indol-3-yl)ethyl]-2-{[(4-methylpiperazin-1-yl)acetyl]amino}-8-oxodecanamide
641	513	(2S)-2-{[3-(1H-Indol-3-yl)propanoyl]amino}-8-oxo-N-(quinolin-3-ylmethyl)decanamide
642	514	(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
643	467	(2S)-2-{[(4-Methylpiperazin-1-yl)acetyl]amino}-N-2-naphthyl-8-oxodecanamide
644	466	(2S)-8-Oxo-N-(quinolin-3-ylmethyl)-2-[(3-thienylacetyl)amino]decanamide
645	482	(2S)-2-[(1H-Imidazol-1-ylacetyl)amino]-8-oxo-N-[(2-phenyl-1,3-thiazol-4-yl)methyl]decanamide
646	514	N-((1S)-7-Oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)-2-(1H-tetrazol-1-yl)benzamide

647	464	(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-[(phenylacetyl)amino]decanamide
648	467	1-Methyl-N-((1S)-7-oxo-1-{[(quinolin-3-ylmethyl)amino]carbonyl}nonyl)piperidine-4-carboxamide
649	468	N-[(1S)-7-Oxo-1-({[(2-phenyl-1,3-thiazol-4-yl)methyl]amino}carbonyl)nonyl]-1H-imidazole-2-carboxamide
650	388	(2S)-2-(Acetylamino)-N-[2-(2,3-dihydro-1H-indol-1-yl)ethyl]-8-oxodecanamide
651	517	(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-2-{[3-(1H-indol-3-yl)propanoyl]amino}-8-oxodecanamide
652	521	(2S)-N-[2-(2,3-Dihydro-1H-indol-1-yl)ethyl]-8-oxo-2-{[(2-oxo-1,3-benzoxazol-3(2H)-yl)acetyl]amino}decanamide
653	462	(2S)-2-{[(Methylsulfonyl)acetyl]amino}-8-oxo-N-(quinolin-3-ylmethyl)decanamide

ASSAYS

The compounds of the instant invention described in the Examples and shown in Table 1 were tested by the assays described below and were found to have HDAC inhibitory activity (IC_{50} of ≤ 30 μM). Other assays are known in the literature and could be readily performed by those of skill in the art.

HDAC ASSAY 1

Prepare 2.5μl of compound or DMSO (20X) in 96 well microplate Packard Optiplate. To each well add 37.5μl of Mix A, perform a 30 min. incubation at room temperature while shaking, then add 10μl of Mix B, perform 3.5 hours incubation at room temperature while shaking, then add 10μl of STOP Mix, incubate for 30 min. at room temperature and then read in FLUOSTAR ex355nm em460/40nm.

The final assay conditions contain: Hepes (pH 7.4, 50mM), Glycerol (10%), BSA (0.1mg/ml), Triton X100 (0.01%), Fluorogenic peptide IRBM91 (Boc-Ala-Ala-Lys[ε-Ac]-AMC; 20uM), HeLa S3 extract from nuclei (20μg/ml) or HDAC1 (1nM), Lysyl End Peptidase (LEP; 0.25mAu/ml) or Lysyl C endoprotease(LysC; 4.8mU/ml) and Trichostatin A (1μM).

The final assay volume is 50μl.

Mix A contains: Buffer A 1X (37.5μl), HeLa-S3 extract from nuclei (20μg/ml; considering 50μl/well) or HDAC1 (1nM; considering 50μl/well).

Mix B contains: Buffer A 1X (10μl) and Pep IRBM91 (20μM; considering 50μl/well).

STOP Mix contains: Buffer A 1X (10μl), LEP or Lys C (0.25mAu/ml) or 4.8mU/ml; considering 60μl final volume) and Trichostatin A (1μM; considering 60μl final volume).

Buffer A 1X contains: Hepes (pH 7.4; 50mM), Glycerol (10%), BSA (0.1mg/ml) and Triton X100 (0.01%).

HDAC ASSAY 2

Prepare 2.5μl of compound or DMSO (20X) in 96 well microplate Packard Optiplate.

To each well add 37.5μl of Mix A, then add 10μl Mix B, incubate for 3.5 hours at room temperature while shaking, then add 25μl SPA- Streptavidin beads (in buffer A 1X) and finally read in a Packard TOP COUNT.

The final assay conditions contain: Hepes (pH 7.4, 50mM), Glycerol (10%), BSA (0.1mg/ml), Triton X100 (0.01%), 3H Biotin-PEP439 (Biotin-G-A-[acetyl-3H]K-R-H-R-[acetyl-3H]K-V-NH_2, SPA-streptavidin beads (2mg/ml) and HeLa S3 extract (40μg/ml).

The final assay volume is 50μl.

Mix A contains: Buffer A 2X (25μl), HeLa-S3 extract (40μg/ml) and H_2O (to 37.5μl).

Mix B contains: Buffer A 2X (5μl), Pep 439 (50nM; considering 50μl final volume) and H_2O (to 10μl).

Buffer A 2X contains: Hepes (pH 7.4; 100mM), Glycerol (20%), BSA (0.2mg/ml) and Triton X100 (0.02%).

PROTOCOL FOR NUCLEI EXTRACTION FROM HeLa CELLS (ADHERENT OR IN SUSPENSION)

For a protocol on Nuclei extraction from HeLa S3 cells (adherent or in suspension) refer to Nare et al. 1999 *Anal. Biochem.*, 267: 390-396.

Nuclei preparation for adherent HeLa S3 cells (0.5-1 x 109 cells) is as follows: wash cells twice with 1x PBS, scrape cells into 1X PBS, wash plates with 1X PBS, pool and spin cells at 800 x g 10 minutes at 4°C, wash cell pellets with 1X PBS (count cells), spin cells at 800 x g 10 minutes at 4°C, freeze cell pellets in liquid nitrogen and store -80°C.

Nuclei preparation for HeLa S3 cells in suspension (0.5-1 x 109 cells) is as follows: collect cells by centrifugation at 800 x g 10 minutes at 4°C, wash cell pellets with 1X PBS, spin cells at 800 x g 10 minutes at 4°C, repeat wash step twice (count cells), freeze cell pellet in liquid nitrogen and store at -80°C.

Resuspend cell pellets in lysis buffer (5 ml / 1 x 108 cells; buffer contains: 0.25M sucrose, 0.45% NP40, 10mM Tris-HCl (7.5), 10mM NaCl, 5mM $MgCl_2$, 0.1mM EGTA, 0.5mM PMSF, COMPLETE protease inhibitor mix), vortex 10 sec and leave on ice for 15 minutes, spin through cushion (25 ml of lysate / 5 ml cushion; cushion contains: 30% sucrose, 10mM Tris-HCl (7.5), 10mM NaCl, 3mM $MgCl_2$), spin through cushion at 1,300 x g 10 minutes at 4°C, remove super / cushion, resuspend in lysis buffer as above and re-spin through cushion as above, remove super / cushion.

For nuclear extraction, resuspend nuclear pellets in nuclei extraction buffer (13.5 ml / 5 ml nuclear pellet; nuclei extraction buffer contains: 50 mM Hepes pH 7.4, (for use in HDAC ASSAY 2 also include 0.5mM PMSF and COMPLETE protease inhibitor mix), sonicate into suspension on ice (1 min, output control between 4 and 5), leave on ice 30 min., centrifuge 100,000 x g for 1 hr at 4°C, keep super on ice, repeat sonication/ice/centrifuge steps two more times, pool three supernatants and dialyze in 50 mM Hepes pH 7.4 / 10% glycerol and Snap-freeze suitable aliquots in liquid nitrogen and store -80°C.

EXTRACTION AND PURIFICATION PROTOCOL FOR FLAG-TAGGED HDAC1 EXPRESSED IN HeLa CELLS

HeLa cells transiently transfected with pCDNA3-HDAC1-FLAG are grown to 80% confluence on 10 cm culture dishes in DMEM, 10% Fetal bovine serum supplemented with antibiotics and glutamine. Cells are washed with 10 ml cold PBS and scraped into 2 ml of PBS. Cells are centrifuged for 5 minutes at 800 x g at 4°C, washed with 30 ml PBS and resuspended in 10 ml PBS, counted, re-centrifuged and frozen at -80°C.

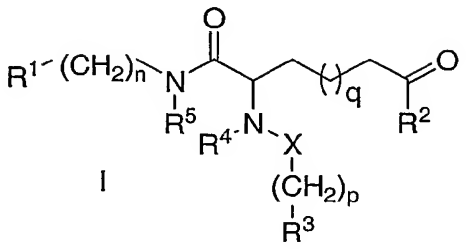
The frozen cell pellet is re-suspended in 1 ml of hypotonic lysis buffer (LB: 20 mM Hepes pH7.9, 0.25 mM EDTA, 10% glycerol) containing COMPLETE protease inhibitor and incubated on ice for 15 minutes, followed by homogenization on a 2-ml DounceB homogenizer (25 strokes). 150 mM KCl and 0.5% NP-40 are added to the homogenate and the solution is sonicated twice for 30 seconds (output5/6, duty cycle 90) and incubated for 1 hour at 4°C. After a 30 minutes centrifugation at 12000rpm and 4°C the supernatant (soluble extract) is collected and protein concentration is determined using the BIORAD assay.

Anti-FLAG M2 affinity resin (Sigma) is washed three times with TBS and twice with LB. 10 μl of the LB-washed resin/mg of protein (2-3 ug of Flagged-HDAC1) are added to the soluble extract (1 mL) and incubated overnight at 4°C with gentle mixing. The resin is then collected by centrifugation, washed once with LB, twice with LB + 0.1% NP40 and twice with elution buffer (50 mM Hepes pH 7.4, 5% glycerol, 100 mM KCl, 0.01% Triton X-100).

The affinity-purified HDAC is eluted from the resin by addition of a 10-fold excess (with respect to the resin) of elution buffer containing 100 μg/ml 3XFLAG peptide (SIGMA). The concentration of purified HDAC is determined by Western blot analysis.

WHAT IS CLAIMED IS:

1. A compound according to Formula I:



I

wherein:

a is 0 or 1; b is 0 or 1; m is 0, 1 or 2; n is 0, 1, 2 or 3; p is 0, 1, 2 or 3; and q is 1, 2, 3 or 4;

X is CH_2, C=O, $S(O)_2$, (C=O)NH, (C=O)O, (C=S)NH or $(C=O)NHS(O)_2$;

R^1 is selected from: $(C=O)_aO_b(C_1\text{-}C_6)$alkyl, $NH(C=O)(C_1\text{-}C_6)$alkyl, $N(R^c)_2$, $(O)_a$-aryl, $(C_3\text{-}C_8)$cycloalkyl, aryl and heterocyclyl; said alkyl, cycloalkyl, aryl and heterocyclyl optionally substituted with up to three substituents selected from R^d;

R^2 is selected from: H, $(C_1\text{-}C_6)$alkyl, $(C=O)\text{-}N(R^g)_2$, CF_3, $(C_3\text{-}C_8)$cycloalkyl, aryl and heterocyclyl; said alkyl, cycloalkyl, aryl and heterocyclyl optionally substituted with up to three substituents selected from OH, halo, $N(R^c)_2$, CN, oxo, $O_b(C_1\text{-}C_6)$alkyl, NO_2 and aryl;

R^3 is selected from: H, CF_3, oxo, OH, halogen, CN, $N(R^c)_2$, NO_2, $(C=O)_aO_b(C_1\text{-}C_{10})$alkyl, $(C=O)_aO_b(C_2\text{-}C_{10})$alkenyl, $(C=O)_aO_b(C_2\text{-}C_{10})$alkynyl, $(C=O)_aO_b(C_3\text{-}C_{10})$cycloalkyl, $(C=O)_aO_b(C_1\text{-}C_6)$alkylene-aryl, $(C=O)_aO_b$-aryl, $(C=O)_aO_b(C_1\text{-}C_6)$alkylene-heterocyclyl, $(C=O)_aO_b$-heterocyclyl, $NH(C=O)_a$-aryl, $(C_1\text{-}C_6)$alkyl(O)-aryl, $(C=O)_aO_b(C_1\text{-}C_6)$alkylene-$N(R^a)_2$, $N(R^a)_2$, $O_b(C_1\text{-}C_3)$perfluoroalkyl, $(C_1\text{-}C_6)$alkylene-$S(O)_mR^a$, $S(O)_mR^a$, $C(O)R^a$, $(C_1\text{-}C_6)$alkylene-CO_2R^a, CO_2R^a, C(O)H, $C(O)N(R^a)_2$, and $S(O)_2N(R^a)_2$; said alkyl,

alkenyl, alkynyl, cycloalkyl, aryl, alkylene and heterocyclyl is optionally substituted with up to three substituents selected from R^e;

R^4 is H or $(C_1\text{-}C_6)$alkyl;

R^5 is H; or
R^5, together with $N\text{-}(CH_2)_n\text{-}R^1$ forms a piperazine ring optionally substituted by up to three substituents selected from R^d;

R^a is independently selected from: H, oxo, OH, halogen, CO_2H, CN, $(O)C{=}O(C_1\text{-}C_6)$alkyl, $N(R^c)_2$, $(C_1\text{-}C_6)$alkyl, aryl, heterocyclyl, $(C_3\text{-}C_6)$cycloalkyl, $(C{=}O)O(C_1\text{-}C_6)$alkyl, $C{=}O(C_1\text{-}C_6)$alkyl and $S(O)_2R^a$; said alkyl, cycloalkyl, aryl or heterocyclyl is optionally substituted with one or more substituents selected from OH, $(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkoxy, halogen, CO_2H, CN, $(O)C{=}O(C_1\text{-}C_6)$alkyl, oxo, $N(R^c)_2$ and optionally substituted heterocyclyl, wherein said heterocyclyl is optionally substituted with $(C_1\text{-}C_6)$alkyl, oxo or NH_2;

R^c is independently selected from: H, $(C{=}O)_aO_b(C_1\text{-}C_6)$alkyl and $(C{=}O)_aO_b(C_1\text{-}C_6)$alkyl-aryl;

R^d is independently selected from: NO_2, O_a-aryl, O_a-heterocyclyl, $NH(C{=}O)$-aryl, $NH(C{=}O)(C_1\text{-}C_6)$alkyl, $(C{=}O)N(R^c)_2$, O_a-perfluoroalkyl, O_aCF_3, $(C{=}O)_a(C_1\text{-}C_6)$alkyl, $NHS(O)_m$-aryl, $NHS(O)_m(C_1\text{-}C_6)$alkyl, $N(R^c)_2$, $O_a(C_1\text{-}C_6)$alkyl-heterocyclyl, $S(O)_m(C_1\text{-}C_6)$alkyl, $S(O)_m$-aryl, $(C{=}O)_a$-aryl, $O_a(C_1\text{-}C_6)$alkyl, CN, $S(O)_mN(R^c)_2$, oxo, OH and halo; wherein said alkyl, aryl and heterocyclyl are optionally substituted with R^f;

R^e is independently selected from: $(C{=}O)_aCF_3$, oxo, OH, halogen, CN, NH_2, NO_2, $(C{=}O)_aO_b(C_1\text{-}C_{10})$alkyl, $(C{=}O)_aO_b(C_2\text{-}C_{10})$alkenyl, $(C{=}O)_aO_b(C_2\text{-}C_{10})$alkynyl, $(C{=}O)_aO_b(C_3\text{-}C_8)$cycloalkyl, $(C{=}O)_aO_b(C_1\text{-}C_6)$alkylene-aryl, $(C{=}O)_aO_b$-aryl, $(C{=}O)_aO_b(C_1\text{-}C_6)$alkylene-heterocyclyl, $(C{=}O)_aO_b$-heterocyclyl, $NH(C{=}O)_a(C_1\text{-}C_6)$alkyl, $NH(C{=}O)_a$-aryl, $(C_1\text{-}C_6)$alkyl$(O)_a$-aryl, $(C{=}O)_aO_b(C_1\text{-}C_6)$alkylene-$N(R^a)_2$, $N(R^a)_2$, $O_b(C_1\text{-}C_3)$perfluoroalkyl, $(C_1\text{-}C_6)$alkylene-$S(O)_mR^a$, $S(O)_mR^a$, $C(O)R^a$, $(C_1\text{-}C_6)$alkylene-CO_2R^a,

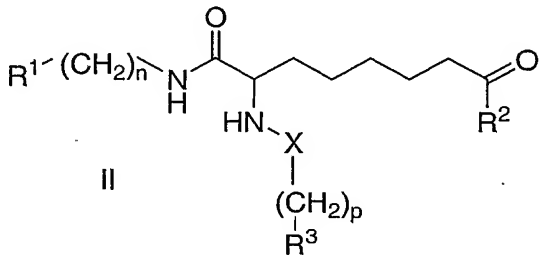
CO_2R^a, C(O)H, $(C_1\text{-}C_6)alkyl_aNH(C_1\text{-}C_6)alkyl\text{-}N(R^c)_2$, $C(O)N(R^a)_2$, $(C_1\text{-}C_6)alkyl(C{=}O)_aNH(C_1\text{-}C_6)alkyl\text{-}N(R^c)_2$ and $S(O)_2N(R^a)_2$;

R^f is independently selected from halo, aryl, heterocyclyl, $N(Rg)_2$ and $O_a(C_1\text{-}C_6)alkyl$;

R^g is independently selected from H and $(C_1\text{-}C_6)alkyl$;

or a pharmaceutically acceptable salt or stereoisomer thereof.

2. The compound according to Claim 1 of the Formula II;



II

wherein:

all substituents and variables are as defined in the Claim 1;

or a pharmaceutically acceptable salt or stereoisomer thereof.

3. The compound according to Claim 2 of the Formula II;

wherein:

R^2 is selected from: H, $(C_1\text{-}C_6)alkyl$ and heterocyclyl;

R^3 is selected from: H, CN, $N(R^c)_2$, CF_3, $(C_2\text{-}C_{10})alkenyl$, $(C_3\text{-}C_{10})cycloalkyl$, $S(O)_2(C_1\text{-}C_6)alkyl$, $(C{=}O)_aO_b(C_1\text{-}C_{10})alkyl$, $(C{=}O)_a$-aryl, $(C{=}O)_a$-heterocyclyl, S-aryl, S-heterocyclyl, $NH(C{=}O)_a$-aryl, $(C_1\text{-}C_6)alkyl(O)$-aryl; said alkyl, alkenyl, cycloalkyl, aryl and heterocyclyl is optionally substituted with up to three substituents selected from R^e;

R^d is independently selected from: $(C=O)_a$-aryl, $(C_1$-C_6alkyl$)_a$-heterocyclyl, $O_a(C_1$-$C_6)$alkyl, CN, $S(O)_mN(R^c)_2$, oxo, OH and halo; wherein said alkyl, aryl and heterocyclyl are optionally substituted with R^f;

R^e is independently selected from: $(C=O)_a$-CF_3, oxo, OH, halogen, CN, $N(R^c)_2$, $S(O)_2(C_1$-$C_6)$alkyl, $HN(C=O)_a(C_1$-$C_6)$alkyl, $(C_1$-$C_6)$alkyl$_a(C=O)NH(C_1$-$C_6)$alkyl-$N(R^c)_2$, $O(C_1$-$C_6)$alkyl-$N(R^c)_2$, $(C=O)_aO_b(C_1$-$C_{10})$alkyl, $(C_1$-$C_6)$alkyl-aryl, aryl, heterocyclyl and $S(O)_2$-aryl;

and all substituents and variables are as defined in Claim 2;

or a pharmaceutically acceptable salt or stereoisomer thereof.

4. A TFA salt of a compound according to any previous claim, or stereoisomer thereof.

5. An HCl salt of a compound according to any one of claims 1-3, or a stereoisomer thereof.

6. A pharmaceutical composition comprising a compound of any preceding claim or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier.

7. A compound of any one of claims 1-5, or a pharmaceutically acceptable salt thereof for use in a method of treatment of the human or animal body by therapy.

8. The use of a compound according to any one of claims 1-5, or a pharmaceutically acceptable salt thereof for the manufacture of a medicament for treating or preventing a disease selected from cancer, neurodegenerative diseases, schizophrenia, stroke, restenosis, mental retardation and immune disorders.

9. A method of treating or preventing a disease selected from cancer, neurodegenerative diseases, schizophrenia, stroke, restenosis, mental redardation and immune disorders in a subject, which comprises administration to that subject an effective amount of a compound of claim 1 or a pharmaceutically acceptable salt thereof.

5

INTERNATIONAL SEARCH REPORT

International Application No
PCT/GB2005/002729

A. CLASSIFICATION OF SUBJECT MATTER
C07C237/22 C07D241/02 A61K31/16

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07C C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, CHEM ABS Data, BEILSTEIN Data, WPI Data, PAJ

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P	WO 2004/072047 A1 (FUJISAWA PHARMACEUTICAL CO., LTD., JAPAN) 26 August 2004 (2004-08-26) page 132, compounds 102, 103 and 104; page 133, compounds 109 and 110	1-8
X	WO 01/18171 A (SLOAN-KETTERING INSTITUTE FOR CANCER RESEARCH; THE TRUSTEES OF COLUMBI) 15 March 2001 (2001-03-15) cited in the application page 5, lines 3-36; page 94, lines 27-33; claims 1-6	1-8

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier document but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed
"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
21 November 2005	28/11/2005

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Sen, A

Form PCT/ISA/210 (second sheet) (January 2004)

INTERNATIONAL SEARCH REPORT

International Application No
PCT/GB2005/002729

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	SINGH, SHEO B. ET AL: "Structure and Chemistry of Apicidins, a Class of Novel Cyclic Tetrapeptides without a Terminal .alpha.-Keto Epoxide as Inhibitors of Histone Deacetylase with Potent Antiprotozoal Activities" JOURNAL OF ORGANIC CHEMISTRY , 67(3), 815-825 CODEN: JOCEAH; ISSN: 0022-3263, 2002, XP009057013 page 816, Figure 1; page 819, compounds 14-16 and Scheme 1; pages 820-821, "Biological Activity".	1-8

Form PCT/ISA/210 (continuation of second sheet) (January 2004)

INTERNATIONAL SEARCH REPORT

International application No.
PCT/GB2005/002729

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claim 9 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

2. [ ] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/GB2005/002729

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2004072047 A1	26-08-2004	NONE	
WO 0118171 A	15-03-2001	AU 6932700 A	10-04-2001
		BR 0014254 A	27-08-2002
		CA 2383999 A1	15-03-2001
		CN 1378450 A	06-11-2002
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		JP 2003509343 T	11-03-2003
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